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531 Rec'd PCT 2 3 JAN 2002

January 23, 2002

BOX PCT

Commissioner for Patents
Washington, D.C. 20231

PCT/JP00/05260
-filed August 4, 2000

Re: Application of Tatsuki SHIOTA, Masaki SUDOH, Tomonori YOKOYAMA, Yumiko
MUROGA, Takashi KAMIMURA and Akinobu NAKANISHI
CYCLIC AMINE CCR3 ANTAGONIST
Assignee: TEIJIN LIMITED
Our Ref: Q68142

Dear Sir:

The following documents and fees are submitted herewith in connection with the above application
for the purpose of entering the National stage under 35 U.S.C. § 371 and in accordance with Chapter II of the
Patent Cooperation Treaty:

☑ an executed Declaration and Power of Attorney.

☑ an English translation of the International Application.

☑ an executed Assignment and PTO 1595 form.

☑ International Search Report and PTO form 1449.

☑ Notification Concerning Submission or Transmittal of Priority Document.

It is assumed that copies of the International Application, the International Preliminary Examination
Report, and any Articles 19 and 34 amendments as required by § 371(c) will be supplied directly by the
International Bureau, but if further copies are needed, the undersigned can easily provide them upon request.

The Government filing fee is calculated as follows:

Total claims	11	-	20	= _____	x $18.00 =	$.00
Independent claims	2	-	3	= _____	x $84.00 =	$.00
Base Fee						$890.00

TOTAL FILING FEE	$890.00
Recordation of Assignment	$ 40.00
TOTAL FEE	$930.00

Checks for the statutory filing fee of $890.00 and Assignment recordation fee of $40.00 are attached.
You are also directed and authorized to charge or credit any difference or overpayment to Deposit Account No.
19-4880. The Commissioner is hereby authorized to charge any fees under 37 C.F.R. §§ 1.16, 1.17 and 1.492
which may be required during the entire pendency of the application to Deposit Account No. 19-4880. A
duplicate copy of this transmittal letter is attached.

Priority is claimed from:

Country	Application No	Filing Date
Japan	11-220864	August 4, 1999

Respectfully submitted,

Susan J. Mack
Registration No. 30,951

SJM/slb

SPECIFICATION

CYCLIC AMINE CCR3 ANTAGONIST

5   Technical Field

The present invention relates to a CCR3 antagonist which can be expected to have effects as a remedies and/or a prophylactics against diseases, for whose progress and maintenance the increase and tissue infiltration of eosinophils, basophils, activated T-cells and the like play main rolls, for
10   example, allergic diseases such as asthma, allergic rhinitis, atopic dermatitis, urticaria, contact dermatitis and allergic conjunctivitis, inflammatory bowel diseases such as ulcerative colitis and Crohn disease, eosinophilia, eosinophilic gastroenteritis, eosinophilic enteropathy, eosinophilic fasciitis, eosinophilic granuloma, eosinophilic pustular folliculitis, eosinophilic pneumonia,
15   eosinophilic leukemia and the like, or AIDS (acquired immunodeficiency syndrome) caused by the infection of HIV (human immunodeficiency virus).

Background Art

In recent years, a concept that the essential pathosis of allergic
20   diseases such as asthma is chronic inflammation has been established, and the accumulation of eosinophils at an inflammatory region is especially thought to be one of the principal characteristics of the diseases (refer to, for example, Busse, W. W. J. Allergy Clin. Immunol., 1998, 102, S17-S22; Takao Fujisawa, Gendai Iryo, 1999, 31, 1297, and so on).   For example, when an antibody
25   against intercellular adhesion molecule-1 (ICAM-1) was administered into a simian asthmatic model, the accumulation of eosinophils was inhibited, and the manifestation of a late asthmatic response was controlled.   Thereby, the importance of the eosinophils in allergic diseases was strongly suggested (Wegner, C.D. et al., Science, 1990, 247, 456).
30   Eotaxin was identified as a specific chemotactic factor causing the accumulation / chemotaxis of eosinophil (refer to, for example, Jose, P. J., et. al., J. Exp. Med., 1994, 179, 881; Garcia-Zepda, E. A. et al., Nature Med., 1996, 2, 449; Ponath, P. D. et al., J. Clin. Invest., 1996, 97, 604; Kitaura, M. et al., J. Biol. Chem., 1996, 271, 7725, and so on).   Further, it was elucidated that
35   eotaxin bound to a CCR3 receptor expressed on eosinophil to display the action, and it is also known that chemotactic factors such as RANTES (abbreviation of

regulated upon activation normal T-cell expressed and secreted), MCP-2 (abbreviation of monocyte chemoattractant protein-2), MCP-3 (abbreviation of monocyte chemoattractant protein-3), and MCP-4 (abbreviation of monocyte chemoattractant protein-4) can exhibit the same actions as that of the eotaxin through CCR3, although the action potencies of the chemotactic factors are weaker than that of the eotaxin (refer to, for example, Kitaura, M. et al., J. Biol. Chem., 1996, 271, 7725; Daugherty, B. L. et al., J. Exp. Med., 1996, 183, 2349; Panath, P. D. et al., J. Exp. Med., 1996, 183, 2437; Hiath, H. et at., J. Clin. Invest., 1997, 99, 178; Patel, V. P. et al., J. Exp. Med., 1997, 185, 1163; Forssmann, U. et al., J. Exp. Med. 185, 2171, 1997, and so on).

Not only an action for causing chemotaxis but also actions related to the activation of eosinophils, such as the enhancement in the expression of adhesion molecule receptor (CD11b) (refer to, for example, Tenscher, K. et al., Blood, 1996, 88, 3195, and so on), the stimulation in the production of active oxygen (refer to, for example, Elsner, J. et al., Eur. J. Immunol., 1996, 26, 1919, and so on), the stimulation in the release of EDN (abbreviation of eosinophil-derived neurotoxin) [refer to El-Shazly, et al., Int. Arch. Allergy Immunol., 1998, 117 (suppl. 1), 55], have been reported as the actions of the eotaxin on the eosinophils. It has also been reported that eotaxin has an action for stimulating the release of eosinophils and their precursor cells from bone marrow into blood (refer to, for example, Palframan, R. T. et al., Blood, 1998, 91, 2240, and so on).

Many reports show that eotaxin and CCR3 play important roles on allergic diseases such as asthma. For example, the inhibition of eosinophil infiltration with an anti-eotaxin antibody in a mouse asthma model (refer to Gonzalo, J. –A. et al., J. Clin. Invest., 1996, 98, 2332), the inhibition of eosinophil infiltration with an anti-eotaxin antiserum in a mouse dermal allergy model (refer to Teixeira, M. M. et al., J. Clin. Invest., 1997, 100, 1657), the inhibition in the formation of pulmonary granuloma with an anti-eotaxin antibody in a mouse model (refer to Ruth., J. H. et al., J. Immunol., 1998, 161, 4276), the inhibition of eosinophil infiltration in an asthma model and an interstitial keratitis model using eotaxin gene-deficient mice, respectively, (refer to Rothenberg, M. E. et al., J. Exp. Med., 1997, 185, 785), the increase in the expression of eotaxin and CCR3 in the bronchus of an asthmatic patient at a genetic level and a protein level in comparison with a healthy subject (refer to Ying, S. et at., Eur. J. Immunol., 1997, 27, 3507), and the increase in the

expression of eotaxin in the nasal subepithelium tissue of a chronic sinusitis patient (refer to Am. J. Respir. Cell Mol Biol., 1997, 17, 683), have been reported.

Additionally, since it has been reported that eotaxin is expressed in large amounts in the inflammatory regions of Crohn disease and ulcerative colitis which is an inflammatory large bowel disease (refer to Garcia-Zepda E.A. et al., Nature Med., 1996, 2, 449), it can be understood that the eotaxin also plays important roles on the diseases.

From these data, it is strongly suggested that the eotaxin accumulates and activates the eosinophils in the lesion regions through CCR3 and thereby deeply participates in the initiation progression and maintenance of diseases in which the deep participation of the eosinophils in the progresses of the lesions can be supposed, for example, allergic diseases such as asthma, allergic rhinitis, atopic dermatitis, urticaria, contact dermatitis, and allergic conjunctivitis, inflammatory bowel diseases such as ulcerative colitis and Crohn disease, eosinophilia, eosinophilic gastroenteritis, eosinophilic enteropathy, eosinophilic fasciitis, eosinophilic granuloma, eosinophilic pustular folliculitis, eosinophilic pneumonia and eosinophilic leukemia.

Further, since they have been reported that CCR3 receptors reveal not only on eosinophils but also on basophils and Th2 lymphocytes and that the increase in the intracellular calcium ion concentrations of the cells and the chemotaxis of the cells are caused by the eotaxin, the eotaxin and the CCR3 are supposed to have relations with the initiation progression and maintenance of the diseases in which the cells participate, such as allergic diseases, also by the accumulation and activation of the cells (refer to, for example, Sallusto, F. et al., Science, 1997, 277, 2005; Gerber, B. O. et al., Current Biol., 1997, 7, 836; Sallusto, F. et at., J. Exp. Med., 1998, 187, 875; Uguccioni, M. et al., J. Clin. Invest., 1997, 100, 1137; Yamada, H. et al., Biochem Biophys. Res. Commun., 1997, 231, 365; and so on).

Thereby, a compound for inhibiting the binding of eotaxin to the CCR3, namely, a CCR3 antagonist, is supposed to be useful as a medicine for treating and/or preventing diseases such as allergic diseases and inflammatory intestinal diseases by inhibiting the action of a CCR3 ligand represented by the eotaxin on a target cell, but a medicine having such the action is now not known.

In addition, since it has been reported that HIV-1 (human

immunodeficiency virus-1) utilizes CCR3 on the infection of a host cell, a CCR3 antagonist is supposed to be useful for a medicine for treating or preventing AIDS (acquired immunodeficiency syndrome) caused by the infection of the HIV (refer to, for example, Choe, H. et at., Cell, 1996, 85, 1135; Doranz, B.J. et al., Cell, 1996, 85, 1149).

Recently, it has been reported that xanthene-9-carboxamide derivatives (refer to WO 9804554), piperazine or piperidine derivatives (refer to EP 903349; WO 0029377; WO 0031033; WO 0035449; WO 0035451; WO 0035452; WO 0035453; WO 0035454; WO 0035876; WO 0035877), pyrrolidine derivatives (refer to WO 0031032), phenylalanine derivatives (refer to WO 9955324; WO 9955330; WO 0004003; WO 0027800; WO 0027835; WO 0027843), and other low molecular compounds (refer to WO 9802151) have antagonistic activities to CCR3 receptors. However, these compounds are different from the compounds used in the present invention. And, the compounds used in the present invention are the same as the compounds mentioned in WO 9925686, but it is not known that these compounds have antagonistic activities to CCR3 receptors.

Disclosure of the Invention

Thereby, the object of the present invention is to provide low molecular compounds, which have activities to inhibit that the ligand of CCR3, such as eotaxin, binds to the CCR3 on a target cell.

Another object of the present invention is to provide a method for treating and/or preventing, with a CCR3 antagonist, such a disease that the binding of the ligand of CCR3, such eotaxin, to the CCR3 on a target cell is an etiology.

The inventors of the present invention have zealously made studies, and have consequently discovered that a cyclic amine derivative having an arylalkyl group, a pharmaceutically acceptable C_1 to C_6 alkyl addition salt thereof, or a pharmaceutically acceptable acid addition salt thereof has an activity to inhibit the binding of the ligand of CCR3, such as the eotaxin, to a target cell, and further have found that the compounds can be used as medicines for treating or preventing diseases in which the participation of CCR3 is supposed. The studies have further been continued to accomplish the present invention.

Namely, in accordance with the present invention, there is provided a

medicine, which contains, as an active ingredient, a compound represented by the following formula (I), a pharmaceutically acceptable acid addition salt thereof or a pharmaceutically acceptable C_1 to C_6 alkyl addition salt thereof, and which has a CCR3 antagonistic action,

$$R^1 \underset{R^2}{\overset{}{\diagdown}} (CH_2)_j - N \underset{(CH_2)_m}{\overset{(CH_2)_k}{\diagup}} (CH_2)_n - \underset{R^3}{\overset{}{N}} - \overset{\overset{O}{\|}}{C} - (CH_2)_p \underset{R^5}{\overset{R^4}{\diagup}} (CH_2)_q - G - R^6 \qquad (I)$$

[wherein, R^1 represents a phenyl group, a C_3 to C_8 cycloalkyl group, or an aromatic heterocyclic group having one to three atoms of oxygen, sulfur and/or nitrogen as heteroatoms, provided that the phenyl group or the aromatic heterocyclic group in the above-mentioned R^1 may be condensed with a benzene ring, or an aromatic heterocyclic group having one to three atoms of oxygen, sulfur and/or nitrogen as heteroatoms to form a condensed ring, further provided that the phenyl group, the C_3 to C_8 cycloalkyl group, the aromatic heterocyclic group or the condensed ring may be substituted by the arbitrary number of halogen atoms, hydroxy groups, cyano groups, nitro groups, carboxyl groups, carbamoyl groups, C_1 to C_6 alkyl groups, C_3 to C_8 cycloalkyl groups, C_2 to C_6 alkenyl groups, C_1 to C_6 alkoxy groups, C_1 to C_6 alkylthio groups, C_3 to C_5 alkylene groups, C_2 to C_4 alkylenoxy groups, C_1 to C_3 alkylenedioxy groups, phenyl groups, phenoxy groups, phenylthio groups, benzyl groups, benzyloxy groups, benzoylamino groups, C_2 to C_7 alkanoyl groups, C_2 to C_7 alkoxycarbonyl groups, C_2 to C_7 alkanoyloxy groups, C_2 to C_7 alkanoylamino groups, C_2 to C_7 N-alkylcarbamoyl groups, C_4 to C_9 N-cycloalkylcarbamoyl groups, C_1 to C_6 alkylsulfonyl groups, C_3 to C_8 (alkoxycarbonyl)methyl groups, N-phenylcarbamoyl groups, piperidinocarbonyl groups, morpholinocarbonyl groups, 1-pyrrolidinylcarbonyl groups, divalent groups represented by the formula: -NH(C=O)O-, divalent groups represented by the formula: -NH(C=S)O-, amino groups, mono(C_1 to C_6 alkyl)amino groups or di(C_1 to C_6 alkyl)amino groups, and further provided that the substituents of the phenyl group, the C_3 to C_8 cycloalkyl group, the aromatic heterocyclic

group or the condensed ring may further be substituted by the arbitrary number of halogen atoms, hydroxy groups, amino groups, trifluoromethyl groups, C_1 to C_6 alkyl groups or C_1 to C_6 alkoxy groups.

R^2 represents a hydrogen atom, a C_1 to C_6 alkyl group, a C_2 to C_7 alkoxycarbonyl group, a hydroxy group or a phenyl group, provided that the C_1 to C_6 alkyl group or the phenyl group in R^2 may be substituted by the arbitrary number of halogen atoms, hydroxy groups, C_1 to C_6 alkyl groups or C_1 to C_6 alkoxy groups, and provided that when j is 0, R^2 is not a hydroxy group.

j represents an integer of 0 to 2.

k represents an integer of 0 to 2.

m represents an integer of 2 to 4.

n represents 0 or 1.

R^3 represents a hydrogen atom or a C_1 to C_6 alkyl group which may be substituted (by one or two phenyl groups which may be substituted by the same or different arbitrary numbers of halogen atoms, hydroxy groups, C_1 to C_6 alkyl groups or C_1 to C_6 alkoxy groups, respectively).

R^4 and R^5, same or differently, represent a hydrogen atom, a hydroxy group, a phenyl group or a C_1 to C_6 alkyl group, respectively, and the C_1 to C_6 alkyl group in R^4 and R^5 may be substituted by the arbitrary number of halogen atoms, hydroxy groups, cyano groups, nitro groups, carboxyl groups, carbamoyl groups, mercapto groups, guanidino groups, C_3 to C_8 cycloalkyl groups, C_1 to C_6 alkoxy groups, C_1 to C_6 alkylthio groups, phenyl groups (which may be substituted by the arbitrary number of halogen atoms, hydroxy groups, C_1 to C_6 alkyl groups, C_1 to C_6 alkoxy groups or benzyloxy groups), phenoxy groups, benzyloxy groups, benzyloxycarbonyl groups, C_2 to C_7 alkanoyl groups, C_2 to C_7 alkoxycarbonyl groups, C_2 to C_7 alkanoyloxy groups, C_2 to C_7 alkanoylamino groups, C_2 to C_7 N-alkylcarbamoyl groups, C_1 to C_6 alkylsulfonyl groups, amino groups, mono(C_1 to C_6 alkyl)amino groups, di(C_1 to C_6 alkyl)amino groups or aromatic heterocyclic groups (having one to three atoms of oxygen, sulfur and/or nitrogen as heteroatoms) or condensed rings formed by the condensation of the aromatic heterocyclic group with a benzene ring, or R^4 and R^5 may together form a three to six-membered cyclic hydrocarbon.

p represents 0 or 1.

q represents 0 or 1.

G represents a group represented by $-CO-$, $-SO_2-$, $-CO-O-$, $-NR^7-CO-$,

-CO-NR^7-, -NH-CO-NH-, -NH-CS-NH-, -NR^7-SO$_2$-, -SO$_2$-NR^7-, -NH-CO-O-, or -O-CO-NH-, provided that R^7 is a hydrogen atom or a C$_1$ to C$_6$ alkyl group, or R^7 may form a C$_2$ to C$_5$ alkylene group together with R^5.

R^6 represents a phenyl group, a C$_3$ to C$_8$ cycloalkyl group, a C$_3$ to C$_6$ cycloalkenyl group, a benzyl group or an aromatic heterocyclic group having one to three atoms of oxygen, sulfur and/or nitrogen as heteroatoms, provided that the phenyl group, the benzyl group or the aromatic heterocyclic group in the above-mentioned R^6 may be condensed, to make a condensed ring, with a benzene ring or an aromatic heterocyclic group having one or three atoms of oxygen, sulfur and/or nitrogen as heteroatoms, further provided that the phenyl group, the C$_3$ to C$_8$ cycloalkyl group, the C$_3$ to C$_6$ cycloalkenyl group, the benzyl group, the aromatic heterocyclic group or the condensed ring in the above-mentioned R^6 may be substituted by the arbitrary number of halogen atoms, hydroxy groups, mercapto groups, cyano groups, nitro groups, thiocyanato groups, carboxyl groups, carbamoyl groups, trifluoromethyl groups, C$_1$ to C$_6$ alkyl groups, C$_3$ to C$_8$ cycloalkyl groups, C$_2$ to C$_6$ alkenyl groups, C$_1$ to C$_6$ alkoxy groups, C$_3$ to C$_8$ cycloalkyloxy groups, C$_1$ to C$_6$ alkylthio groups, C$_1$ to C$_3$ alkylenedioxy groups, phenyl groups, phenoxy groups, phenylamino groups, benzyl groups, benzoyl groups, phenylsulfinyl groups, phenylsulfonyl groups, 3-phenylureido groups, C$_2$ to C$_7$ alkanoyl groups, C$_2$ to C$_7$ alkoxycarbonyl groups, C$_2$ to C$_7$ alkanoyloxy groups, C$_2$ to C$_7$ alkanoylamino group, C$_2$ to C$_7$ N-alkylcarbamoyl groups, C$_1$ to C$_6$ alkylsulfonyl groups, phenylcarbamoyl groups, N,N-di(C$_1$ to C$_6$ alkyl)sulfamoyl groups, amino groups, mono(C$_1$ to C$_6$ alkyl)amino groups, di(C$_1$ to C$_6$ alkyl)amino groups, benzylamino groups, C$_2$ to C$_7$ (alkoxycarbonyl)amino groups, C$_1$ to C$_6$ (alkylsulfonyl)amino groups or bis(C$_1$ to C$_6$ alkylsulfonyl)amino groups, and further provided that the substituents of the phenyl group, the C$_3$ to C$_8$ cycloalkyl group, the C$_3$ to C$_8$ cycloalkenyl group, the benzyl group, the aromatic heterocyclic group, or the condensed ring may further be substituted by the arbitrary number of halogen atoms, cyano groups, hydroxy groups, amino groups, trifluoromethyl groups, C$_1$ to C$_6$ alkyl groups, C$_1$ to C$_6$ alkoxy groups, C$_1$ to C$_6$ alkylthio groups, mono(C$_1$ to C$_6$ alkyl)amino groups, or di(C$_1$ to C$_6$ alkyl)amino groups.].

In accordance with the present invention, there is also provided a medicine which contains, as an active ingredient, the compound represented by the above-mentioned formula (I), the pharmaceutically acceptable acid

addition salt thereof, or the pharmaceutically acceptable C_1 to C_6 alkyl addition salt thereof, and which is used for treating or preventing a disease concerned with CCR3.

The compound represented by the above-mentioned formula (I) has an activity for inhibiting that the ligand of CCR3 receptor, such as eotaxin, binds to a target cell, and an activity for inhibiting the physiological actions of the ligand of CCR3, such as the eotaxin, on the target cell. Namely, the compound represented by the above-mentioned formula (I) is a CCR3 antagonist.

Best Mode for Carrying Out the Invention

In the above-mentioned formula (I), R^1 represents a phenyl group, a C_3 to C_8 cycloalkyl group, or an aromatic heterocyclic group having one to three atoms of oxygen, sulfur and/or nitrogen as heteroatoms, provided that the phenyl group or the aromatic heterocyclic group in the above-mentioned R^1 may be condensed with a benzene ring, or an aromatic heterocyclic group having one to three atoms of oxygen, sulfur and/or nitrogen as heteroatoms to form a condensed ring, further provided that the phenyl group, the C_3 to C_8 cycloalkyl group, the aromatic heterocyclic group or the condensed ring may be substituted by the arbitrary number of halogen atoms, hydroxy groups, cyano groups, nitro groups, carboxyl groups, carbamoyl groups, C_1 to C_6 alkyl groups, C_3 to C_8 cycloalkyl groups, C_2 to C_6 alkenyl groups, C_1 to C_6 alkoxy groups, C_1 to C_6 alkylthio groups, C_3 to C_5 alkylene groups, C_2 to C_4 alkylenoxy groups, C_1 to C_3 alkylenedioxy groups, phenyl groups, phenoxy groups, phenylthio groups, benzyl groups, benzyloxy groups, benzoylamino groups, C_2 to C_7 alkanoyl groups, C_2 to C_7 alkoxycarbonyl groups, C_2 to C_7 alkanoyloxy groups, C_2 to C_7 alkanoylamino groups, C_2 to C_7 N-alkylcarbamoyl groups, C_4 to C_9 N-cycloalkylcarbamoyl groups, C_1 to C_6 alkylsulfonyl groups, C_3 to C_8 (alkoxycarbonyl)methyl groups, N-phenylcarbamoyl groups, piperidinocarbonyl groups, morpholinocarbonyl groups, 1-pyrrolidinylcarbonyl groups, divalent groups represented by the formula: -NH(C=O)O-, divalent groups represented by the formula: -NH(C=S)O-, amino groups, mono(C_1 to C_6 alkyl)amino groups or di(C_1 to C_6 alkyl)amino groups.

"The C_3 to C_8 cycloalkyl group" in R^1 means a cyclic alkyl group such as a cyclopropyl group, a cyclobutyl group, a cyclopentyl group, a cyclohexyl group, a cycloheptyl group or a cyclooctyl group, and includes a cyclopropyl

group, a cyclopentyl group, a cyclohexyl group and the like as preferable concrete examples.

"The aromatic heterocyclic group having one to three atoms of oxygen, sulfur and/or nitrogen as heteroatoms" in R^1 means an aromatic heterocyclic group such as a thienyl group, a furyl group, a pyrrolyl group, an imidazolyl group, a pyrazolyl group, an oxazolyl group, an isoxazolyl group, a thiazolyl group, an isothiazolyl group, a pyridyl group, a pyrimidinyl group, a triazinyl group, a triazolyl group, an oxadiazolyl (furazanyl) group or a thiadiazolyl group, and includes a thienyl group, a furyl group, a pyrrolyl, an isoxazolyl group, a pyridyl group and the like as preferable concrete examples.

"The condensed ring" in R^1 means a bicyclic aromatic heterocyclic group which is formed by condensing the above-mentioned benzene ring or aromatic heterocyclic group with a benzene ring or an aromatic heterocyclic group having one to three atoms of oxygen, sulfur and/or nitrogen as heteroatoms at an arbitrary possible position, and includes a naphthyl group, an indolyl group, a benzofuranyl group, a benzothienyl group, a quinolyl group, a benzimidazolyl group, a benzoxazolyl group, a benzotriazolyl group, a benzoxadiazolyl (benzofurazanyl) group, a benzothiadiazolyl group and the like as preferable concrete examples.

A phenyl group, a thienyl group, a pyrazolyl group, an isoxazolyl group, a benzofuranyl group or an indolyl group is especially preferable as R^1.

"The halogen atom" as the substituent on the phenyl group, the C_3 to C_8 cycloalkyl group, the aromatic heterocyclic group or the condensed ring, in R^1, means a fluorine atom, a chlorine atom, a bromine atom, an iodine atom or the like.

"The C_1 to C_6 alkyl group" as the substituent of R^1 means a C_1 to C_6 straight-chain or branched alkyl group such as a methyl group, an ethyl group, a n-propyl group, a n-butyl group, a n-pentyl group, a n-hexyl group, a n-heptyl group, a n-octyl group, an isopropyl group, an isobutyl group, a sec-butyl group, a tert-butyl group, an isopentyl group, a neopentyl group, a tert-pentyl group, an isohexyl group, a 2-methylpentyl group or a 1-ethylbutyl group, and includes a methyl group, an ethyl group, a propyl group, an isopropyl group and the like as preferable concrete examples.

"The C_3 to C_8 cycloalkyl group" as the substituent of R^1 is the same as the definition of "the C_3 to C_8 cycloalkyl group" in the above-mentioned R^1, and includes the same groups as preferable concrete examples.

"The C_2 to C_6 alkenyl group" as the substituent of R^1 means a C_2 to C_6 straight-chain or branched alkenyl group such as a vinyl group, an allyl group, a 1-propenyl group, a 2-butenyl group, a 3-butenyl group, a 2-methyl-1-propenyl group, a 4-pentenyl group, a 5-hexenyl group or a 4-methyl-3-pentenyl group, and includes a vinyl group, a 2-methyl-1-propenyl group and the like as preferable concrete examples.

"The C_1 to C_6 alkoxy group" as the substituent of R^1 means a group comprising the above-mentioned C_1 to C_6 alkyl group and an oxy group, and includes a methoxy group, an ethoxy group and the like as preferable concrete examples.

"The C_1 to C_6 alkylthio group" as the substituent of R^1 means a group comprising the above-mentioned C_1 to C_6 alkyl group and a thio group, and includes a methylthio group, an ethylthio group and the like as preferable concrete examples.

"The C_3 to C_5 alkylene group" as the substituent of R^1 means a C_3 to C_5 divalent alkylene group such as a trimethylene group, a tetramethylene group, a pentamethylene group or a 1-methyltrimetylene group, and includes a trimethylene group, a tetramethylene group and the like as preferable concrete examples.

"The C_2 to C_4 alkylenoxy group" as the substituent of R^1 means a group comprising a C_2 to C_4 divalent alkylene group and an oxy group, such as an ethylenoxy group ($-CH_2CH_2O-$), a trimethylenoxy group ($- CH_2CH_2CH_2O-$), a tetramethylenoxy group ($-CH_2CH_2CH_2CH_2O-$) or a 1,1-dimethylethylenoxy group [$-CH_2C(CH_3)_2O-$], and includes an ethylenoxy group, a trimethylenoxy group and the like as preferable concrete examples.

"The C_1 to C_3 alkylenedioxy group" as the substituent of R^1 means a group comprising a C_1 to C_3 divalent alkylene group and two oxy groups, such as a methylenedioxy group ($-OCH_2O-$), an ethylenedioxy group ($-OCH_2CH_2O-$), a trimethylenedioxy group ($-OCH_2CH_2CH_2O-$), a propylenedioxy group [$-OCH_2CH(CH_3)O-$], and includes a methylenedioxy group, an ethylenedioxy group and the like as preferable concrete examples.

"The C_2 to C_7 alkanoyl group" as the substituent of R^1 means a C_2 to C_7 straight-chain or branched alkanoyl group such as an acetyl group, a propanoyl group, a butanoyl group, a pentanoyl group, a hexanoyl group, a heptanoyl group, an isobutyryl group, a 3-methylbutanoyl group, a 2-methylbutanoyl group, a pivaloyl group, a 4-methylpentanoyl group, a

3,3-dimethylbutanoyl group or a 5-methylhexanoyl group, and includes an acetyl group and the like as preferable concrete examples.

"The C_2 to C_7 alkoxycarbonyl group" as the substituent of R^1 means a group comprising a C_1 to C_6 alkoxy group and a carbonyl group, and includes a methoxycarbonyl group, an ethoxycarbonyl group and the like as preferable concrete examples.

"The C_2 to C_7 alkanoyloxy group" as the substituent of R^1 means a group comprising a C_2 to C_7 alkanoyl group and an oxy group, and includes an acetyloxy group and the like as preferable concrete examples.

"The C_2 to C_7 alkanoylamino group" as the substituent of R^1 means a group comprising a C_2 to C_7 alkanoyl group and an amino group, and includes an acetylamino group and the like as preferable concrete examples.

"The C_2 to C_7 alkylcarbamoyl group" as the substituent of R^1 means a group comprising a C_1 to C_6 alkyl group and a carbamoyl group, and includes a N-methylcarbamoyl group, a N-ethylcarbamoyl group and the like as preferable concrete examples.

" The C_4 to C_9 N-cycloalkylcarbamoyl group " as the substituent of R^1 means a group comprising a C_3 to C_8 cycloalkyl group and a carbamoyl group, and includes a N-cyclopentylcarbamoyl group, a N-cyclohexylcarbamoyl group and the like as preferable concrete examples.

"The C_1 to C_6 alkylsulfonyl group" as the substituent of R^1 means a group comprising a C_1 to C_6 alkyl group and a sulfonyl group, and includes a methylsulfonyl group and the like as preferable concrete examples.

"The C_3 to C_8 (alkoxycarbonyl)methyl group" as the substituent of R^1 means a group comprising a C_2 to C_7 alkoxycarbonyl group and a methyl group, and includes a methoxycarbonylmethyl group, an ethoxycarbonylmethyl group and the like as preferable concrete examples.

"The mono(C_1 to C_6 alkyl)amino group" as the substituent of R^1 means an amino group substituted by the C_1 to C_6 alkyl group, and includes a methylamino group, an ethylamino group and the like as preferable concrete examples.

"The di(C_1 to C_6 alkyl)amino group" as the substituent of R^1 means an amino group substituted by the same or different two C_1 to C_6 alkyl groups, and includes a dimethylamino group, a diethylamino group, N-ethyl-N-methylamino group and the like as preferable concrete examples.

Among the above-mentioned groups, the substituents of the phenyl

group, the C_3 to C_8 cycloalkyl group, the aromatic heterocyclic group or the condensed ring in R^1 include halogen atoms, hydroxy groups, C_1 to C_6 alkyl groups, C_2 to C_6 alkenyl groups, C_1 to C_6 alkoxy groups, C_1 to C_6 alkylthio groups, C_3 to C_5 alkylene groups, C_2 to C_4 alkylenoxy groups, methylenedioxy groups, phenyl groups, N-phenylcarbamoyl groups, amino groups and di(C_1 to C_6 alkyl)amino groups as especially preferable concrete examples. The substituents especially preferably include halogen atoms, hydroxy groups, C_1 to C_6 alkyl groups, C_1 to C_6 alkoxy groups, C_1 to C_6 alkylthio groups, methylenedioxy groups and N-phenylcarbamoyl groups.

Further, the substituents of the phenyl group, the C_3 to C_8 cycloalkyl group, the aromatic heterocyclic group or the condensed ring in R^1 may be substituted by the arbitrary number of halogen atoms, hydroxy groups, amino groups, trifluoromethyl groups, C_1 to C_6 alkyl groups or C_1 to C_6 alkoxy groups. The halogen atoms, the C_1 to C_6 alkyl groups and the C_1 to C_6 alkoxy groups are the same as defined as the substituents of the phenyl group, the C_3 to C_8 cycloalkyl group, the aromatic heterocyclic group or the condensed ring in R^1, and include the same groups as preferable concrete examples.

In the formula (I), R^2 represents a hydrogen atom, a C_1 to C_6 alkyl group, a C_2 to C_7 alkoxycarbonyl group, a hydroxy group or a phenyl group, and the C_1 to C_6 alkyl group or the phenyl group in R^2 may be substituted by the arbitrary number of halogen atoms, hydroxy groups, C_1 to C_6 alkyl groups or C_1 to C_6 alkoxy groups, provided that R^2 is not the hydroxy group, when j is 0.

The C_1 to C_6 alkyl group and the C_2 to C_7 alkoxycarbonyl group in R^2 are the same as defined as the substituents of the phenyl group, the C_3 to C_8 cycloalkyl group, the aromatic heterocyclic group or the condensed ring in R^1, and include the same groups as preferable concrete examples.

The halogen atoms, C_1 to C_6 alkyl groups and C_1 to C_6 alkoxy groups as the substituents of the C_1 to C_6 alkyl group or the phenyl group in R^2 are the same as defined as the substituents of the phenyl group, the C_3 to C_8 cycloalkyl group, the aromatic heterocyclic group or the condensed ring in R^1, and includes the same examples, respectively, as preferable concrete examples.

Among groups, a case that R^2 represents a hydrogen atom is most preferable.

In the formula (I), j represents an integer of 0 to 2. A case that j is 0 is most preferable.

In the formula (I), k represents an integer of 0 to 2, and m represents an integer of 2 to 4. Among them, the 2-substituted pyrrolidine compound in a case that k and m are 0 and 3, respectively, the 3-substituted pyrrolidine compound in a case that k and m are 1 and 2, respectively, the 3-substituted

5 piperidine compound in a case that k and m are 1 and 3, respectively, 4-substituted piperidine compound in a case that k and m are 2 and 2, respectively, and the 3-substituted hexahydroazepine in a case that k and m are 1 and 4, respectively, are preferable. Especially preferably, the 3-substituted pyrrolidine compound in the case that k and m are 1 and 2,

10 respectively, and the 4-substituted piperidine compound in the case that k and m are 2 and 2, respectively, are included.

In the formula (I), n represents 0 or 1.

Especially, the 3-amidopyrrolidine compound in a case that k, m and n are 1, 2 and 0, respectively, and the 4-(amidomethyl)piperidine in a case that

15 k, m and n are 2, 2 and 1, respectively, are preferable.

In the formula (I), R^3 represents a hydrogen atom or a C_1 to C_6 alkyl group which may be substituted (by one or two phenyl groups which may be substituted by the arbitrary number of the same or different halogen atoms, hydroxy groups, C_1 to C_6 alkyl groups or C_1 to C_6 alkoxy groups).

20 The C_1 to C_6 alkyl group in R^3 is the same as defined as the substituent of the phenyl group, the C_3 to C_8 cycloalkyl group, the aromatic heterocyclic group, or the condensed ring in the above-mentioned R^1, and includes methyl group, ethyl group and propyl group as preferable concrete examples.

25 The halogen atoms, the C_1 to C_6 alkyl groups and the C_1 to C_6 alkoxy groups as the substituents of the phenyl group as the substituent of the C_1 to C_6 alkyl group in R^3 are the same as defined as the substituents of the phenyl group, the C_3 to C_8 cycloalkyl group, the aromatic heterocyclic group or the condensed ring in the above-mentioned R^1, and includes the same examples as

30 preferable concrete examples.

Among them, the case in which R^3 is a hydrogen atom or a non-substituted C_1 to C_6 alkyl groups, is the most favorable.

In the formula (I), R^4 and R^5, same or differently, represent a

35 hydrogen atom, a hydroxy group, a phenyl group or a C_1 to C_6 alkyl group, respectively, and the C_1 to C_6 alkyl group in R^4 and R^5 may be substituted by

the arbitrary number of halogen atoms, hydroxy groups, cyano groups, nitro groups, carboxyl groups, carbamoyl groups, mercapto groups, guanidino groups, C_3 to C_8 cycloalkyl groups, C_1 to C_6 alkoxy groups, C_1 to C_6 alkylthio groups, phenyl groups (which may be substituted by the arbitrary number of halogen atoms, hydroxy groups, C_1 to C_6 alkyl groups, C_1 to C_6 alkoxy groups or benzyloxy groups), phenoxy groups, benzyloxy groups, benzyloxycarbonyl groups, C_2 to C_7 alkanoyl groups, C_2 to C_7 alkoxycarbonyl groups, C_2 to C_7 alkanoyloxy groups, C_2 to C_7 alkanoylamino groups, C_2 to C_7 N-alkylcarbamoyl groups, C_1 to C_6 alkylsulfonyl groups, amino groups, mono(C_1 to C_6 alkyl)amino group, di(C_1 to C_6 alkyl)amino group, or aromatic heterocyclic groups (having one to three atoms of oxygen, sulfur and/or nitrogen as heteroatoms) or condensed rings formed by condensing the aromatic heterocyclic groups with a benzene ring, or R^4 and R^5 may be bound to each other to form a three to six-membered   cyclic hydrocarbon.

The C_1 to C_6 alkyl group in R^4 and R^5 is the same as defined as the substituents of the phenyl group, the C_3 to C_8 cycloalkyl group, the aromatic heterocyclic group or the condensed ring in the above-mentioned R^1, and includes the same examples as preferable concrete examples.

The halogen atom, C_1 to C_6 alkoxy group, C_1 to C_6 alkylthio group, C_2 to C_7 alkanoyl group, C_2 to C_7 alkanoyl group, C_2 to C_7 alkoxycarbonyl group, C_2 to C_7 alkanoyloxy group, C_2 to C_7 alkanoylamino group, C_2 to C_7 N-alkylcarbamoyl group, C_1 to C_6 alkylsulfonyl group, mono(C_1 to C_6 alkyl)amino group and di(C_1 to C_6 alkyl)amino group as the substituents of the C_1 to C_6 alkyl group in R^4 and R^5, are the same as defined as the substituents of the phenyl group, the C_3 to C_8 cycloalkyl group, the aromatic heterocyclic group or the condensed ring in the above-mentioned R^1, and includes the same examples, respectively, as preferable concrete examples.

The C_3 to C_8 cycloalkyl group, and the aromatic heterocyclic group having one to three atoms of oxygen, sulfur and/or nitrogen heteroatoms as the substituents of the C_1 to C_6 alkyl group in R^4 and R^5 are the same as defined in the above-mentioned R^1, and includes the same examples, respectively, as preferable concrete examples.

The halogen atom, the C_1 to C_6 alkyl group and the C_1 to C_6 alkoxy group as the substituents of the phenyl group as the substituent of the C_1 to C_6 alkyl group in R^4 and R^5, are the same as defined as the substituents of the phenyl group, the C_3 to C_8 cycloalkyl group, the aromatic heterocyclic group or

the condensed ring in the above-mentioned R^1, and includes the same examples, respectively, as preferable concrete examples.

The preferable concrete examples of "the three to six-membered cyclic hydrocarbon" comprising R^4, R^5 and the adjacent carbon atom includes cyclopropane, cyclobutane, cyclopentane and cyclohexane. Among the groups, the hydrogen atom and the C_1 to C_6 alkyl group are the especially preferable examples of R^4 and R^5.

In the above-mentioned formula (I), p represents 0 or 1, and q represents 0 or 1. A case that both p and q are 0 is especially preferable.

In the above-mentioned formula (I), G represents a group represented by -CO-, -SO$_2$-, -CO-O-, -NR^7·CO-, -CO-NR^7-, -NH-CO-NH-, -NH-CS-NH-, -NR^7-SO$_2$-, -SO$_2$-NR^7-, -NH-CO-O- or -O-CO-NH-. R^7 represents a hydrogen atom or a C_1 to C_6 alkyl group, or R^7 may form a $C2$ to $C5$ alkylene group together with R^5.

The -CO-, -SO$_2$- and -CS- means a carbonyl group, a sulfonyl group and a thiocarbonyl group, respectively. The especially preferable example of G includes a group represented by -NR^7·CO- and a group represented by -NH-CO-NH-.

The C_1 to C_6 alkyl group in R^7 is the same as defined as the substituents of the phenyl group, the C_3 to C_8 cycloalkyl group, the aromatic heterocyclic group or the condensed ring in the above-mentioned R^1, and includes the same examples as preferable concrete examples.

"The C_2 to C_5 alkylene group" comprising R^5 and R^7 means a C_2 to C_5 straight-chain or branched alkylene group such as a methylene group, an ethylene group, a propylene group, a trimethylene group, a tetramethylene group, a 1-methyltrimethylene group or a pentamethylene group, and includes an ethylene group, a trimethylene group and a tetramethylene group as the preferable concrete examples. Among the groups, R^7 includes the hydrogen atom as an especially preferable example.

In the above-mentioned formula (I), R^6 represents a phenyl group, a C_3 to C_8 cycloalkyl group, a C_3 to C_6 cycloalkenyl group, a benzyl group or an aromatic heterocyclic group having one to three atoms of oxygen, sulfur and/or nitrogen as heteroatoms, and the phenyl group, the benzyl group or the aromatic heterocyclic group in R^6 may be condensed, to make s condensed ring, with a benzene ring or an aromatic heterocyclic group having one to three atoms of oxygen sulfur, and/or nitrogen as heteroatoms . Further, the phenyl

group, the C_3 to C_8 cycloalkyl group, the C_3 to C_6 cycloalkenyl group, the benzyl group, the aromatic heterocyclic group or the condensed ring in R^6 may be substituted by the arbitrary number of halogen atoms, hydroxy groups, mercapto groups, cyano groups, nitro groups, thiocyanato groups, carboxyl groups, carbamoyl groups, trifluoromethyl groups, C_1 to C_6 alkyl groups, C_3 to C_8 cycloalkyl groups, C_2 to C_6 alkenyl groups, C_1 to C_6 alkoxy groups, C_3 to C_8 cycloalkylthio groups, C_1 to $C6$ alkyloxy groups, C_1 to C_3 alkylenedioxy groups, phenyl groups, phenoxy groups, phenylamino groups, benzyl groups, benzoyl groups, phenylsulfinyl groups, phenylsulfonyl groups, 3-phenylureido groups, C_2 to C_7 alkanoyl groups, C_2 to C_7 alkoxycarbonyl groups, C_2 to C_7 alkanoyloxy groups, C_2 to C_7 alkanoylamino groups, C_2 to C_7 N-alkylcarbamoyl groups, C_1 to C_6 alkylsulfonyl groups, phenylcarbamoyl groups, N,N-di(C_1 to C_6 alkyl)sulfamoyl groups, amino groups, mono(C_1 to C_6 alkyl)amino groups, di(C_1 to C_6 alkyl)amino groups, benzyl amino groups, C_2 to C_7 (alkoxycarbonyl)amino groups, C_1 to C_6 (alkylsulfonyl)amino groups or bis(C_1 to C_6 alkylsulfonyl)amino groups.

The C_3 to C_8 cycloalkyl group, the aromatic heterocyclic group having one to three atoms of oxygen, sulfur and/or nitrogen, and the condensed ring are the same as defined as the above-mentioned R^1, and includes the same examples, respectively, as preferable concrete examples.

"The C_3 to C_8 cycloalkenyl group" in R^6 means a cyclic alkenyl group such as a cyclobutenyl group, a cyclopentenyl group, a cyclohexenyl group, a cycloheptenyl group and a cyclooctenyl group, and includes a 1-cyclopentenyl group and a 1-cyclohexenyl group as preferable concrete examples. Among the groups, R^6 include a phenyl group, a furyl group, a thienyl group, an indolyl group and a benzofurazanyl group as especially preferable examples.

The halogen atom, the C_1 to C_6 alkyl group, the C_2 to C_6 alkenyl group, the C_1 to C_6 alkoxy group, the C_1 to C_6 alkylthio group, the C_1 to C_3 alkylenedioxy group, the C_2 to C_7 alkanoyl group, the C_2 to C_7 alkoxycarbonyl group, the C_2 to C_7 alkanoyloxy group, C_2 to C_7 alkanoylamino group, the C_2 to C_7 N-alkylcarbamoyl group, the C_1 to C_6 alkylsulfonyl group, the mono(C_1 to C_6 alkyl) amino group and the di(C_1 to C_6 alkyl)amino group as the substituents of the phenyl group, the C_3 to C_8 cycloalkyl group, the aromatic heterocyclic group or the condensed ring in R^6 are the same as defined as the substituents of the phenyl group, the C_3 to C_8 cycloalkyl group, the C_3 to C_8 cycloalkenyl group, the benzyl group, the aromatic heterocyclic group or the condensed ring

in the above-mentioned R^1, and includes the same examples as preferable concrete examples.

The C_3 to C_8 cycloalkyl group as the substituent of R^6 is the same as defined as the C_3 to C_8 cycloalkyl group in the above-mentioned R^1, and cludes the same examples as preferable concrete examples.

"The C_3 to C_8 cycloalkyloxy group" as the substituent of R^6 means a group comprising the above-mentioned C_3 to C_8 cycloalkyl group and an oxy group, and includes a cyclopropyloxy group, a cyclopentyloxy group, a cyclohexyloxy group and the like as preferable concrete examples.

"The N,N-di(C_1 to C_6 alkyl)sulfamoyl group" as the substituent of R^6 means a sulfamoyl group substituted by two same or different above-mentioned C_1 to C_6 alkyl groups, and includes N,N-dimethylsulfamoyl group, N,N-diethylsulfamoyl group, N-ethyl-N-methylsulfamoyl group and the like as preferable concrete examples.

"The C_2 to C_7 (alkoxycarbonyl)amino group" as the substituent of R^6 means a group comprising the above-mentioned C_2 to C_7 alkoxycarbonyl group and an amino group, and includes a methoxycarbonylamino group, an ethoxycarbonylamino group and the like as preferable concrete examples.

"The C_1 to C_6 (alkylsulfonyl)amino group" as the substituent of R^6 means a group comprising the above-mentioned C_1 to C_6 alkylsulfonyl group, an amino group and the like, and includes a (methylsulfonyl)amino group as a preferable concrete example.

"The bis(C_1 to C_6 alkylsulfonyl)amino group" as the substituent of R^6 means an amino group substituted by two same or different C_1 to C_6 alkylsulfonyl groups, and includes a bis(methylsulfonyl)amino group and the like as a preferable concrete example.

Especially, the substituents of the phenyl group, the C_3 to C_8 cycloalkyl group, the C_3 to C_8 cycloalkenyl group, the benzyl group, the aromatic heterocyclic group or the condensed ring in R^6 include a halogen atom, a mercapto group, a nitro group, a trifluoromethyl group, a C_1 to C_6 alkyl group, a C_1 to C_6 alkoxy group, a phenyl group, a benzyloxy group, a phenylsulfinyl group, a C_2 to C_7 alkanoyl group, a C_2 to C_7 alkanoylamino group, an amino group and the like as preferable examples. The halogen atom, the nitro group, the trifluoromethyl group, the C_1 to C_6 alkyl group, the C_1 to C_6 alkoxy group, the phenylsulfinyl group and the amino group are included as especially preferable examples.

Additionally, the substituents of the phenyl group, the C_3 to C_8 cycloalkyl group, the C_3 to C_8 cycloalkenyl group, the benzyl group, the aromatic heterocyclic group or the condensed ring in R^6 may further be substituted by the arbitrary number of halogen atoms, cyano groups, hydroxy groups, amino groups, trifluoromethyl groups, C_1 to C_6 alkyl groups, C_1 to C_6 alkoxy groups, C_1 to C_6 alkylthio groups, mono(C_1 to C_6 alkyl)amino groups or di(C_1 to C_6 alkyl)amino groups.

The halogen atom, the C_1 to C_6 alkyl group, the C_1 to C_6 alkoxy group, the C_1 to C_6 alkylthio group, the mono(C_1 to C_6 alkyl)amino group and the di(C_1 to C_6 alkyl)amino group as the substituents of the phenyl group, the C_3 to C_8 cycloalkyl group, the C_3 to C_8 cycloalkenyl group, the benzyl group, the aromatic heterocyclic group or the condensed ring in R^6 are the same as defined as the substituents of the phenyl group, the C_3 to C_8 cycloalkyl group, the aromatic heterocyclic group or the condensed ring in the above-mentioned R^1, and includes the same examples as preferable concrete examples.

By making a therapeutically effective amount of the compound represented by the above-mentioned formula (I), the pharmaceutically acceptable acid addition salt thereof or the pharmaceutically acceptable C_1 to C_6 alkyl addition salt thereof into a pharmaceutical composition together with a pharmaceutically acceptable carrier and/or a pharmaceutically acceptable diluent, the medicine for inhibiting that the ligand of CCR3, such as eotaxin, binds to the CCR3 on a target cell, the medicine for inhibiting the physiological actions of the ligand of the CCR3, such as the eotaxin, on the target cell, and further the medicine for treating or preventing diseases in which the CCR3 is supposed to participate, as the medicine of the present invention, can be prepared. Namely, the cyclic amine derivative represented by the general formula (I), the pharmaceutically acceptable acid addition thereof, or the pharmaceutically acceptable C_1 to C_6 alkyl addition salt thereof can be administered orally or parenterally such as intravenously, subcutaneously, intramuscularly, percutaneously or intrarectally.

The dosage form of the oral administration includes tablets, pills, granules, powders, liquids, suspensions and capsules.

The tablets can be prepared using a vehicle such as lactose, starch or crystalline cellulose, a binder such as carboxymethylcellulose, methylcellulose or polyvinylpyrrolidone, a disintegrator such as sodium alginate, sodium bicarbonate or sodium lauryl sulfate, and so on, by a conventional method.

The pills, the powders or the granules can also be prepared using the above-mentioned vehicle and so on by a conventional method. The liquids or the suspensions are prepared using a glycerol ester such as tricaprylin or triacetin, an alcohol such as ethanol and so on by a conventional method. The capsules are prepared by filling capsules made from gelatin or the like with the granules, the powder, the liquids or the like.

The dosage form for subcutaneous, intramuscular or intravenous administration includes injections in the forms of aqueous or non-aqueous solutions. The aqueous solutions include, for example, isotonic sodium chloride solution or the like. The non-aqueous solutions include, for example, propylene glycol, poly(ethylene glycol), olive oil, ethyl oleate or the like. The solutions, if necessary, further contain a antiseptic, a stabilizer and so on. The injections are sterilized by suitably carrying out the filtration with a bacterial filter and the treatment by the addition of a disinfectant.

The dosage form for the percutaneous administration includes an ointment and a cream. The ointment is prepared using a fatty oil or a fat such as castor oil or olive oil, petrolatum or the like by a conventional method, and the cream is prepared using a fatty oil or an emulsifier such as di(ethylene glycol) or a sorbitan monofatty acid ester by a conventional method.

Ordinary suppositories such as gelatin soft capsules are used for intrarectal administration.

The dose of the cyclic amine derivative of the present invention, the pharmaceutically acceptable acid addition salt thereof or the pharmaceutically acceptable C_1 to C_6 alkyl addition salt thereof depends on the kind of a disease, an administration route, the age and sex of the patient and the severity of a disease, but is usually 1 to 500 mg / day / adult.

The suitable concrete examples of the cyclic amine derivative of the above-mentioned formula (I) includes compounds containing substituents, respectively, shown in the following Tables 1.1 to 1.221.

In the Tables 1.1 to 1.221, "chirality" means "an absolute configuration", namely the absolute configuration of an asymmetric carbon on the ring of the cyclic amine. "R" means that an asymmetric carbon on the ring of the cyclic amine has the absolute configuration of R, and "S" means that the asymmetric carbon has the absolute configuration of S. " – " means that the compound is a racemate or does not have an asymmetric carbon on the cyclic amine.

Table 1.1

Compd. No.	R^1 R^2 $>$ $(CH_2)_l-$	k	m	n	chirality	R^3	$-(CH_2)_p \overset{R^4}{\underset{R^5}{C}} (CH_2)_q-G-R^6$
1	Cl—⟨benzene⟩—CH_2-	1	2	0	-	H	$-CH_2-\overset{O}{\underset{H}{N-C}}$—⟨phenyl⟩
2	Cl—⟨benzene⟩—CH_2-	1	2	0	-	H	$-CH_2-\overset{O}{\underset{H}{N-C}}$—⟨phenyl, CH_3⟩
3	Cl—⟨benzene⟩—CH_2-	1	2	0	-	H	$-CH_2-\overset{O}{\underset{H}{N-C}}$—⟨pyridyl, N⟩
4	Cl—⟨benzene⟩—CH_2-	1	2	0	-	H	$-CH_2-\overset{O}{\underset{H}{N-C}}$—⟨phenyl, CF_3⟩
5	Cl—⟨benzene⟩—CH_2-	1	2	0	S	H	$-CH_2-\overset{O}{\underset{H}{N-C}}$—⟨phenyl, CF_3, CF_3⟩
6	Cl—⟨benzene⟩—CH_2-	1	2	0	S	H	$-CH_2-\overset{O}{\underset{H}{N-C}}$—⟨phenyl, F_3C⟩
7	Cl—⟨benzene⟩—CH_2-	1	2	0	S	H	$-CH_2-\overset{O}{\underset{H}{N-C}}$—⟨phenyl, Br⟩
8	Cl—⟨benzene⟩—CH_2-	1	2	0	S	H	$-CH_2-\overset{O}{\underset{H}{N-C}}$—⟨phenyl, F⟩
9	Cl—⟨benzene⟩—CH_2-	1	2	0	S	H	$-CH_2-\overset{O}{\underset{H}{N-C}}$—⟨phenyl, Cl, Cl⟩
10	Cl—⟨benzene⟩—CH_2-	1	2	0	S	H	$-CH_2-\overset{O}{\underset{H}{N-C}}$—⟨phenyl, OCH_3⟩
11	Cl—⟨benzene⟩—CH_2-	1	2	0	S	H	$-CH_2-\overset{O}{\underset{H}{N-C}}$—⟨phenyl, OCH_3, OCH_3⟩

Table 1.2

Compd. No.	$\begin{smallmatrix}R^1\\R^2\end{smallmatrix}CH-$(CH$_2$)$_k$$-$	k	m	n	chirality	R^3	$-$(CH$_2$)$_p$$\overset{R^4}{\underset{R^5}{C}}$(CH$_2$)$_q$$-G-$R^6
12	Cl–⟨⟩–CH$_2$–	1	2	0	S	H	
13	Cl–⟨⟩–CH$_2$–	1	2	0	S	H	
14	Cl–⟨⟩–CH$_2$–	1	2	0	S	H	
15	Cl–⟨⟩–CH$_2$–	1	2	0	S	H	
16	Cl–⟨⟩–CH$_2$–	1	2	0	S	H	
17	Cl–⟨⟩–CH$_2$–	1	2	0	S	H	
18	Cl–⟨⟩–CH$_2$–	1	2	0	S	H	
19	Cl–⟨⟩–CH$_2$–	1	2	0	S	H	
20	Cl–⟨⟩–CH$_2$–	1	2	0	S	H	
21	Cl–⟨⟩–CH$_2$–	1	2	0	S	H	
22	Cl–⟨⟩–CH$_2$–	1	2	0	S	H	

Table 1.3

Compd. No.	R^1R^2CH-(CH$_2$)$_k$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$CR^4R^5(CH$_2$)$_q$-G-R^6
23	Cl—C$_6$H$_4$—CH$_2$—	1	2	0	S	H	—CH$_2$-N(H)-C(O)—C$_6$H$_3$(3-CF$_3$)(5-F)
24	Cl—C$_6$H$_4$—CH$_2$—	1	2	0	S	H	—CH$_2$-N(H)-C(O)—C$_6$H$_4$(3-OCF$_3$)
25	Cl—C$_6$H$_4$—CH$_2$—	1	2	0	S	H	—CH$_2$-N(H)-C(O)—C$_6$H$_3$(3-CF$_3$)(4-F)
26	Cl—C$_6$H$_4$—CH$_2$—	1	2	0	S	H	—CH$_2$-N(H)-C(O)—C$_6$H$_4$(2-NO$_2$)
27	Cl—C$_6$H$_4$—CH$_2$—	1	2	0	S	H	—CH$_2$-N(H)-C(O)—C$_6$H$_4$(3-NO$_2$)
28	Cl—C$_6$H$_4$—CH$_2$—	1	2	0	S	H	—CH$_2$-N(H)-C(O)—C$_6$H$_4$(4-NO$_2$)
29	Cl—C$_6$H$_4$—CH$_2$—	1	2	0	R	H	—CH$_2$-N(H)-C(O)—C$_6$H$_3$(3-CF$_3$)(5-CF$_3$)
30	Cl—C$_6$H$_4$—CH$_2$—	1	2	0	R	H	—CH$_2$-N(H)-C(O)—C$_6$H$_4$(2-CF$_3$)
31	Cl—C$_6$H$_4$—CH$_2$—	1	2	0	R	H	—CH$_2$-N(H)-C(O)—C$_6$H$_4$(3-Br)
32	Cl—C$_6$H$_4$—CH$_2$—	1	2	0	R	H	—CH$_2$-N(H)-C(O)—C$_6$H$_4$(3-F)
33	Cl—C$_6$H$_4$—CH$_2$—	1	2	0	R	H	—CH$_2$-N(H)-C(O)—C$_6$H$_3$(3-Cl)(4-Cl)

Table 1.4

Compd. No.	R^1 / R^2 -(CH$_2$)$_l$-	k	m	n	chirality	R^3	$-(CH_2)_p\overset{R^4}{\underset{R^5}{C}}(CH_2)_q-G-R^6$
34	Cl—⬡—CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(=O)–C$_6$H$_4$(OCH$_3$)
35	Cl—⬡—CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(=O)–C$_6$H$_3$(OCH$_3$)(OCH$_3$)
36	Cl—⬡—CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(=O)–C$_6$H$_3$(OCH$_3$)(OCH$_3$)
37	Cl—⬡—CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(=O)–C$_6$H$_4$(CF$_3$)
38	Cl—⬡—CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(=O)–C$_6$H$_4$(CH$_3$)
39	Cl—⬡—CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(=O)–C$_6$H$_4$–Cl
40	Cl—⬡—CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(=O)–C$_6$H$_4$–OCH$_3$
41	Cl—⬡—CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(=O)–C$_6$H$_3$(Cl)(Cl)
42	Cl—⬡—CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(=O)–C$_6$H$_4$(CN)
43	Cl—⬡—CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(=O)–C$_6$H$_3$(O–CH$_2$–O)
44	Cl—⬡—CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(=O)–C$_6$H$_3$(F)(CF$_3$)

Table 1.5

Compd. No.	R^1 R^2>−(CH₂)ₖ−	k	m	n	chirality	R^3	$-(CH_2)_p\!-\!{R^4 \atop R^5}\!-\!(CH_2)_q\!-\!G\!-\!R^6$
45	Cl—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	−CH₂−N(H)−C(=O)−C₆H₃(CF₃)(F)
46	Cl—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	−CH₂−N(H)−C(=O)−C₆H₃(CF₃)(F)
47	Cl—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	−CH₂−N(H)−C(=O)−C₆H₄(OCF₃)
48	Cl—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	−CH₂−N(H)−C(=O)−C₆H₃(CF₃)(F)
49	Cl—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	−CH₂−N(H)−C(=O)−C₆H₄(O₂N)
50	Cl—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	−CH₂−N(H)−C(=O)−C₆H₃(F)(CF₃)
51	Cl—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	−CH₂−N(H)−C(=O)−C₆H₄(Br)
52	Cl—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	−CH₂−N(H)−C(=O)−C₆H₄(F)
53	Cl—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	−CH₂−N(H)−C(=O)−C₆H₄(Cl)
54	Cl—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	−CH₂−N(H)−C(=O)−C₆H₃(Cl)(Cl)
55	Cl—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	−CH₂−N(H)−C(=O)−C₆H₃(Cl)(Cl)

Table 1.6

Compd. No.	R^1, R^2 (CH₂)—	k	m	n	chirality	R^3	—(CH₂)ₚ R^4, R^5 (CH₂)q—G—R^6
56	Cl—⟨benzene⟩—CH₂—	1	2	0	R	H	—CH₂—N(H)—C(=O)—⟨benzene, o-CH₃⟩
57	Cl—⟨benzene⟩—CH₂—	1	2	0	R	H	—CH₂—N(CH₃)—C(=O)—⟨benzene, 2,6-(CH₃)₂⟩
58	Cl—⟨benzene⟩—CH₂—	1	2	0	R	H	—CH₂—N(H)—C(=O)—⟨benzene, m-Cl⟩
59	Cl—⟨benzene⟩—CH₂—	1	2	0	R	H	—CH₂—N(H)—C(=O)—⟨benzene, Br⟩
60	Cl—⟨benzene⟩—CH₂—	1	2	0	R	H	—CH₂—N(H)—C(=O)—⟨biphenyl⟩
61	Cl—⟨benzene⟩—CH₂—	1	2	0	R	H	—CH₂—N(H)—C(=O)—⟨benzene, CF₃⟩
62	Cl—⟨benzene⟩—CH₂—	1	2	0	R	H	—CH₂—N(H)—C(=O)—⟨benzene, CH₃⟩
63	Cl—⟨benzene⟩—CH₂—	1	2	0	R	H	—CH₂—N(H)—C(=O)—⟨benzene, CH₂CH₃⟩
64	Cl—⟨benzene⟩—CH₂—	1	2	0	R	H	—CH₂—N(H)—C(=O)—⟨benzene, CN⟩
65	Cl—⟨benzene⟩—CH₂—	1	2	0	R	H	—CH₂—N(H)—C(=O)—⟨naphthalen-1-yl⟩
66	Cl—⟨benzene⟩—CH₂—	1	2	0	R	H	—CH₂—N(H)—C(=O)—⟨naphthalen-2-yl⟩

Table 1.7

Compd. No.	$\begin{matrix}R^1\\R^2\end{matrix}$—(CH$_2$)$_j$—	k	m	n	chirality	R^3	$-(CH_2)_p\!\!-\!\!\overset{R^4}{\underset{R^5}{C}}\!\!-\!\!(CH_2)_q\!-\!G\!-\!R^6$
67	Cl—⟨C$_6$H$_4$⟩—CH$_2$–	1	2	0	R	H	$-CH_2-\overset{O}{\underset{H}{N}}-C-$ benzoyl (2,6-diF)
68	Cl—⟨C$_6$H$_4$⟩—CH$_2$–	1	2	0	R	H	$-CH_2-\overset{O}{\underset{H}{N}}-C-$ benzoyl (3,4-diF)
69	Cl—⟨C$_6$H$_4$⟩—CH$_2$–	1	2	0	R	H	$-CH_2-\overset{O}{\underset{H}{N}}-C-$ benzoyl (2,4-diF)
70	Cl—⟨C$_6$H$_4$⟩—CH$_2$–	1	2	0	R	H	$-CH_2-\overset{O}{\underset{H}{N}}-C-$ benzoyl (3,5-diF)
71	Cl—⟨C$_6$H$_4$⟩—CH$_2$–	1	2	0	R	H	$-CH_2-\overset{O}{\underset{H}{N}}-C-$ benzoyl (4-OCH$_3$, 2-H$_3$CO)
72	Cl—⟨C$_6$H$_4$⟩—CH$_2$–	1	2	0	R	H	$-CH_2-\overset{O}{\underset{H}{N}}-C-$ benzoyl (4-OCF$_3$)
73	Cl—⟨C$_6$H$_4$⟩—CH$_2$–	1	2	0	R	H	$-CH_2-\overset{O}{\underset{H}{N}}-C-$ benzoyl (2-F$_3$CO)
74	Cl—⟨C$_6$H$_4$⟩—CH$_2$–	1	2	0	R	H	$-CH_2-\overset{O}{\underset{H}{N}}-C-$ benzoyl (4-CO$_2$CH$_3$)
75	Cl—⟨C$_6$H$_4$⟩—CH$_2$–	1	2	0	R	H	$-CH_2-\overset{O}{\underset{H}{N}}-C-$ benzoyl (4-F, 3-F$_3$C)
76	Cl—⟨C$_6$H$_4$⟩—CH$_2$–	1	2	0	R	H	$-CH_2-\overset{O}{\underset{H}{N}}-C-$ benzoyl (2-F, 6-F$_3$C)
77	Cl—⟨C$_6$H$_4$⟩—CH$_2$–	1	2	0	R	H	$-CH_2-\overset{O}{\underset{H}{N}}-C-$ benzoyl (2,6-diF, 3-F)

Table 1.8

Compd. No.	R^1 R^2 $-(CH_2)_i-$	k	m	n	chirality	R^3	$-(CH_2)_p-\overset{R^4}{\underset{R^5}{C}}-(CH_2)_q-G-R^6$
78	Cl-⟨⟩-CH₂-	1	2	0	R	H	-CH₂-NH-C(=O)-⟨⟩(F)(F)(F) (2,4,5-trifluorophenyl)
79	Cl-⟨⟩-CH₂-	1	2	0	R	H	-CH₂-NH-C(=O)-⟨⟩(CF₃)(F₃C)
80	Cl-⟨⟩-CH₂-	1	2	0	R	H	-CH₂-NH-C(=O)-⟨⟩(CF₃)(F₃C)
81	Cl-⟨⟩-CH₂-	1	2	0	R	H	-CH₂-NH-C(=O)-⟨⟩(CH₃)(CH₃)
82	Cl-⟨⟩-CH₂-	1	2	0	-	-CH₃	-CH₂-NH-C(=O)-⟨⟩-CF₃
83	Cl-⟨⟩-CH₂-	1	2	0	R	H	-CH₂-NH-C(=O)-⟨⟩-NO₂
84	Cl-⟨⟩-CH₂-	1	2	0	R	H	-CH₂-NH-C(=O)-⟨⟩-NO₂
85	Cl-⟨⟩-CH₂-	1	2	0	-	H	-(CH₂)₂-NH-C(=O)-⟨⟩
86	Cl-⟨⟩-CH₂-	1	2	0	-	H	-(CH₂)₂-NH-C(=O)-⟨⟩-NO₂
87	Cl-⟨⟩-CH₂-	1	2	0	S	H	-(CH₂)₂-NH-C(=O)-⟨⟩(CF₃)(CF₃)
88	Cl-⟨⟩-CH₂-	1	2	0	S	H	-(CH₂)₂-NH-C(=O)-⟨⟩-CF₃

Table 1.9

Compd. No.	$R^1 R^2 > CH-(CH_2)_l-$	k	m	n	chirality	R^3	$-(CH_2)_p \overset{R^4}{\underset{R^5}{C}} (CH_2)_q-G-R^6$
89	Cl—⟨⟩—CH₂–	1	2	0	S	H	$-(CH_2)_2-\overset{O}{\underset{H}{N}}-C$—⟨⟩—Br
90	Cl—⟨⟩—CH₂–	1	2	0	S	H	$-(CH_2)_2-\overset{O}{\underset{H}{N}}-C$—⟨⟩—F
91	Cl—⟨⟩—CH₂–	1	2	0	S	H	$-(CH_2)_2-\overset{O}{\underset{H}{N}}-C$—⟨⟩ (Cl, Cl)
92	Cl—⟨⟩—CH₂–	1	2	0	S	H	$-(CH_2)_2-\overset{O}{\underset{H}{N}}-C$—⟨⟩—OCH₃
93	Cl—⟨⟩—CH₂–	1	2	0	S	H	$-(CH_2)_2-\overset{O}{\underset{H}{N}}-C$—⟨⟩ (OCH₃, OCH₃)
94	Cl—⟨⟩—CH₂–	1	2	0	S	H	$-(CH_2)_2-\overset{O}{\underset{H}{N}}-C$—⟨⟩ (OCH₃, OCH₃)
95	Cl—⟨⟩—CH₂–	1	2	0	S	H	$-(CH_2)_2-\overset{O}{\underset{H}{N}}-C$—⟨⟩—CF₃
96	Cl—⟨⟩—CH₂–	1	2	0	S	H	$-(CH_2)_2-\overset{O}{\underset{H}{N}}-C$—⟨⟩—CH₃
97	Cl—⟨⟩—CH₂–	1	2	0	S	H	$-(CH_2)_2-\overset{O}{\underset{H}{N}}-C$—⟨⟩—Cl
98	Cl—⟨⟩—CH₂–	1	2	0	S	H	$-(CH_2)_2-\overset{O}{\underset{H}{N}}-C$—⟨⟩—OCH₃
99	Cl—⟨⟩—CH₂–	1	2	0	S	H	$-(CH_2)_2-\overset{O}{\underset{H}{N}}-C$—⟨⟩ (Cl, Cl)

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Table 1.10

Compd. No.	R^1 R^2 $>$(CH$_2$)$_l$–	k	m	n	chirality	R^3	–(CH$_2$)$_p$ R^4 R^5 (CH$_2$)$_q$–G–R^6
100	Cl–⟨phenyl⟩–CH$_2$–	1	2	0	S	H	–(CH$_2$)$_2$–N-C(=O)–⟨phenyl-CN⟩
101	Cl–⟨phenyl⟩–CH$_2$–	1	2	0	S	H	–(CH$_2$)$_2$–N-C(=O)–⟨methylenedioxyphenyl⟩
102	Cl–⟨phenyl⟩–CH$_2$–	1	2	0	S	H	–(CH$_2$)$_2$–N-C(=O)–⟨phenyl-F, CF$_3$⟩
103	Cl–⟨phenyl⟩–CH$_2$–	1	2	0	S	H	–(CH$_2$)$_2$–N-C(=O)–⟨phenyl-F, CF$_3$⟩
104	Cl–⟨phenyl⟩–CH$_2$–	1	2	0	S	H'	–(CH$_2$)$_2$–N-C(=O)–⟨phenyl-F, CF$_3$⟩
105	Cl–⟨phenyl⟩–CH$_2$–	1	2	0	S	H	–(CH$_2$)$_2$–N-C(=O)–⟨phenyl-CF$_3$, F⟩
106	Cl–⟨phenyl⟩–CH$_2$–	1	2	0	S	H	–(CH$_2$)$_2$–N-C(=O)–⟨phenyl-OCF$_3$⟩
107	Cl–⟨phenyl⟩–CH$_2$–	1	2	0	S	H	–(CH$_2$)$_2$–N-C(=O)–⟨phenyl-CF$_3$, F⟩
108	Cl–⟨phenyl⟩–CH$_2$–	1	2	0	S	H	–(CH$_2$)$_2$–N-C(=O)–⟨phenyl-O$_2$N⟩
109	Cl–⟨phenyl⟩–CH$_2$–	1	2	0	S	H	–(CH$_2$)$_2$–N-C(=O)–⟨phenyl-NO$_2$⟩
110	Cl–⟨phenyl⟩–CH$_2$–	1	2	0	S	H	–(CH$_2$)$_2$–N-C(=O)–⟨phenyl-NO$_2$⟩

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Table 1.11

Compd. No.	R^1, R^2 —(CH$_2$)$_j$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$$R^4$$R^5$(CH$_2$)$_q$G—R^6
111	Cl⟨⟩—CH$_2$—	1	2	0	R	H	—(CH$_2$)$_2$—N(H)—C(O)—⟨⟩ with CF$_3$, CF$_3$
112	Cl⟨⟩—CH$_2$—	1	2	0	R	H	—(CH$_2$)$_2$—N(H)—C(O)—⟨⟩ with F$_3$C
113	Cl⟨⟩—CH$_2$—	1	2	0	R	H	—(CH$_2$)$_2$—N(H)—C(O)—⟨⟩ with Br
114	Cl⟨⟩—CH$_2$—	1	2	0	R	H	—(CH$_2$)$_2$—N(H)—C(O)—⟨⟩ with F
115	Cl⟨⟩—CH$_2$—	1	2	0	R	H	—(CH$_2$)$_2$—C(O)—N(H)—⟨⟩ with Cl, Cl
116	Cl⟨⟩—CH$_2$—	1	2	0	R	H	—(CH$_2$)$_2$—N(H)—C(O)—⟨⟩ with OCH$_3$
117	Cl⟨⟩—CH$_2$—	1	2	0	R	H	—(CH$_2$)$_2$—N(H)—C(O)—⟨⟩ with OCH$_3$, OCH$_3$
118	Cl⟨⟩—CH$_2$—	1	2	0	R	H	—(CH$_2$)$_2$—N(H)—C(O)—⟨⟩ with OCH$_3$, OCH$_3$
119	Cl⟨⟩—CH$_2$—	1	2	0	R	H	—(CH$_2$)$_2$—N(H)—C(O)—⟨⟩ with CF$_3$
120	Cl⟨⟩—CH$_2$—	1	2	0	R	H	—(CH$_2$)$_2$—N(H)—C(O)—⟨⟩ with CH$_3$
121	Cl⟨⟩—CH$_2$—	1	2	0	R	H	—(CH$_2$)$_2$—N(H)—C(O)—⟨⟩—Cl

Table 1.12

Compd. No.	R¹ R² >(CH₂)ⱼ—	k	m	n	chirality	R³	—(CH₂)ₚ R⁴ R⁵ (CH₂)_q—G—R⁶
122	Cl—⬡—CH₂—	1	2	0	R	H	—(CH₂)₂—N(H)—C(=O)—⬡—OCH₃
123	Cl—⬡—CH₂—	1	2	0	R	H	—(CH₂)₂—N(H)—C(=O)—⬡(Cl,Cl)
124	Cl—⬡—CH₂—	1	2	0	R	H	—(CH₂)₂—N(H)—C(=O)—⬡(CN)
125	Cl—⬡—CH₂—	1	2	0	R	H	—(CH₂)₂—N(H)—C(=O)—⬡(O–CH₂–O)
126	Cl—⬡—CH₂—	1	2	0	R	H	—(CH₂)₂—N(H)—C(=O)—⬡(F, CF₃)
127	Cl—⬡—CH₂—	1	2	0	R	H	—(CH₂)₂—N(H)—C(=O)—⬡(CF₃, F)
128	Cl—⬡—CH₂—	1	2	0	R	H	—(CH₂)₂—N(H)—C(=O)—⬡(CF₃, F)
129	Cl—⬡—CH₂—	1	2	0	R	H	—(CH₂)₂—N(H)—C(=O)—⬡(CF₃, F)
130	Cl—⬡—CH₂—	1	2	0	R	H	—(CH₂)₂—N(H)—C(=O)—⬡(OCF₃)
131	Cl—⬡—CH₂—	1	2	0	R	H	—(CH₂)₂—N(H)—C(=O)—⬡(CF₃, F)
132	Cl—⬡—CH₂—	1	2	0	R	H	—(CH₂)₂—N(H)—C(=O)—⬡(O₂N)

Table 1.13

Compd. No.	$R^1 R^2$ CH—(CH$_2$)—	k	m	n	chirality	R^3	—(CH$_2$)$_p$ $R^4 R^5$ (CH$_2$)$_q$—G—R^6
133	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	1	2	0	R	H	—(CH$_2$)$_2$—N(H)—C(=O)—⟨C$_6$H$_4$⟩—NO$_2$
134	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	1	2	0	R	H	—(CH$_2$)$_2$—N(H)—C(=O)—⟨C$_6$H$_4$⟩—NO$_2$
135	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	1	2	0	R	H	—(CH$_2$)$_2$—N(H)—C(=O)—⟨C$_6$H$_4$-Br⟩
136	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	1	2	0	R	H	—(CH$_2$)$_2$—N(H)—C(=O)—⟨C$_6$H$_4$-F⟩
137	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	1	2	0	R	H	—(CH$_2$)$_2$—N(H)—C(=O)—⟨C$_6$H$_4$-Cl⟩
138	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	1	2	0	R	H	—(CH$_2$)$_2$—N(H)—C(=O)—⟨C$_6$H$_3$-Cl,Cl⟩
139	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	1	2	0	R	H	—(CH$_2$)$_2$—N(H)—C(=O)—⟨C$_6$H$_3$-Cl,Cl⟩
140	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	1	2	0	R	H	—(CH$_2$)$_2$—N(H)—C(=O)—⟨C$_6$H$_4$-CH$_3$⟩
141	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	1	2	0	R	H	—(CH$_2$)$_2$—N(H)—C(=O)—⟨C$_6$H$_3$-OCH$_3$,OCH$_3$⟩
142	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	1	2	0	R	H	—(CH$_2$)$_2$—N(H)—C(=O)—⟨C$_6$H$_4$-Cl⟩
143	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	1	2	0	R	H	—(CH$_2$)$_2$—N(H)—C(=O)—⟨C$_6$H$_4$-Br⟩

Table 1.14

Compd. No.	R^1 R^2 $>$ $(CH_2)_l$—	k	m	n	chirality	R^3	$-(CH_2)_p$ $\overset{R^4}{\underset{R^5}{\mid}}$ $(CH_2)_q$—G—R^6
144	Cl—⟨ ⟩—CH₂—	1	2	0	R	H	—(CH₂)₂—N(H)—C(O)—⟨ ⟩—⟨ ⟩ (biphenyl)
145	Cl—⟨ ⟩—CH₂—	1	2	0	R	H	—(CH₂)₂—N(H)—C(O)—⟨ ⟩—CF₃
146	Cl—⟨ ⟩—CH₂—	1	2	0	R	H	—(CH₂)₂—N(H)—C(O)—⟨ ⟩—CH₃
147	Cl—⟨ ⟩—CH₂—	1	2	0	R	H	—(CH₂)₂—N(H)—C(O)—⟨ ⟩—CH₂CH₃
148	Cl—⟨ ⟩—CH₂—	1	2	0	R	H	—(CH₂)₂—N(H)—C(O)—⟨ ⟩—CN
149	Cl—⟨ ⟩—CH₂—	1	2	0	R	H	—(CH₂)₂—N(H)—C(O)—(naphthyl)
150	Cl—⟨ ⟩—CH₂—	1	2	0	R	H	—(CH₂)₂—N(H)—C(O)—(naphthyl)
151	Cl—⟨ ⟩—CH₂—	1	2	0	R	H	—(CH₂)₂—N(H)—C(O)—⟨ ⟩(F,F)
152	Cl—⟨ ⟩—CH₂—	1	2	0	R	H	—(CH₂)₂—N(H)—C(O)—⟨ ⟩(F,F)
153	Cl—⟨ ⟩—CH₂—	1	2	0	R	H	—(CH₂)₂—N(H)—C(O)—⟨ ⟩(F,F)
154	Cl—⟨ ⟩—CH₂—	1	2	0	R	H	—(CH₂)₂—N(H)—C(O)—⟨ ⟩(F,F)

Table 1.15

Compd. No.	R^1, R^2 CH–(CH$_2$)$_l$–	k	m	n	chirality	R^3	–(CH$_2$)$_p$–C(R^4)(R^5)–(CH$_2$)$_q$–G–R^6
155	Cl–⟨⟩–CH$_2$–	1	2	0	R	H	–(CH$_2$)$_2$–NH–CO–⟨⟩(H$_3$CO)–OCH$_3$
156	Cl–⟨⟩–CH$_2$–	1	2	0	R	H	–(CH$_2$)$_2$–NH–CO–⟨⟩–OCF$_3$
157	Cl–⟨⟩–CH$_2$–	1	2	0	R	H	–(CH$_2$)$_2$–NH–CO–⟨⟩(F$_3$CO)–
158	Cl–⟨⟩–CH$_2$–	1	2	0	R	H	–(CH$_2$)$_2$–NH–CO–⟨⟩–CO$_2$CH$_3$
159	Cl–⟨⟩–CH$_2$–	1	2	0	R	H	–(CH$_2$)$_2$–NH–CO–⟨⟩(F$_3$C)–F
160	Cl–⟨⟩–CH$_2$–	1	2	0	R	H	–(CH$_2$)$_2$–NH–CO–⟨⟩(F, F$_3$C)–
161	Cl–⟨⟩–CH$_2$–	1	2	0	R	H	–(CH$_2$)$_2$–NH–CO–⟨⟩(F, F, F)–
162	Cl–⟨⟩–CH$_2$–	1	2	0	R	H	–(CH$_2$)$_2$–NH–CO–⟨⟩(F, F)–F
163	Cl–⟨⟩–CH$_2$–	1	2	0	R	H	–(CH$_2$)$_2$–NH–CO–⟨⟩(F$_3$C)–CF$_3$
164	Cl–⟨⟩–CH$_2$–	1	2	0	R	H	–(CH$_2$)$_2$–NH–CO–⟨⟩(F$_3$C)–CF$_3$
165	Cl–⟨⟩–CH$_2$–	1	2	0	R	H	–(CH$_2$)$_2$–NH–CO–⟨⟩(CH$_3$)–CH$_3$

Table 1.16

Compd. No.	R^1 R^2 $>$CH-(CH$_2$)$_i$-	k	m	n	chirality	R^3	$-(CH_2)_p\overset{R^4}{\underset{R^5}{C}H}(CH_2)_q G-R^6$
166	Cl—⟨⟩—CH$_2$-	1	2	0	R	H	(S) -CH-N-C- benzamide 3-CF$_3$; CH$_3$
167	Cl—⟨⟩—CH$_2$-	1	2	0	R	H	(S) -CH-N-C- benzamide 3-Br; CH$_3$
168	Cl—⟨⟩—CH$_2$-	1	2	0	R	H	(S) -CH-N-C- benzamide 3-Cl; CH$_3$
169	Cl—⟨⟩—CH$_2$-	1	2	0	R	H	(S) -CH-N-C- benzamide 3,4-Cl$_2$; CH$_3$
170	Cl—⟨⟩—CH$_2$-	1	2	0	R	H	(S) -CH-N-C- benzamide 3-CF$_3$,5-F; CH$_3$
171	Cl—⟨⟩—CH$_2$-	1	2	0	R	H	(S) -CH-N-C- benzamide 4-Cl; CH$_3$
172	Cl—⟨⟩—CH$_2$-	1	2	0	R	H	(S) -CH-N-C- benzamide; CH$_3$
173	Cl—⟨⟩—CH$_2$-	1	2	0	R	H	(S) -CH-N-C- benzamide 3-NO$_2$; CH$_3$
174	Cl—⟨⟩—CH$_2$-	1	2	0	R	H	(R) -CH-N-C- benzamide 3-CF$_3$; CH$_3$
175	Cl—⟨⟩—CH$_2$-	1	2	0	R	H	(R) -CH-N-C- benzamide 3-Br; CH$_3$
176	Cl—⟨⟩—CH$_2$-	1	2	0	R	H	(R) -CH-N-C- benzamide 3-Cl; CH$_3$

Table 1.17

Compd. No.	R^1 R^2 >CH-(CH₂)ₗ-	k	m	n	chirality	R^3	-(CH₂)ₚ-C(R⁴)(R⁵)-(CH₂)q-G-R⁶
177	Cl-⟨C₆H₄⟩-CH₂-	1	2	0	R	H	(R) -CH(CH₃)-NH-C(=O)-⟨2,3-Cl₂-C₆H₃⟩
178	Cl-⟨C₆H₄⟩-CH₂-	1	2	0	R	H	(R) -CH(CH₃)-NH-C(=O)-⟨3-CF₃-5-F-C₆H₃⟩
179	Cl-⟨C₆H₄⟩-CH₂-	1	2	0	R	H	(R) -CH(CH₃)-NH-C(=O)-⟨4-Cl-C₆H₄⟩
180	Cl-⟨C₆H₄⟩-CH₂-	1	2	0	R	H	(R) -CH(CH₃)-NH-C(=O)-⟨C₆H₅⟩
181	Cl-⟨C₆H₄⟩-CH₂-	1	2	0	R	H	(R) -CH(CH₃)-NH-C(=O)-⟨3-NO₂-C₆H₄⟩
182	Cl-⟨C₆H₄⟩-CH₂-	1	2	0	R	H	-C(CH₃)₂-NH-C(=O)-⟨3-CF₃-C₆H₄⟩
183	Cl-⟨C₆H₄⟩-CH₂-	1	2	0	R	H	-C(CH₃)₂-NH-C(=O)-⟨3-Br-C₆H₄⟩
184	Cl-⟨C₆H₄⟩-CH₂-	1	2	0	R	H	-C(CH₃)₂-NH-C(=O)-⟨3-Cl-C₆H₄⟩
185	Cl-⟨C₆H₄⟩-CH₂-	1	2	0	R	H	-C(CH₃)₂-NH-C(=O)-⟨2,4-Cl₂-C₆H₃⟩
186	Cl-⟨C₆H₄⟩-CH₂-	1	2	0	R	H	-C(CH₃)₂-NH-C(=O)-⟨3-CF₃-5-F-C₆H₃⟩
187	Cl-⟨C₆H₄⟩-CH₂-	1	2	0	R	H	-C(CH₃)₂-NH-C(=O)-⟨4-Cl-C₆H₄⟩

Table 1.18

Compd. No.	R^1 R^2 >CH-(CH$_2$)$_i$-	k	m	n	chirality	R^3	-(CH$_2$)$_p$-$\overset{R^4}{\underset{R^5}{C}}$-(CH$_2$)$_q$-G-R^6
188	Cl-⟨⟩-CH$_2$-	1	2	0	R	H	structure
189	Cl-⟨⟩-CH$_2$-	1	2	0	R	H	structure
190	Cl-⟨⟩-CH$_2$-	1	2	0	R	H	structure
191	Cl-⟨⟩-CH$_2$-	1	2	0	R	H	structure
192	Cl-⟨⟩-CH$_2$-	1	2	0	R	H	structure
193	Cl-⟨⟩-CH$_2$-	1	2	0	R	H	structure
194	Cl-⟨⟩-CH$_2$-	1	2	0	R	H	structure
195	Cl-⟨⟩-CH$_2$-	1	2	0	R	H	structure
196	Cl-⟨⟩-CH$_2$-	1	2	0	R	H	structure
197	Cl-⟨⟩-CH$_2$-	1	2	0	R	H	structure
198	Cl-⟨⟩-CH$_2$-	1	2	0	R	H	structure

Table 1.19

Compd. No.	R^1 R^2 $(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p$ R^4 R^5 $(CH_2)_q$ $G-R^6$
199	Cl—⟨⟩—CH₂-	1	2	0	R	H	(S) CH-N-C- (m-Br-phenyl); CH₂-thienyl
200	Cl—⟨⟩—CH₂-	1	2	0	R	H	(S) CH-N-C- (m-Cl-phenyl); CH₂-thienyl
201	Cl—⟨⟩—CH₂-	1	2	0	R	H	(S) CH-N-C- (dichlorophenyl); CH₂-thienyl
202	Cl—⟨⟩—CH₂-	1	2	0	R	H	(S) CH-N-C- (CF₃,F-phenyl); CH₂-thienyl
203	Cl—⟨⟩—CH₂-	1	2	0	R	H	(S) CH-N-C- (p-Cl-phenyl); CH₂-thienyl
204	Cl—⟨⟩—CH₂-	1	2	0	R	H	(S) CH-N-C- (phenyl); CH₂-thienyl
205	Cl—⟨⟩—CH₂-	1	2	0	R	H	(S) CH-N-C- (m-NO₂-phenyl); CH₂-thienyl
206	Cl—⟨⟩—CH₂-	1	2	0	R	H	(S) CH-N-C- (m-CF₃-phenyl); (CH₂)₂-S-CH₃ (O)
207	Cl—⟨⟩—CH₂-	1	2	0	R	H	(S) CH-N-C- (m-Br-phenyl); (CH₂)₂-S-CH₃ (O)
208	Cl—⟨⟩—CH₂-	1	2	0	R	H	(S) CH-N-C- (p-Cl-phenyl); (CH₂)₂-S-CH₃ (O)
209	Cl—⟨⟩—CH₂-	1	2	0	R	H	(S) CH-N-C- (dichlorophenyl); (CH₂)₂-S-CH₃ (O)

Table 1.20

Compd. No.	R^1 R^2 $\big\rangle$(CH$_2$)—	k	m	n	chirality	R^3	—(CH$_2$)$_p$—C(R^4)(R^5)—(CH$_2$)$_q$—G—R^6
210	Cl—⬡—CH$_2$–	1	2	0	R	H	(S) —CH–N–C—⬡(CF$_3$, F) with (CH$_2$)$_2$–S(O)–CH$_3$
211	Cl—⬡—CH$_2$–	1	2	0	R	H	(S) —CH–N–C—⬡–Cl with (CH$_2$)$_2$–S(O)–CH$_3$
212	Cl—⬡—CH$_2$–	1	2	0	R	H	(S) —CH–N–C—⬡ with (CH$_2$)$_2$–S(O$_2$)–CH$_3$
213	Cl—⬡—CH$_2$–	1	2	0	R	H	(S) —CH–N–C—⬡–NO$_2$ with (CH$_2$)$_2$–S(O)–CH$_3$
214	Cl—⬡—CH$_2$–	1	2	0	-	H	–(CH$_2$)$_3$–C(O)–⬡
215	Cl—⬡—CH$_2$–	1	2	0	-	H	–(CH$_2$)$_3$–C(O)–⬡–OCH$_3$
216	Cl—⬡—CH$_2$–	1	2	0	-	H	–(CH$_2$)$_3$–C(O)–⬡(thiophene)
217	Cl—⬡—CH$_2$–	1	2	0	-	H	–(CH$_2$)$_2$–C(O)–⬡(OCH$_3$, H$_3$CO)
218	Cl—⬡—CH$_2$–	1	2	0	-	H	–(CH$_2$)$_2$–C(O)–⬡(CH$_3$, H$_3$C)
219	Cl—⬡—CH$_2$–	1	2	0	-	H	–(CH$_2$)$_2$–C(O)–⬡(F, OCH$_3$)
220	Cl—⬡—CH$_2$–	1	2	0	-	H	–(CH$_2$)$_2$–C(O)–⬡–CH$_3$

Table 1.21

Compd. No.	R^1 R^2 $(CH_2)_l$	k	m	n	chirality	R^3	$-(CH_2)_p \overset{R^4}{\underset{R^5}{C}} (CH_2)_q G-R^6$
221	Cl—⟨⟩—CH₂–	1	2	0	-	H	$-(CH_2)_2\overset{O}{\overset{\|}{C}}$—⟨⟩
222	Cl—⟨⟩—CH₂–	1	2	0	-	H	$-(CH_2)_2\overset{O}{\overset{\|}{C}}$—⟨⟩—Cl
223	Cl—⟨⟩—CH₂–	1	2	0	-	H	$-(CH_2)_2\overset{O}{\overset{\|}{C}}$—⟨⟩—O(CH₂)₃CH₃
224	Cl—⟨⟩—CH₂–	1	2	0	-	H	$-CH_2\overset{O}{\underset{O}{\overset{\|}{\underset{\|}{S}}}}$—⟨⟩—CH₃
225	Cl—⟨⟩—CH₂–	1	2	0	-	H	$-(CH_2)_3\overset{O}{\overset{\|}{C}}\overset{}{\underset{H}{N}}$—⟨⟩
226	Cl—⟨⟩—CH₂–	1	2	0	-	H	$-(CH_2)_3\overset{O}{\overset{\|}{C}}\overset{}{\underset{H}{N}}$—⟨⟩—OCH₃
227	Cl—⟨⟩—CH₂–	1	2	0	-	H	$-(CH_2)_3\overset{O}{\overset{\|}{C}}\overset{}{\underset{H}{N}}$—⟨⟩—Cl
228	Cl—⟨⟩—CH₂–	1	2	0	-	H	$-(CH_2)_3\overset{O}{\overset{\|}{C}}\overset{}{\underset{H}{N}}$—⟨⟩—OCH₃
229	Cl—⟨⟩—CH₂–	1	2	0	-	H	$-CH_2\overset{CH_3}{\underset{CH_3}{\overset{\|}{\underset{\|}{C}}}}CH_2\overset{O}{\overset{\|}{C}}\overset{}{\underset{H}{N}}$—⟨⟩—CH₃
230	Cl—⟨⟩—CH₂–	1	2	0	-	H	$-CH_2$[cyclopentyl]$\overset{O}{\overset{\|}{C}}\overset{}{\underset{H}{N}}$—⟨⟩—F
231	Cl—⟨⟩—CH₂–	1	2	0	-	H	$-(CH_2)_3\overset{O}{\overset{\|}{C}}\overset{}{\underset{H}{N}}$—⟨⟩—$\overset{O}{\overset{\|}{C}}$·CH₃

Table 1.2 2

Compd. No.	R^1 R^2 $>$ $(CH_2)_l-$	k	m	n	chirality	R^3	$-(CH_2)_p \overset{R^4}{\underset{R^5}{\mid}} (CH_2)_q G-R^6$
232	Cl—⬡—CH$_2$–	1	2	0	–	H	$-(CH_2)_3-\overset{O}{\overset{\|}{C}}-\overset{}{\underset{H}{N}}$—⬡
233	Cl—⬡—CH$_2$–	1	2	0	–	H	$-(CH_2)_3-\overset{O}{\overset{\|}{C}}-\underset{H}{N}-CH_2$—⬡
234	Cl—⬡—CH$_2$–	1	2	0	–	H	$-(CH_2)_3-\overset{O}{\overset{\|}{C}}-\underset{H}{N}$—⬡(N, CH$_3$)
235	Cl—⬡—CH$_2$–	1	2	0	–	H	$-CH_2-\overset{CH_3}{\underset{CH_3}{C}}-CH_2-\overset{O}{\overset{\|}{C}}-\underset{H}{N}-CH_2$—⬡—Cl
236	Cl—⬡—CH$_2$–	1	2	0	–	H	$-CH_2-\underset{H}{N}-\overset{O}{\underset{O}{S}}$—⬡(N CH$_3$, CH$_3$)
237	Cl—⬡—CH$_2$–	1	2	0	–	H	$-CH_2-\underset{H}{N}-\overset{O}{\overset{\|}{C}}-O-CH_2$—⬡
238	Cl—⬡—CH$_2$–	1	2	0	–	H	$-\overset{}{\underset{CH_3}{C}}H-O-\overset{O}{\overset{\|}{C}}-\underset{H}{N}$—⬡—Cl
239	⬡—CH$_2$–	1	2	0	S	H	$-CH_2-\underset{H}{N}-\overset{O}{\overset{\|}{C}}$—⬡—CF$_3$
240	⬡(F)—CH$_2$–	1	2	0	S	H	$-CH_2-\underset{H}{N}-\overset{O}{\overset{\|}{C}}$—⬡—CF$_3$
241	⬡(Cl)—CH$_2$–	1	2	0	S	H	$-CH_2-\underset{H}{N}-\overset{O}{\overset{\|}{C}}$—⬡—CF$_3$
242	Cl—⬡(Cl)—CH$_2$–	1	2	0	S	H	$-CH_2-\underset{H}{N}-\overset{O}{\overset{\|}{C}}$—⬡—CF$_3$

Table 1.23

Compd. No.	R^1—CH—(CH$_2$)— R^2	k	m	n	chirality	R^3	—(CH$_2$)$_p$—CR^{4}R^5—(CH$_2$)$_q$—G—R^6
243	2,6-Cl$_2$-C$_6$H$_3$-CH$_2$-	1	2	0	S	H	—CH$_2$-NH-C(=O)-C$_6$H$_4$-CF$_3$
244	2-CH$_3$-C$_6$H$_4$-CH$_2$-	1	2	0	S	H	—CH$_2$-NH-C(=O)-C$_6$H$_4$-CF$_3$
245	3-F-C$_6$H$_4$-CH$_2$-	1	2	0	S	H	—CH$_2$-NH-C(=O)-C$_6$H$_4$-CF$_3$
246	Cl-C$_6$H$_4$-CH$_2$-	1	2	0	S	H	—CH$_2$-NH-C(=O)-C$_6$H$_4$-CF$_3$
247	Cl,Cl-C$_6$H$_3$-CH$_2$-	1	2	0	S	H	—CH$_2$-NH-C(=O)-C$_6$H$_4$-CF$_3$
248	H$_3$CO-C$_6$H$_4$-CH$_2$-	1	2	0	S	H	—CH$_2$-NH-C(=O)-C$_6$H$_4$-CF$_3$
249	F$_3$C-C$_6$H$_4$-CH$_2$-	1	2	0	S	H	—CH$_2$-NH-C(=O)-C$_6$H$_4$-CF$_3$
250	H$_3$C-C$_6$H$_4$-CH$_2$-	1	2	0	S	H	—CH$_2$-NH-C(=O)-C$_6$H$_4$-CF$_3$
251	F-C$_6$H$_4$-CH$_2$-	1	2	0	S	H	—CH$_2$-NH-C(=O)-C$_6$H$_4$-CF$_3$
252	H$_3$CO-C$_6$H$_4$-CH$_2$-	1	2	0	S	H	—CH$_2$-NH-C(=O)-C$_6$H$_4$-CF$_3$
253	H$_3$C-C$_6$H$_4$-CH$_2$-	1	2	0	S	H	—CH$_2$-NH-C(=O)-C$_6$H$_4$-CF$_3$

Table 1.24

Compd. No.	$\begin{array}{c}R^1\\R^2\end{array}$⟩—(CH$_2$)$_k$—	k	m	n	chirality	R³	—(CH$_2$)$_p$—$\begin{array}{c}R^4\\ \mid \\ R^5\end{array}$—(CH$_2$)$_q$—G—R⁶
254	Cl—⟨NO$_2$⟩—CH$_2$—	1	2	0	S	H	—CH$_2$—N(H)—C(O)—⟨CF$_3$⟩
255	O$_2$N—⟨⟩—CH$_2$—	1	2	0	S	H	—CH$_2$—N(H)—C(O)—⟨CF$_3$⟩
256	O$_2$N—⟨⟩—CH$_2$—	1	2	0	S	H	—CH$_2$—N(H)—C(O)—⟨CF$_3$⟩
257	⟨CF$_3$⟩—CH$_2$—	1	2	0	S	H	—CH$_2$—N(H)—C(O)—⟨CF$_3$⟩
258	⟨⟩—CH(CO$_2$CH$_2$CH$_3$)—	1	2	0	S	H	—CH$_2$—N(H)—C(O)—⟨CF$_3$⟩
259	⟨⟩—CH(CH$_3$)—	1	2	0	S	H	—CH$_2$—N(H)—C(O)—⟨CF$_3$⟩
260	Cl—⟨Cl⟩—CH$_2$—	1	2	0	S	H	—CH$_2$—N(H)—C(O)—⟨CF$_3$⟩
261	F$_3$C—⟨⟩—CH$_2$—	1	2	0	S	H	—CH$_2$—N(H)—C(O)—⟨CF$_3$⟩
262	⟨Br⟩—CH$_2$—	1	2	0	S	H	—CH$_2$—N(H)—C(O)—⟨CF$_3$⟩
263	Br—⟨⟩—CH$_2$—	1	2	0	S	H	—CH$_2$—N(H)—C(O)—⟨CF$_3$⟩
264	⟨O-Ph⟩—CH$_2$—	1	2	0	S	H	—CH$_2$—N(H)—C(O)—⟨CF$_3$⟩

Table 1.25

Compd. No.	R^1 R^2 $-(CH_2)_m-$	k	m	n	chirality	R^3	$-(CH_2)_p-\overset{R^4}{\underset{R^5}{C}}-(CH_2)_q-G-R^6$
265	Br-⟨C6H4⟩-CH₂-	1	2	0	S	H	-CH₂-N(H)-C(O)-⟨C6H4⟩-CF₃
266	(methylenedioxyphenyl)-CH₂-	1	2	0	S	H	-CH₂-N(H)-C(O)-⟨C6H4⟩-CF₃
267	(2-OCH₃-phenyl)-CH₂-	1	2	0	S	H	-CH₂-N(H)-C(O)-⟨C6H4⟩-CF₃
268	H₃C-C(O)-N(H)-⟨C6H4⟩-CH₂-	1	2	0	S	H	-CH₂-N(H)-C(O)-⟨C6H4⟩-CF₃
269	H₃C-S(O)(O)-⟨C6H4⟩-CH₂-	1	2	0	S	H	-CH₂-N(H)-C(O)-⟨C6H4⟩-CF₃
270	H₃CO₂C-⟨C6H4⟩-CH₂-	1	2	0	S	H	-CH₂-N(H)-C(O)-⟨C6H4⟩-CF₃
271	(2,6-difluorophenyl)-CH₂-	1	2	0	S	H	-CH₂-N(H)-C(O)-⟨C6H4⟩-CF₃
272	HO-⟨C6H4⟩-CH₂-	1	2	0	S	H	-CH₂-N(H)-C(O)-⟨C6H4⟩-CF₃
273	(2-CN-phenyl)-CH₂-	1	2	0	S	H	-CH₂-N(H)-C(O)-⟨C6H4⟩-CF₃
274	NC-(3-phenyl)-CH₂-	1	2	0	S	H	-CH₂-N(H)-C(O)-⟨C6H4⟩-CF₃
275	NC-⟨C6H4⟩-CH₂-	1	2	0	S	H	-CH₂-N(H)-C(O)-⟨C6H4⟩-CF₃

Table 1.26

Compd. No.	R^1 R^2 $\!>\!$(CH$_2$)$_j$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$—CR^{4}R^5—(CH$_2$)$_q$—G—R^6
276	2,4-difluorophenyl-CH$_2$—	1	2	0	S	H	—CH$_2$—NH—CO—(3-CF$_3$-phenyl)
277	biphenyl-CH$_2$—	1	2	0	S	H	—CH$_2$—NH—CO—(3-CF$_3$-phenyl)
278	H$_3$CO$_2$C—phenyl—CH$_2$—	1	2	0	S	H	—CH$_2$—NH—CO—(3-CF$_3$-phenyl)
279	F$_3$CO—phenyl—CH$_2$—	1	2	0	S	H	—CH$_2$—NH—CO—(3-CF$_3$-phenyl)
280	(3-F$_3$CO-phenyl)—CH$_2$—	1	2	0	S	H	—CH$_2$—NH—CO—(3-CF$_3$-phenyl)
281	HO$_2$C—phenyl—CH$_2$—	1	2	0	S	H	—CH$_2$—NH—CO—(3-CF$_3$-phenyl)
282	(H$_3$C)$_3$C—phenyl—CH$_2$—	1	2	0	S	H	—CH$_2$—NH—CO—(3-CF$_3$-phenyl)
283	(3,5-dimethylisoxazol-4-yl)—CH$_2$—	1	2	0	S	H	—CH$_2$—NH—CO—(3-CF$_3$-phenyl)
284	Cl—phenyl—CH(phenyl)—CH—	1	2	0	S	H	—CH$_2$—NH—CO—(3-CF$_3$-phenyl)
285	phenyl—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—(3-CF$_3$-phenyl)
286	(2-F-phenyl)—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—(3-CF$_3$-phenyl)

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Table 1.27

Compd. No.	R^1 R^2 $>$C(CH$_2$)$_i$–	k	m	n	chirality	R^3	–(CH$_2$)$_p$–C(R^4)(R^5)–(CH$_2$)$_q$–G–R^6
287	(2-Cl-C$_6$H$_4$-CH$_2$–)	1	2	0	R	H	–CH$_2$–NH–C(O)–C$_6$H$_4$–CF$_3$
288	(dichloro-CH$_2$–)	1	2	0	R	H	–CH$_2$–NH–C(O)–C$_6$H$_4$–CF$_3$
289	(dichloro-CH$_2$–)	1	2	0	R	H	–CH$_2$–NH–C(O)–C$_6$H$_4$–CF$_3$
290	(CH$_3$-C$_6$H$_4$-CH$_2$–)	1	2	0	R	H	–CH$_2$–NH–C(O)–C$_6$H$_4$–CF$_3$
291	(F-C$_6$H$_4$-CH$_2$–)	1	2	0	R	H	–CH$_2$–NH–C(O)–C$_6$H$_4$–CF$_3$
292	(Cl-C$_6$H$_4$-CH$_2$–)	1	2	0	R	H	–CH$_2$–NH–C(O)–C$_6$H$_4$–CF$_3$
293	(dichloro-CH$_2$–)	1	2	0	R	H	–CH$_2$–NH–C(O)–C$_6$H$_4$–CF$_3$
294	(H$_3$CO-C$_6$H$_4$-CH$_2$–)	1	2	0	R	H	–CH$_2$–NH–C(O)–C$_6$H$_4$–CF$_3$
295	(F$_3$C-C$_6$H$_4$-CH$_2$–)	1	2	0	R	H	–CH$_2$–NH–C(O)–C$_6$H$_4$–CF$_3$
296	(H$_3$C-C$_6$H$_4$-CH$_2$–)	1	2	0	R	H	–CH$_2$–NH–C(O)–C$_6$H$_4$–CF$_3$
297	(F-C$_6$H$_4$-CH$_2$–)	1	2	0	R	H	–CH$_2$–NH–C(O)–C$_6$H$_4$–CF$_3$

Table 1.28

Compd. No.	R^1 R^2 $\rangle$(CH$_2$)—	k	m	n	chirality	R^3	—(CH$_2$)$_p$$\overset{R^4}{\underset{R^5}{|}}$(CH$_2$)$_q$-G-R^6
298	H$_3$CO—⟨⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—N$\overset{H}{}$—C(O)—⟨⟩—CF$_3$
299	H$_3$C—⟨⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—N$\overset{H}{}$—C(O)—⟨⟩—CF$_3$
300	Cl—⟨⟩(NO$_2$)—CH$_2$—	1	2	0	R	H	—CH$_2$—N$\overset{H}{}$—C(O)—⟨⟩—CF$_3$
301	O$_2$N—⟨⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—N$\overset{H}{}$—C(O)—⟨⟩—CF$_3$
302	O$_2$N—⟨⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—N$\overset{H}{}$—C(O)—⟨⟩—CF$_3$
303	⟨⟩(CF$_3$)—CH$_2$—	1	2	0	R	H	—CH$_2$—N$\overset{H}{}$—C(O)—⟨⟩—CF$_3$
304	⟨⟩—CH(CO$_2$CH$_2$CH$_3$)—	1	2	0	R	H	—CH$_2$—N$\overset{H}{}$—C(O)—⟨⟩—CF$_3$
305	⟨⟩—CH(CH$_3$)—	1	2	0	R	H	—CH$_2$—N$\overset{H}{}$—C(O)—⟨⟩—CF$_3$
306	⟨⟩(Cl)(Cl)—CH$_2$—	1	2	0	R	H	—CH$_2$—N$\overset{H}{}$—C(O)—⟨⟩—CF$_3$
307	F$_3$C—⟨⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—N$\overset{H}{}$—C(O)—⟨⟩—CF$_3$
308	⟨⟩(Br)—CH$_2$—	1	2	0	R	H	—CH$_2$—N$\overset{H}{}$—C(O)—⟨⟩—CF$_3$

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Table 1.29

Compd. No.	R^1/R^3—(CH$_2$)$_\text{l}$—	k	m	n	chirality	R^3	$-(CH_2)_p$—$\overset{R^4}{\underset{R^5}{C}}$—$(CH_2)_q$—G—$R^6$
309	(2-Br-phenyl)-CH$_2$-	1	2	0	R	H	-CH$_2$-N(H)-C(O)-(3-CF$_3$-phenyl)
310	(3-phenoxy-phenyl)-CH$_2$-	1	2	0	R	H	-CH$_2$-N(H)-C(O)-(3-CF$_3$-phenyl)
311	(4-Br-phenyl)-CH$_2$-	1	2	0	R	H	-CH$_2$-N(H)-C(O)-(3-CF$_3$-phenyl)
312	(3,4-methylenedioxy-phenyl)-CH$_2$-	1	2	0	R	H	-CH$_2$-N(H)-C(O)-(3-CF$_3$-phenyl)
313	(2-OCH$_3$-phenyl)-CH$_2$-	1	2	0	R	H	-CH$_2$-N(H)-C(O)-(3-CF$_3$-phenyl)
314	H$_3$C-C(O)-N(H)-(4-phenyl)-CH$_2$-	1	2	0	R	H	-CH$_2$-N(H)-C(O)-(3-CF$_3$-phenyl)
315	H$_2$C-S(O$_2$)-(4-phenyl)-CH$_2$-	1	2	0	R	H	-CH$_2$-N(H)-C(O)-(3-CF$_3$-phenyl)
316	H$_3$CO$_2$C-(phenyl)-CH$_2$-	1	2	0	R	H	-CH$_2$-N(H)-C(O)-(3-CF$_3$-phenyl)
317	(2,6-diF-phenyl)-CH$_2$-	1	2	0	R	H	-CH$_2$-N(H)-C(O)-(3-CF$_3$-phenyl)
318	(4-HO-phenyl)-CH$_2$-	1	2	0	R	H	-CH$_2$-N(H)-C(O)-(3-CF$_3$-phenyl)
319	(2-CN-phenyl)-CH$_2$-	1	2	0	R	H	-CH$_2$-N(H)-C(O)-(3-CF$_3$-phenyl)

Table 1.30

Compd. No.	R^1, R^2 $\langle$(CH$_2$)$_l\rangle$	k	m	n	chirality	R^3	$-(CH_2)_p\overset{R^4}{\underset{R^5}{C}}(CH_2)_q-G-R^6$
320	NC-⟨⟩-CH$_2$-	1	2	0	R	H	-CH$_2$-N(H)-CO-⟨⟩-CF$_3$
321	NC-⟨⟩-CH$_2$-	1	2	0	R	H	-CH$_2$-N(H)-CO-⟨⟩-CF$_3$
322	F,F-⟨⟩-CH$_2$-	1	2	0	R	H	-CH$_2$-N(H)-CO-⟨⟩-CF$_3$
323	⟨⟩-⟨⟩-CH$_2$-	1	2	0	R	H	-CH$_2$-N(H)-CO-⟨⟩-CF$_3$
324	H$_3$CO$_2$C-⟨⟩-CH$_2$-	1	2	0	R	H	-CH$_2$-N(H)-CO-⟨⟩-CF$_3$
325	F$_3$CO-⟨⟩-CH$_2$-	1	2	0	R	H	-CH$_2$-N(H)-CO-⟨⟩-CF$_3$
326	F$_3$CO-⟨⟩-CH$_2$-	1	2	0	R	H	-CH$_2$-N(H)-CO-⟨⟩-CF$_3$
327	HO$_2$C-⟨⟩-CH$_2$-	1	2	0	R	H	-CH$_2$-N(H)-CO-⟨⟩-CF$_3$
328	(H$_3$C)$_3$C-⟨⟩-CH$_2$-	1	2	0	R	H	-CH$_2$-N(H)-CO-⟨⟩-CF$_3$
329	CH$_3$-isoxazole-CH$_2$-, CH$_3$	1	2	0	R	H	-CH$_2$-N(H)-CO-⟨⟩-CF$_3$
330	Cl-⟨⟩-CH$_2$-	0	3	1	-	H	-CH$_2$-N(H)-CO-⟨⟩

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Table 1.31

Compd. No.	R^1 R^2 >(CH$_2$)$_l$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$—C(R^4)(R^5)—(CH$_2$)$_q$—G—R^6
331	Cl—⟨⟩—CH$_2$—	0	3	1	-	H	—CH$_2$—NH—C(O)—C$_6$H$_4$(CH$_3$)
332	Cl—⟨⟩—CH$_2$—	0	3	1	-	H	—CH$_2$—NH—C(O)—C$_6$H$_2$(OCH$_3$)(OCH$_3$)(OCH$_3$)
333	Cl—⟨⟩—CH$_2$—	0	3	1	-	H	—CH$_2$—NH—C(O)—(pyridyl, N)
334	Cl—⟨⟩—CH$_2$—	0	3	1	-	H	—CH$_2$—NH—C(O)—C$_6$H$_4$—CH$_3$
335	Cl—⟨⟩—CH$_2$—	0	3	1	-	H	—CH$_2$—NH—C(O)—C$_6$H$_4$(NO$_2$)
336	Cl—⟨⟩—CH$_2$—	0	3	1	-	H	—CH$_2$—NH—C(O)—C$_6$H$_4$(CF$_3$)
337	Cl—⟨⟩—CH$_2$—	0	3	1	-	H	—CH$_2$—NH—C(O)—C$_6$H$_4$(H$_3$C)
338	Cl—⟨⟩—CH$_2$—	0	3	1	-	H	—CH$_2$—N(CH$_3$)—C(O)—C$_6$H$_5$
339	Cl—⟨⟩—CH$_2$—	0	3	1	R	H	—CH$_2$—NH—C(O)—C$_6$H$_4$(CF$_3$)
340	Cl—⟨⟩—CH$_2$—	0	3	1	S	H	—CH$_2$—NH—C(O)—C$_6$H$_4$(CF$_3$)
341	Cl—⟨⟩—CH$_2$—	0	3	1	-	H	—(CH$_2$)$_2$—NH—C(O)—C$_6$H$_5$

Table 1.3 2

Compd. No.	R^1 R^2 $>$CH-(CH$_2$)$_i$-	k	m	n	chirality	R^3	$-(CH_2)_p$ R^4 R^5 $(CH_2)_q$-G-R^6
342	Cl—⟨C₆H₄⟩—CH₂-	0	3	1	-	H	—CH(CH₃)-NH-C(=O)-⟨phenyl⟩
343	Cl—⟨C₆H₄⟩—CH₂-	0	3	1	-	H	—CH(CH(CH₃)₂)-NH-C(=O)-⟨phenyl⟩
344	Cl—⟨C₆H₄⟩—CH₂-	0	3	1	-	H	—CH(CH₂CH(CH₃)₂)-NH-C(=O)-⟨phenyl⟩
345	Cl—⟨C₆H₄⟩—CH₂-	0	3	1	-	H	—(CH₂)₃-C(=O)-⟨phenyl⟩
346	Cl—⟨C₆H₄⟩—CH₂-	0	3	1	-	H	—(CH₂)₂-C(=O)-⟨C₆H₃(F)(OCH₃)⟩
347	Cl—⟨C₆H₄⟩—CH₂-	0	3	1	-	H	—(CH₂)₂-C(=O)-⟨C₆H₃(H₃C)(CH₃)⟩
348	Cl—⟨C₆H₄⟩—CH₂-	0	3	1	-	H	—(CH₂)₂-C(=O)-⟨C₆H₄-CH₃⟩
349	Cl—⟨C₆H₄⟩—CH₂-	0	3	1	-	H	—CH₂-S(=O)₂-⟨C₆H₄-CH₃⟩
350	Cl—⟨C₆H₄⟩—CH₂-	0	3	1	-	H.	—CH₂-NH-S(=O)₂-⟨C₆H₄-CH₃⟩
351	Cl—⟨C₆H₄⟩—CH₂-	0	3	1	-	H	—CH₂-NH-C(=O)-O-CH₂-⟨phenyl⟩
352	Cl—⟨C₆H₄⟩—CH₂-	0	3	1	-	H	—CH(CH₃)-O-C(=O)-NH-⟨C₆H₄-Cl⟩

Table 1.33

Compd. No.	R^1 / R^2 —(CH$_2$)$_l$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$—C(R^4)(R^5)—(CH$_2$)$_q$—G—R^6
353	Cl—⬡—CH$_2$—	1	2	1	-	H	—CH$_2$—NH—C(=O)—⬡
354	Cl—⬡—CH$_2$—	1	3	0	-	H	—CH$_2$—NH—C(=O)—⬡
355	Cl—⬡—CH$_2$—	1	3	0	-	H	—CH$_2$—NH—C(=O)—⬡(3-CH$_3$)
356	Cl—⬡—CH$_2$—	1	3	0	-	H	—CH$_2$—NH—C(=O)—(pyridyl)
357	Cl—⬡—CH$_2$—	1	3	0	-	H	—CH$_2$—NH—C(=O)—⬡(2-CH$_3$)
358	Cl—⬡—CH$_2$—	1	3	0	-	H	—CH$_2$—NH—C(=O)—⬡(CF$_3$)
359	Cl—⬡—CH$_2$—	1	3	0	-	H	—(CH$_2$)$_2$—NH—C(=O)—⬡
360	Cl—⬡—CH$_2$—	1	3	0	-	H	—(CH$_2$)$_2$—NH—C(=O)—⬡—NO$_2$
361	Cl—⬡—CH$_2$—	1	3	0	-	H	—(CH$_2$)$_3$—C(=O)—⬡
362	Cl—⬡—CH$_2$—	1	3	0	-	H	—(CH$_2$)$_3$—C(=O)—⬡—OCH$_3$
363	Cl—⬡—CH$_2$—	1	3	0	-	H	—(CH$_2$)$_3$—C(=O)—(thienyl)

Table 1.34

Compd. No.	R^1 R^2 $>$(CH$_2$)$_i$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$CR^4R^5(CH$_2$)$_q$—G—R^6
364	Cl—C$_6$H$_4$—CH$_2$—	1	3	0	-	H	—(CH$_2$)$_2$—C(=O)— phenyl (OCH$_3$, H$_3$CO)
365	Cl—C$_6$H$_4$—CH$_2$—	1	3	0	-	H	—(CH$_2$)$_2$—C(=O)— phenyl (H$_3$C, CH$_3$)
366	Cl—C$_6$H$_4$—CH$_2$—	1	3	0	-	H	—(CH$_2$)$_2$—C(=O)— phenyl (F, OCH$_3$)
367	Cl—C$_6$H$_4$—CH$_2$—	1	3	0	-	H	—(CH$_2$)$_2$—C(=O)—C$_6$H$_4$—CH$_3$
368	Cl—C$_6$H$_4$—CH$_2$—	1	3	0	-	H	—(CH$_2$)$_2$—C(=O)—phenyl
369	Cl—C$_6$H$_4$—CH$_2$—	1	3	0	-	H	—(CH$_2$)$_2$—C(=O)—C$_6$H$_4$—Cl
370	Cl—C$_6$H$_4$—CH$_2$—	1	3	0	-	H	—(CH$_2$)$_2$—C(=O)—C$_6$H$_4$—O(CH$_2$)$_3$CH$_3$
371	Cl—C$_6$H$_4$—CH$_2$—	1	3	0	-	H	—(CH$_2$)$_2$—C(=O)—C$_6$H$_4$—S(=O)$_2$—CH$_3$
372	Cl—C$_6$H$_4$—CH$_2$—	1	3	0	-	H	—CH$_2$—S(=O)$_2$—C$_6$H$_4$—CH$_3$
373	Cl—C$_6$H$_4$—CH$_2$—	1	3	0	-	H	—(CH$_2$)$_3$—C(=O)—N(H)—phenyl
374	Cl—C$_6$H$_4$—CH$_2$—	1	3	0	-	H	—(CH$_2$)$_3$—C(=O)—N(H)—C$_6$H$_4$—OCH$_3$

Table 1.35

Compd. No.	R^1 R^2 >(CH_2)_l-	k	m	n	chirality	R^3	$-(CH_2)_p-\overset{R^4}{\underset{R^5}{C}}-(CH_2)_q-G-R^6$
375	Cl—⟨⟩—CH_2-	1	3	0	-	H	$-(CH_2)_3-\overset{O}{C}-\overset{}{\underset{H}{N}}$—⟨⟩—Cl
376	Cl—⟨⟩—CH_2-	1	3	0	-	H	$-(CH_2)_3-\overset{O}{C}-\overset{}{\underset{H}{N}}$—⟨⟩—OCH_3
377	Cl—⟨⟩—CH_2-	1	3	0	-	H	$-CH_2-\overset{CH_3}{\underset{CH_3}{C}}-CH_2-\overset{O}{C}-\overset{}{\underset{H}{N}}$—⟨⟩—Cl
378	Cl—⟨⟩—CH_2-	1	3	0	-	H	$-CH_2-\overset{\text{cyclopentyl}}{C}-CH_2-\overset{O}{C}-\overset{}{\underset{H}{N}}$—⟨⟩—F
379	Cl—⟨⟩—CH_2-	1	3	0	-	H	$-(CH_2)_3-\overset{O}{C}-\overset{}{\underset{H}{N}}$—⟨⟩—$\overset{O}{C}$-CH_3
380	Cl—⟨⟩—CH_2-	1	3	0	-	H	$-(CH_2)_3-\overset{O}{C}-\overset{}{\underset{H}{N}}-CH_2$—⟨⟩
381	Cl—⟨⟩—CH_2-	1	3	0	-	H	$-CH_2-\overset{}{\underset{H}{N}}-\overset{O}{\underset{O}{S}}$—⟨⟩—CH_3
382	Cl—⟨⟩—CH_2-	1	3	0	-	H	$-CH_2-\overset{}{\underset{H}{N}}-\overset{O}{C}-O-CH_2$—⟨⟩
383	Cl—⟨⟩—CH_2-	1	3	0	-	H	$-\overset{}{\underset{CH_3}{C}H}-O-\overset{O}{C}-\overset{}{\underset{H}{N}}$—⟨⟩—Cl
384	Cl—⟨⟩—CH_2-	2	2	0	-	H	$-CH_2-\overset{}{\underset{H}{N}}-\overset{O}{C}$—⟨⟩—CH_3
385	Cl—⟨⟩—CH_2-	2	2	0	-	H	$-CH_2-\overset{}{\underset{H}{N}}-\overset{O}{C}$—⟨⟩—NO_2

Table 1.36

Compd. No.	R^1 R^2 >(CH$_2$)$_l$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$ R^4 R^5 —(CH$_2$)$_q$—G—R^6
386	⬡–CH$_2$–	2	2	0	–	H	—CH$_2$–N(H)–C(=O)–⬡
387	⬡–CH$_2$–	2	2	0	–	H	—CH$_2$–N(H)–C(=O)–naphthyl
388	⬡–CH$_2$–	2	2	0	–	H	—CH$_2$–N(H)–C(=O)–⬡–NO$_2$
389	⬡–CH$_2$–	2	2	0	–	H	—CH$_2$–N(H)–C(=O)–⬡–CO$_2$CH$_3$
390	⬡–CH$_2$–	2	2	0	–	H	—CH$_2$–N(H)–C(=O)–⬡–CF$_3$
391	⬡–CH$_2$–	2	2	0	–	H	—CH$_2$–N(H)–C(=O)–⬡(CF$_3$)(F)
392	⬡–CH$_2$–	2	2	0	–	H	—CH$_2$–N(H)–C(=O)–⬡–OCF$_3$
393	⬡–CH$_2$–	2	2	0	–	H	—CH$_2$–N(H)–C(=O)–⬡–Br
394	⬡–CH$_2$–	2	2	0	–	H	—CH$_2$–N(H)–C(=O)–⬡–Cl
395	⬡–CH$_2$–	2	2	0	–	H	—CH$_2$–N(H)–C(=O)–⬡–Br
396	⬡–CH$_2$–	2	2	0	–	H	—CH$_2$–N(H)–C(=O)–⬡(F)(F)

Table 1.37

Compd. No.	R^1 R^2 $\langle$(CH$_2$)$_k$-	k	m	n	chirality	R^3	-(CH$_2$)$_p$-C$R^4$$R^5$-(CH$_2$)$_q$-G-R^6
397	phenyl-CH$_2$-	2	2	0	-	H	-CH$_2$-N(H)-C(O)-phenyl(3,4-diCl)
398	phenyl-CH$_2$-	2	2	0	-	H	-(CH$_2$)$_2$-N(H)-C(O)-phenyl
399	phenyl-CH$_2$-	2	2	0	-	H	-(CH$_2$)$_2$-N(H)-C(O)-naphthyl
400	phenyl-CH$_2$-	2	2	0	-	H	-(CH$_2$)$_2$-N(H)-C(O)-phenyl(3-NO$_2$)
401	phenyl-CH$_2$-	2	2	0	-	H	-(CH$_2$)$_2$-N(H)-C(O)-phenyl(4-CO$_2$CH$_3$)
402	phenyl-CH$_2$-	2	2	0	-	H	-(CH$_2$)$_2$-N(H)-C(O)-phenyl(3-CF$_3$)
403	phenyl-CH$_2$-	2	2	0	-	H	-(CH$_2$)$_2$-N(H)-C(O)-phenyl(3-CF$_3$,5-F)
404	phenyl-CH$_2$-	2	2	0	-	H	-(CH$_2$)$_2$-N(H)-C(O)-phenyl(3-OCF$_3$)
405	phenyl-CH$_2$-	2	2	0	-	H	-(CH$_2$)$_2$-N(H)-C(O)-phenyl(3-Br)
406	phenyl-CH$_2$-	2	2	0	-	H	-(CH$_2$)$_2$-N(H)-C(O)-phenyl(3-Cl)
407	phenyl-CH$_2$-	2	2	0	-	H	-(CH$_2$)$_2$-N(H)-C(O)-phenyl(4-Br)

Table 1.38

Compd. No.	R^1 R^2 $>$(CH$_2$)$_l$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$—$\begin{smallmatrix}R^4\\\|\\R^5\end{smallmatrix}$—(CH$_2$)$_q$—G—R^6
408	⟨⟩-CH$_2$-	2	2	0	-	H	-(CH$_2$)$_2$-N(H)-C(O)-C$_6$H$_3$(2,4-F$_2$)
409	⟨⟩-CH$_2$-	2	2	0	-	H	-(CH$_2$)$_2$-N(H)-C(O)-C$_6$H$_3$(3,4-Cl$_2$)
410	⟨⟩-CH$_2$-	2	2	0	-	H	(S) -CH(CH$_2$CH(CH$_3$)$_2$)-N(H)-C(O)-C$_6$H$_5$
411	⟨⟩-CH$_2$-	2	2	0	-	H	(S) -CH(CH$_2$CH(CH$_3$)$_2$)-N(H)-C(O)-(naphthyl)
412	⟨⟩-CH$_2$-	2	2	0	-	H	(S) -CH(CH$_2$CH(CH$_3$)$_2$)-N(H)-C(O)-C$_6$H$_4$(3-NO$_2$)
413	⟨⟩-CH$_2$-	2	2	0	-	H	(S) -CH(CH$_2$CH(CH$_3$)$_2$)-N(H)-C(O)-C$_6$H$_4$(4-CO$_2$CH$_3$)
414	⟨⟩-CH$_2$-	2	2	0	-	H	(S) -CH(CH$_2$CH(CH$_3$)$_2$)-N(H)-C(O)-C$_6$H$_4$(3-CF$_3$)
415	⟨⟩-CH$_2$-	2	2	0	-	H	(S) -CH(CH$_2$CH(CH$_3$)$_2$)-N(H)-C(O)-C$_6$H$_3$(3-CF$_3$,5-F)
416	⟨⟩-CH$_2$-	2	2	0	-	H	(S) -CH(CH$_2$CH(CH$_3$)$_2$)-N(H)-C(O)-C$_6$H$_4$(3-OCF$_3$)
417	⟨⟩-CH$_2$-	2	2	0	-	H	(S) -CH(CH$_2$CH(CH$_3$)$_2$)-N(H)-C(O)-C$_6$H$_4$(3-Br)
418	⟨⟩-CH$_2$-	2	2	0	-	H	(S) -CH(CH$_2$CH(CH$_3$)$_2$)-N(H)-C(O)-C$_6$H$_4$(3-Cl)

Table 1.39

Compd. No.	R^1 R^2 $>$ (CH₂)–	k	m	n	chirality	R^3	$-(CH_2)_p \begin{smallmatrix} R^4 \\ R^5 \end{smallmatrix} (CH_2)_q-G-R^6$
419	⌬–CH₂–	2	2	0	-	H	(S) –CH–N–C(O)–⟨⟩–Br ; CH₂CH(CH₃)₂
420	⌬–CH₂–	2	2	0	-	H	(S) –CH–N–C(O)–⟨⟩ F,F ; CH₂CH(CH₃)₂
421	⌬–CH₂–	2	2	0	-	H	(S) –CH–N–C(O)–⟨⟩ Cl,Cl ; CH₂CH(CH₃)₂
422	⌬–CH₂–	2	2	0	-	H	(R) –CH–N–C(O)–⟨⟩ ; CH₂CH(CH₃)₂
423	⌬–CH₂–	2	2	0	-	H	(R) –CH–N–C(O)–naphthyl ; CH₂CH(CH₃)₂
424	⌬–CH₂–	2	2	0	-	H	(R) –CH–N–C(O)–⟨⟩–NO₂ ; CH₂CH(CH₃)₂
425	⌬–CH₂–	2	2	0	-	H	(R) –CH–N–C(O)–⟨⟩–CO₂CH₃ ; CH₂CH(CH₃)₂
426	⌬–CH₂–	2	2	0	-	H	(R) –CH–N–C(O)–⟨⟩–CF₃ ; CH₂CH(CH₃)₂
427	⌬–CH₂–	2	2	0	-	H	(R) –CH–N–C(O)–⟨⟩ CF₃,F ; CH₂CH(CH₃)₂
428	⌬–CH₂–	2	2	0	-	H	(R) –CH–N–C(O)–⟨⟩–OCF₃ ; CH₂CH(CH₃)₂
429	⌬–CH₂–	2	2	0	-	H	(R) –CH–N–C(O)–⟨⟩–Br ; CH₂CH(CH₃)₂

Table 1.40

Compd. No.	R^1 R^2 (CH_2)	k	m	n	chirality	R^3	$-(CH_2)_p$ R^4 R^5 $(CH_2)_q$ $G-R^6$
430	—CH₂—	2	2	0	-	H	
431	—CH₂—	2	2	0	-	H	
432	—CH₂—	2	2	0	-	H	
433	—CH₂—	2	2	0	-	H	
434	Cl—⟨⟩—CH₂—	1	3	1	-	H	
435	Cl—⟨⟩—CH₂—	1	3	1	-	H	
436	Cl—⟨⟩—CH₂—	1	3	1	-	H	
437	Cl—⟨⟩—CH₂—	1	3	1	-	H	
438	Cl—⟨⟩—CH₂—	1	3	1	-	H	
439	Cl—⟨⟩—CH₂—	1	3	1	-	H	
440	Cl—⟨⟩—CH₂—	1	3	1	-	H	

Table 1.41

Compd. No.	$R^1R^2{>}(CH_2)_l{-}$	k	m	n	chirality	R^3	$-(CH_2)_p\overset{R^4}{\underset{R^5}{C}}(CH_2)_q{-}G{-}R^6$
441	Cl-〈 〉-CH$_2$-	1	3	1	-	H	-CH$_2$-N(H)-C(O)-〈 〉-Br (3-Br)
442	Cl-〈 〉-CH$_2$-	1	3	1	-	H	-CH$_2$-N(H)-C(O)-〈 〉-Cl (3-Cl)
443	Cl-〈 〉-CH$_2$-	1	3	1	-	H	-CH$_2$-N(H)-C(O)-〈 〉-Br (4-Br)
444	Cl-〈 〉-CH$_2$-	1	3	1	-	H	-CH$_2$-N(H)-C(O)-〈 〉-F,F (3,4-F)
445	Cl-〈 〉-CH$_2$-	1	3	1	-	H	-CH$_2$-N(H)-C(O)-〈 〉-Cl,Cl (3,4-Cl)
446	Cl-〈 〉-CH$_2$-	1	3	1	-	H	-(CH$_2$)$_2$-N(H)-C(O)-〈 〉
447	Cl-〈 〉-CH$_2$-	1	3	1	-	H	-(CH$_2$)$_2$-N(H)-C(O)-naphthyl
448	Cl-〈 〉-CH$_2$-	1	3	1	-	H	-(CH$_2$)$_2$-N(H)-C(O)-〈 〉-NO$_2$ (3-NO$_2$)
449	Cl-〈 〉-CH$_2$-	1	3	1	-	H	-(CH$_2$)$_2$-N(H)-C(O)-〈 〉-CO$_2$CH$_3$
450	Cl-〈 〉-CH$_2$-	1	3	1	-	H	-(CH$_2$)$_2$-N(H)-C(O)-〈 〉-CF$_3$ (2-CF$_3$)
451	Cl-〈 〉-CH$_2$-	1	3	1	-	H	-(CH$_2$)$_2$-N(H)-C(O)-〈 〉-CF$_3$,F

Table 1.42

Compd. No.	R^1 R^2 $-(CH_2)_l-$	k	m	n	chirality	R^3	$-(CH_2)_p \overset{R^4}{\underset{R^5}{|}} (CH_2)_q-G-R^6$
452	Cl—⟨⟩—CH₂–	1	3	1	–	H	–(CH₂)₂–N(H)–C(O)– phenyl-OCF₃
453	Cl—⟨⟩—CH₂–	1	3	1	–	H	–(CH₂)₂–N(H)–C(O)– phenyl-Br
454	Cl—⟨⟩—CH₂–	1	3	1	–	H	–(CH₂)₂–N(H)–C(O)– phenyl-Cl
455	Cl—⟨⟩—CH₂–	1	3	1	–	H	–(CH₂)₂–N(H)–C(O)– phenyl-Br
456	Cl—⟨⟩—CH₂–	1	3	1	–	H	–(CH₂)₂–N(H)–C(O)– phenyl-F,F
457	Cl—⟨⟩—CH₂–	1	3	1	–	H	–(CH₂)₂–N(H)–C(O)– phenyl-Cl,Cl
458	Cl—⟨⟩—CH₂–	2	2	1	–	H	–CH₂–N(H)–C(O)– phenyl
459	Cl—⟨⟩—CH₂–	2	2	1	–	H	–CH₂–N(H)–C(O)– phenyl-CH₃
460	Cl—⟨⟩—CH₂–	2	2	1	–	H	–CH₂–N(H)–C(O)– phenyl-CH₃
461	Cl—⟨⟩—CH₂–	2	2	1	–	H	–CH₂–N(H)–C(O)– phenyl-CF₃
462	Cl—⟨⟩—CH₂–	2	2	1	–	H	–CH₂–N(H)–C(O)– phenyl-CH₃

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Table 1.43

Compd. No.	R^1 R^2 –(CH$_2$)$_i$–	k	m	n	chirality	R^3	–(CH$_2$)$_p$ $\overset{R^4}{\underset{R^5}{\mid}}$ (CH$_2$)$_q$–G–R^6
463	Cl–⟨ ⟩–CH$_2$–	2	2	1	-	H	–CH$_2$–N$\overset{\overset{O}{\parallel}}{\underset{CH_3}{}}$C–⟨ ⟩
464	Cl–⟨ ⟩–CH$_2$–	2	2	1	-	H	–CH$_2$–N$\overset{H}{}$–$\overset{O}{\overset{\parallel}{C}}$–⟨ ⟩ OCH$_3$, OCH$_3$, OCH$_3$
465	Cl–⟨ ⟩–CH$_2$–	2	2	1	-	H	–CH$_2$–N$\overset{H}{}$–$\overset{O}{\overset{\parallel}{C}}$–⟨N⟩
466	Cl–⟨ ⟩–CH$_2$–	2	2	1	-	H	–CH$_2$–$\overset{O}{\overset{\parallel}{C}}$–N$\overset{H}{}$–⟨ ⟩ NO$_2$
467	Cl–⟨ ⟩–CH$_2$–	2	2	1	-	H	–CH$_2$–N$\overset{H}{}$–$\overset{O}{\overset{\parallel}{C}}$–⟨ ⟩ Br
468	Cl–⟨ ⟩–CH$_2$–	2	2	1	-	H	–CH$_2$–N$\overset{H}{}$–$\overset{O}{\overset{\parallel}{C}}$–⟨ ⟩ N(CH$_3$)$_2$
469	Cl–⟨ ⟩–CH$_2$–	2	2	1	-	H	–CH$_2$–N$\overset{H}{}$–$\overset{O}{\overset{\parallel}{C}}$–⟨ ⟩ OCH$_3$
470	Cl–⟨ ⟩–CH$_2$–	2	2	1	-	H	–CH$_2$–N$\overset{H}{}$–$\overset{O}{\overset{\parallel}{C}}$–⟨ ⟩–CN
471	Cl–⟨ ⟩–CH$_2$–	2	2	1	-	H	–CH$_2$–N$\overset{H}{}$–$\overset{O}{\overset{\parallel}{C}}$–⟨ ⟩–CO$_2CH_3$
472	Cl–⟨ ⟩–CH$_2$–	2	2	1	-	H	–CH$_2$–N$\overset{H}{}$–$\overset{O}{\overset{\parallel}{C}}$–⟨ ⟩–$\overset{O}{\overset{\parallel}{C}}$–⟨ ⟩
473	Cl–⟨ ⟩–CH$_2$–	2	2	1	-	H	–CH$_2$–N$\overset{H}{}$–$\overset{O}{\overset{\parallel}{C}}$–⟨ ⟩–$\overset{O}{\overset{\parallel}{C}}$·CH$_3$

Table 1.44

Compd. No.	R^1 $R^2$$-$(CH$_2$)$_j$$-$	k	m	n	chirality	R^3	$-$(CH$_2$)$_p$ $\begin{smallmatrix}R^4\\R^5\end{smallmatrix}$ $-$(CH$_2$)$_q$$-G-$R^6
474	Cl—⬡—CH$_2$–	2	2	1	-	H	—CH$_2$—N(H)—C(O)—⬡—CF$_3$
475	Cl—⬡—CH$_2$–	2	2	1	-	H	—CH$_2$—N(H)—C(O)—⬡—CH(CH$_3$)$_2$
476	Cl—⬡—CH$_2$–	2	2	1	-	H	—CH$_2$—N(H)—C(O)—⬡—NO$_2$
477	Cl—⬡—CH$_2$–	2	2	1	-	H	—CH$_2$—N(H)—C(O)—⬡—OCH(CH$_3$)$_2$
478	Cl—⬡—CH$_2$–	2	2	1	-	H	—CH$_2$—N(H)—C(O)—(N-CH$_3$ pyrrole)
479	Cl—⬡—CH$_2$–	2	2	1	-	H	—CH$_2$—N(H)—C(O)—(furan)
480	Cl—⬡—CH$_2$–	2	2	1	-	H	—CH$_2$—N(H)—C(O)—(furan)—Br
481	Cl—⬡—CH$_2$–	2	2	1	-	H	—CH$_2$—N(H)—C(O)—(thiophene)
482	Cl—⬡—CH$_2$–	2	2	1	-	H	—CH$_2$—N(H)—C(O)—(CH$_3$-thiophene)
483	Cl—⬡—CH$_2$–	2	2	1	-	H	—CH$_2$—N(H)—C(O)—(thiophene)—CH$_3$
484	Cl—⬡—CH$_2$–	2	2	1	-	H	—CH$_2$—N(H)—C(O)—(indole)

Table 1.45

Compd. No.	R^1R^2>-(CH$_2$)$_l$-	k	m	n	chirality	R^3	-(CH$_2$)$_p$-R^4-R^5-(CH$_2$)$_q$-G-R^6
485	Cl-⟨⟩-CH$_2$-	2	2	1	-	H	-CH$_2$-N(H)-C(O)-⟨⟩(CF$_3$)(CF$_3$)
486	Cl-⟨⟩-CH$_2$-	2	2	1	-	H	-CH$_2$-N(H)-C(O)-⟨⟩(CN)
487	Cl-⟨⟩-CH$_2$-	2	2	1	-	H	-CH$_2$-N(H)-C(O)-⟨⟩(Cl)
488	Cl-⟨⟩-CH$_2$-	2	2	1	-	H	-CH$_2$-N(H)-C(O)-⟨⟩(NH$_2$)
489	Cl-⟨⟩-CH$_2$-	2	2	1	-	H	-CH$_2$-N(H)-C(O)-⟨⟩(CF$_3$)(F$_3$C)
490	Cl-⟨⟩-CH$_2$-	2	2	1	-	H	-CH$_2$-N(H)-C(O)-⟨⟩(OCH$_2$CH$_3$)
491	Cl-⟨⟩-CH$_2$-	2	2	1	-	H	-CH$_2$-N(H)-C(O)-⟨⟩(F)(CF$_3$)
492	Cl-⟨⟩-CH$_2$-	2	2	1	-	H	-CH$_2$-N(H)-C(O)-⟨⟩(OCF$_3$)
493	Cl-⟨⟩-CH$_2$-	2	2	1	-	H	-CH$_2$-N(H)-C(O)-⟨⟩(Cl)(CF$_3$)
494	Cl-⟨⟩-CH$_2$-	2	2	1	-	H	-CH$_2$-N(H)-C(O)-⟨⟩(CF$_3$)(F)
495	Cl-⟨⟩-CH$_2$-	2	2	1	-	H	-CH$_2$-N(H)-C(O)-⟨⟩(CF$_3$)(F)

65

Table 1.46

Compd. No.	$\begin{array}{c}R^1\\R^2\end{array}$—(CH$_2$)$_k$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$—$\overset{R^4}{\underset{R^5}{C}}$—(CH$_2$)$_q$—G—R^6
496	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(=O)—C$_6$H$_3$(CF$_3$)(F)
497	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(=O)—C$_6$H$_3$(OH)(CH(CH$_3$)$_2$)
498	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(=O)—C$_6$H$_3$(NH$_2$)(CF$_3$)
499	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(=O)—C$_6$H$_4$—N(CH$_3$)$_2$
500	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(=O)—C$_6$H$_4$—OCH$_3$
501	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(=O)—C$_6$H$_3$(NO$_2$)(Br)
502	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(=O)—C$_6$H$_3$(NO$_2$)(F)
503	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(=O)—C$_6$H$_3$(NO$_2$)(Cl)
504	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(=O)—C$_6$H$_3$(OCH$_3$)(OCH$_3$)
505	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(=O)—C$_6$H$_3$(NO$_2$)(Br)
506	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(=O)—furyl(NO$_2$)

Table 1.47

Compd. No.	R^1 R^2 $-(CH_2)_l-$	k	m	n	chirality	R^3	$-(CH_2)_p \overset{R^4}{\underset{R^5}{C}} (CH_2)_q-G-R^6$
507	Cl—⟨⟩—CH₂–	2	2	1	-	H	–CH₂–N(H)–C(O)— furan with CH₃
508	Cl—⟨⟩—CH₂–	2	2	1	-	H	–CH₂–N(H)–C(O)— benzothiophene
509	Cl—⟨⟩—CH₂–	2	2	1	-	H	–CH₂–N(H)–C(O)— thiophene with Br
510	Cl—⟨⟩—CH₂–	2	2	1	-	H	–CH₂–N(H)–C(O)— furan with CH₃, CH₃
511	Cl—⟨⟩—CH₂–	2	2	1	-	H	–CH₂–N(H)–C(O)— furan with C(CH₃)₃
512	Cl—⟨⟩—CH₂–	2	2	1	-	H	–CH₂–N(H)–C(O)— phenyl with CHCH₃/CN
513	Cl—⟨⟩—CH₂–	2	2	1	-	H	–CH₂–N(H)–C(O)— phenyl with C(O)CH₃
514	Cl—⟨⟩—CH₂–	2	2	1	-	H	–CH₂–N(H)–C(O)— phenyl with C(CH₃)₃
515	Cl—⟨⟩—CH₂–	2	2	1	-	H	–CH₂–N(H)–C(O)— phenyl with CH₂OH
516	H₂N—⟨⟩—CH₂–	2	2	1	-	H	–CH₂–N(H)–C(O)— phenyl with CF₃
517	H₂N—⟨⟩—CH₂–	2	2	1	-	H	–CH₂–N(H)–C(O)— phenyl with CF₃

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Table 1.48

Compd. No.	R^1 R^2 —(CH$_2$)$_k$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$—[R^4 / R^5]—(CH$_2$)$_q$—G—R^6
518	(2-aminophenyl)-CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(O)—(3-CF$_3$-phenyl)
519	(4-(benzoylamino)phenyl)-CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(O)—(3-CF$_3$-phenyl)
520	Cl—phenyl—CH$_2$—	2	2	1	-	—CH$_3$	—CH$_2$—NH—C(O)—(3-CF$_3$-phenyl)
521	Cl—phenyl—CH$_2$—	2	2	1	-	—(CH$_2$)$_2$CH-phenyl (phenyl)	—CH$_2$—NH—C(O)—(3-CF$_3$-phenyl)
522	Cl—phenyl—CH$_2$—	2	2	1	-	—CH$_2$CH-phenyl (phenyl)	—CH$_2$—NH—C(O)—(3-CF$_3$-phenyl)
523	Cl—phenyl—CH$_2$—	2	2	1	-	—(CH$_2$)$_2$CH-phenyl (phenyl)	—CH$_2$—NH—C(O)—phenyl
524	Cl—phenyl—CH$_2$—	2	2	1	-	—CH$_2$CH-phenyl (phenyl)	—CH$_2$—NH—C(O)—phenyl
525	Cl—phenyl—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(O)—(3-(SO$_2$CH$_3$)phenyl)
526	Cl—phenyl—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(O)—(furan-3-yl)
527	Cl—phenyl—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(O)—(thiophen-3-yl)
528	Cl—phenyl—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(O)—(5-CH$_3$-2-CF$_3$-furan-3-yl)

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Table 1.49

Compd. No.	R^1 R^2 $>$(CH$_2$)$_l$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$ $>$C$<$ R^4 R^5 (CH$_2$)$_q$—G—R^6
529	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	—CH$_2$-NH-C(O)-furan-NO$_2$
530	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	—CH$_2$-NH-C(O)-indole-N-CH$_2$-phenyl
531	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	—CH$_2$-NH-C(O)-thiophene(OCH$_3$)
532	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	—CH$_2$-NH-C(O)-furan(5-CH$_3$)(H$_3$C)
533	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	—CH$_2$-NH-C(O)-furan(H$_3$C)
534	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	—CH$_2$-NH-C(O)-furan(NO$_2$)(H$_3$C)
535	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	—CH$_2$-NH-C(O)-thiophene(H$_3$C-C(O))
536	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	—CH$_2$-NH-C(O)-pyrrole(CH$_3$)(H$_3$C)(N-CH$_3$)
537	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	—CH$_2$-NH-C(O)-furan(C(CH$_3$)$_3$)(H$_3$C)
538	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	—CH$_2$-NH-C(O)-furan(phenyl)(H$_3$C)
539	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	—CH$_2$-NH-C(O)-furan(5-CH$_3$)(F$_3$C)

Table 1.50

Compd. No.	R^1 R^2 (CH_2)—	k	m	n	chirality	R^3	$-(CH_2)_p\overset{R^4}{\underset{R^5}{C}}(CH_2)_q G-R^6$

540	Cl—〈 〉—CH$_2$–	2	2	1	-	H	–CH$_2$–N(H)–C(O)– indole, N–CH$_3$
541	Cl—〈 〉—CH$_2$–	2	2	1	-	H	–CH$_2$–N(H)–C(O)– phenyl with NO$_2$, H$_2$N
542	Cl—〈 〉—CH$_2$–	2	2	1	-	H	–CH$_2$–N(H)–C(O)– phenyl-CH$_2$CH$_3$
543	Cl—〈 〉—CH$_2$–	2	2	1	-	H	–CH$_2$–N(H)–C(O)– phenyl–CH$_2$CH$_3$
544	Cl—〈 〉—CH$_2$–	2	2	1	-	H	–CH$_2$–N(H)–C(O)– phenyl-F
545	Cl—〈 〉—CH$_2$–	2	2	1	-	H	–CH$_2$–N(H)–C(O)– phenyl-Cl, Cl
546	Cl—〈 〉—CH$_2$–	2	2	1	-	H	–CH$_2$–N(H)–C(O)– phenyl-Cl, Cl
547	Cl—〈 〉—CH$_2$–	2	2	1	-	H	–CH$_2$–N(H)–C(O)– phenyl-Cl, Cl
548	Cl—〈 〉—CH$_2$–	2	2	1	-	H	–CH$_2$–N(H)–C(O)– phenyl-Cl, Cl
549	Cl—〈 〉—CH$_2$–	2	2	1	-	H	–CH$_2$–N(H)–C(O)– phenyl-Cl, O$_2$N
550	Cl—〈 〉—CH$_2$–	2	2	1	-	H	–CH$_2$–N(H)–C(O)– phenyl-Cl, O$_2$N

Table 1.51

Compd. No.	R^1 R^2 >-(CH$_2$)$_l$-	k	m	n	chirality	R^3	$-(CH_2)_p \overset{R^4}{\underset{R^5}{C}} (CH_2)_q -G-R^6$
551	Cl—⟨⟩—CH$_2$–	2	2	1	–	H	–CH$_2$–N(H)–C(O)–CH$_2$-⟨⟩-CH$_3$
552	Cl—⟨⟩—CH$_2$–	2	2	1	–	H	–CH$_2$–N(H)–C(O)–CH$_2$-⟨⟩-CF$_3$
553	Cl—⟨⟩—CH$_2$–	2	2	1	–	H	–CH$_2$–N(H)–C(O)–CH$_2$-⟨⟩-(CF$_3$)$_2$
554	Cl—⟨⟩—CH$_2$–	2	2	1	–	H	–CH$_2$–N(H)–C(O)-indolyl-F
555	Cl—⟨⟩—CH$_2$–	2	2	1	–	H	–CH$_2$–N(H)–C(O)-indolyl-Cl
556	Cl—⟨⟩—CH$_2$–	2	2	1	–	H	–CH$_2$–N(H)–C(O)-indolyl-CH$_3$
557	Cl—⟨⟩—CH$_2$–	2	2	1	–	H	–(CH$_2$)$_2$–N(H)–C(O)-⟨⟩
558	Cl—⟨⟩—CH$_2$–	2	2	1	–	H	–C(H)(CH$_3$)–N(H)–C(O)-⟨⟩
559	Cl—⟨⟩—CH$_2$–	2	2	1	–	H	–C(H)(CH$_3$)–N(H)–C(O)-⟨⟩-(CF$_3$)$_2$
560	Cl—⟨⟩—CH$_2$–	2	2	1	–	H	–C(H)(CH$_3$)–N(H)–C(O)-⟨⟩-CN
561	Cl—⟨⟩—CH$_2$–	2	2	1	–	H	–C(H)(CH$_3$)–N(H)–C(O)-⟨⟩-Br

Table 1.52

Compd. No.	R^1 R^2 $-(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_{\overline{p}} \overset{R^4}{\underset{R^5}{C}} (CH_2)_{\overline{q}} G-R^6$
562	Cl-⟨⟩-CH₂-	2	2	1	-	H	—CH(CH₃)—NH—C(=O)—(3-Cl-phenyl)
563	Cl-⟨⟩-CH₂-	2	2	1	-	H	—CH(CH₃)—NH—C(=O)—(2,5-(CF₃)₂-phenyl)
564	Cl-⟨⟩-CH₂-	2	2	1	-	H	—CH(CH₃)—NH—C(=O)—(3-OCH₂CH₃-phenyl)
565	Cl-⟨⟩-CH₂-	2	2	1	-	H	—CH(CH₃)—NH—C(=O)—(2-F,3-CF₃-phenyl)
566	Cl-⟨⟩-CH₂-	2	2	1	-	H	—CH(CH₃)—NH—C(=O)—(3-OCF₃-phenyl)
567	Cl-⟨⟩-CH₂-	2	2	1	-	H	—CH(CH₃)—NH—C(=O)—(2-Cl,5-CF₃-phenyl)
568	Cl-⟨⟩-CH₂-	2	2	1	-	H	—CH(CH₃)—NH—C(=O)—(2-F,5-CF₃-phenyl)
569	Cl-⟨⟩-CH₂-	2	2	1	-	H	—CH(CH₃)—NH—C(=O)—(3-CF₃,5-F-phenyl)
570	Cl-⟨⟩-CH₂-	2	2	1	-	H	—CH(CH₃)—NH—C(=O)—(3-CF₃,4-F-phenyl)
571	Cl-⟨⟩-CH₂-	2	2	1	-	H	—CH(CH₃)—NH—C(=O)—(2-OH,3-CH(CH₃)₂-phenyl)
572	Cl-⟨⟩-CH₂-	2	2	1	-	H	—CH(CH₃)—NH—C(=O)—(2-NH₂,3-CF₃-phenyl)

Table 1.5 3

Compd. No.	R^1 R^2 —(CH$_2$)—	k	m	n	chirality	R^3	$-(CH_2)_p$ $\overset{R^4}{\underset{R^5}{C}}$ $(CH_2)_q$ G—R^6
573	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	—CH-N-C(=O)-thiophene(Br) CH$_3$
574	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	—CH-N-C(=O)-thiophene-Br CH$_3$
575	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	—CH-N-C(=O)-furan-C(CH$_3$)$_3$ CH$_3$
576	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	—CH-N-C(=O)-furan-SCH$_3$ CH$_3$
577	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	—CH-N-C(=O)-furan(H$_3$C) CH$_3$
578	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	—CH-N-C(=O)-benzothiophene CH$_3$
579	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	—CH-N-C(=O)-indole CH$_3$
580	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	—CH-N-C(=O)-thiophene-CH$_3$ CH$_3$
581	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	—CH-N-C(=O)-thiophene CH$_3$
582	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	—CH-N-C(=O)-thiophene(H$_3$C) CH$_3$
583	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	—CH-N-C(=O)-indole(CH$_3$) CH$_3$

Table 1.5 4

Compd. No.	R^1 R^2 $\rangle$CH-(CH$_2$)$_l$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$ $\rangle$C$\langle$ $\begin{smallmatrix}R^4\\R^5\end{smallmatrix}$ —(CH$_2$)$_q$—G—R^6
584	Cl—⟨phenyl⟩—CH$_2$—	2	2	1	-	H	—CH(CH$_3$)—NH—C(=O)—⟨phenyl⟩—C(=O)—⟨phenyl⟩
585	Cl—⟨phenyl⟩—CH$_2$—	2	2	1	-	H	—CH(CH$_3$)—NH—C(=O)—⟨phenyl⟩—CN
586	Cl—⟨phenyl⟩—CH$_2$—	2	2	1	-	H	—CH(CH$_3$)—NH—C(=O)—⟨phenyl⟩—Cl
587	Cl—⟨phenyl⟩—CH$_2$—	2	2	1	-	H	—CH(CH$_3$)—NH—C(=O)—⟨phenyl⟩—CF$_3$
588	Cl—⟨phenyl⟩—CH$_2$—	2	2	1	-	H	—CH(CH$_3$)—NH—C(=O)—⟨phenyl⟩—NH$_2$
589	Cl—⟨phenyl⟩—CH$_2$—	2	2	1	-	H	—CH(CH$_3$)—NH—C(=O)—⟨phenyl⟩—C(CH$_3$)$_3$
590	Cl—⟨phenyl⟩—CH$_2$—	2	2	1	-	H	—CH(CH$_3$)—NH—C(=O)—⟨phenyl⟩—CH(CH$_3$)$_2$
591	Cl—⟨phenyl⟩—CH$_2$—	2	2	1	-	H	—CH(CH$_3$)—NH—C(=O)—⟨phenyl⟩—N(CH$_3$)$_2$
592	Cl—⟨phenyl⟩—CH$_2$—	2	2	1	-	H	—CH(CH$_3$)—NH—C(=O)—⟨phenyl⟩—OCH$_3$
593	Cl—⟨phenyl⟩—CH$_2$—	2	2	1	-	H	—CH(CH$_3$)—NH—C(=O)—⟨phenyl⟩—CH$_2$OH
594	Cl—⟨phenyl⟩—CH$_2$—	2	2	1	-	H	—CH(CH$_3$)—NH—C(=O)—⟨phenyl⟩—OH

Table 1.55

Compd. No.	R^1 / R^2 >(CH$_2$)$_l$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$—CR^{4}R^5—(CH$_2$)$_q$—G—R^6
595	Cl-C$_6$H$_4$-CH$_2$-	2	2	1	-	H	-CH(CH$_3$)-NH-CO-C$_6$H$_4$-CO$_2$CH$_3$
596	Cl-C$_6$H$_4$-CH$_2$-	2	2	1	-	H	-CH(CH$_3$)-NH-CO-C$_6$H$_4$-CO-CH$_3$
597	Cl-C$_6$H$_4$-CH$_2$-	2	2	1	-	H	-CH(CH$_3$)-NH-CO-C$_6$H$_4$(CO-CH$_3$)
598	Cl-C$_6$H$_4$-CH$_2$-	2	2	1	-	H	-CH(CH$_3$)-NH-CO-(2-furyl)
599	Cl-C$_6$H$_4$-CH$_2$-	2	2	1	-	H	-CH(CH$_3$)-NH-CO-(1-methylpyrrol-2-yl)
600	Cl-C$_6$H$_4$-CH$_2$-	2	2	1	-	H	-CH(CH$_3$)-NH-CO-(5-bromofuran-2-yl)
601	Cl-C$_6$H$_4$-CH$_2$-	2	2	1	-	H	-CH(CH$_3$)-NH-CO-C$_6$H$_4$(OCH$_3$)
602	Cl-C$_6$H$_4$-CH$_2$-	2	2	1	-	H	-CH(CH$_3$)-NH-CO-C$_6$H$_4$(N(CH$_3$)$_2$)
603	Cl-C$_6$H$_4$-CH$_2$-	2	2	1	-	H	-CH(CH$_3$)-NH-CO-C$_6$H$_4$(NH$_2$)
604	Cl-C$_6$H$_4$-CH$_2$-	2	2	1	-	H	-CH(CH$_3$)-NH-CO-(1H-pyrrol-2-yl)
605	Cl-C$_6$H$_4$-CH$_2$-	2	2	1	-	H	-CH(CH$_3$)-NH-CO-(furan-3-yl)

Table 1.56

Compd. No.	R^1 R^2 $>$(CH$_2$)$_k$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$—C(R^4)(R^5)—(CH$_2$)$_q$—G—R^6
606	Cl—⟨⟩—CH$_2$–	2	2	1	-	H	—CH(CH$_3$)—NH—C(=O)—(thiophene)
607	Cl—⟨⟩—CH$_2$–	2	2	1	-	H	—CH(CH$_3$)—NH—C(=O)—(thiophene-OCH$_3$)
608	Cl—⟨⟩—CH$_2$–	2	2	1	-	H	—CH(CH$_3$)—NH—C(=O)—(furan-CH$_3$,H$_3$C)
609	Cl—⟨⟩—CH$_2$–	2	2	1	-	H	—CH(CH$_3$)—NH—C(=O)—(furan-H$_3$C)
610	Cl—⟨⟩—CH$_2$–	2	2	1	-	H	—CH(CH$_3$)—NH—C(=O)—(thiophene-O-CH$_3$)
611	Cl—⟨⟩—CH$_2$–	2	2	1	-	H	—CH(CH$_3$)—NH—C(=O)—(furan-C(CH$_3$)$_3$,H$_3$C)
612	Cl—⟨⟩—CH$_2$–	2	2	1	-	H	—CH(CH$_3$)—NH—C(=O)—(furan-phenyl,H$_3$C)
613	Cl—⟨⟩—CH$_2$–	2	2	1	-	H	—CH(CH$_3$)—NH—C(=O)—(furan-CH$_3$,F$_3$C)
614	Cl—⟨⟩—CH$_2$–	2	2	1	-	H	—CH(CH$_3$)—NH—C(=O)—(pyrrole-CH$_3$,N-CH$_3$,F$_3$C)
615	Cl—⟨⟩—CH$_2$–	2	2	1	-	H	—CH(CH$_3$)—NH—C(=O)—(indole)
616	Cl—⟨⟩—CH$_2$–	2	2	1	-	H	—CH(CH$_3$)—NH—C(=O)—(N-benzyl-indole)

Table 1.57

Compd. No.	R^1 $R^2$$>$(CH$_2$)$_j$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$—$\overset{R^4}{\underset{R^5}{C}}$—(CH$_2$)$_q$—G—$R^6$
617	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	—CH—N—C(=O)—⟨⟩—CF$_3$; CH$_3$
618	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	—CH—N—C(=O)—⟨⟩ ; CH(CH$_3$)$_2$
619	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	—CH—N—C(=O)—⟨⟩—CN ; CH(CH$_3$)$_2$
620	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	—CH—N—C(=O)—⟨⟩—Br ; CH(CH$_3$)$_2$
621	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	—CH—N—C(=O)—⟨⟩—Cl ; CH(CH$_3$)$_2$
622	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	—CH—N—C(=O)—⟨⟩—N(CH$_3$)$_2$; CH(CH$_3$)$_2$
623	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	—CH—N—C(=O)—⟨⟩—OCH$_3$; CH(CH$_3$)$_2$
624	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	—CH—N—C(=O)—⟨⟩—NO$_2$; CH(CH$_3$)$_2$
625	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	—CH—N—C(=O)—⟨⟩—NH$_2$; CH(CH$_3$)$_2$
626	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	—CH—N—C(=O)—⟨⟩(F$_3$C)(CF$_3$) ; CH(CH$_3$)$_2$
627	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	—CH—N—C(=O)—⟨⟩—OCH$_2$CH$_3$; CH(CH$_3$)$_2$

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Table 1.58

Compd. No.	R^1 R^2 $>$(CH$_2$)$_l$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$—C(R^4)(R^5)—(CH$_2$)$_q$—G—R^6
628	Cl—⟨phenyl⟩—CH$_2$—	2	2	1	–	H	—CH—N—C(=O)—⟨phenyl-CO$_2$CH$_3$⟩, CH(CH$_3$)$_2$
629	Cl—⟨phenyl⟩—CH$_2$—	2	2	1	–	H	—CH—N—C(=O)—⟨phenyl-F,CF$_3$⟩, CH(CH$_3$)$_2$
630	Cl—⟨phenyl⟩—CH$_2$—	2	2	1	–	H	—CH—N—C(=O)—⟨phenyl-OCF$_3$⟩, CH(CH$_3$)$_2$
631	Cl—⟨phenyl⟩—CH$_2$—	2	2	1	–	H	—CH—N—C(=O)—⟨phenyl-Cl,CF$_3$⟩, CH(CH$_3$)$_2$
632	Cl—⟨phenyl⟩—CH$_2$—	2	2	1	–	H	—CH—N—C(=O)—⟨phenyl-F,CF$_3$⟩, CH(CH$_3$)$_2$
633	Cl—⟨phenyl⟩—CH$_2$—	2	2	1	–	H	—CH—N—C(=O)—⟨phenyl-CF$_3$,F⟩, CH(CH$_3$)$_2$
634	Cl—⟨phenyl⟩—CH$_2$—	2	2	1	–	H	—CH—N—C(=O)—⟨phenyl-CF$_3$,F⟩, CH(CH$_3$)$_2$
635	Cl—⟨phenyl⟩—CH$_2$—	2	2	1	–	H	—CH—N—C(=O)—⟨phenyl-HO,CH(CH$_3$)$_2$⟩, CH(CH$_3$)$_2$
636	Cl—⟨phenyl⟩—CH$_2$—	2	2	1	–	H	—CH—N—C(=O)—⟨phenyl-CH$_3$⟩, CH(CH$_3$)$_2$
637	Cl—⟨phenyl⟩—CH$_2$—	2	2	1	–	H	—CH—N—C(=O)—⟨phenyl-CF$_3$⟩, CH(CH$_3$)$_2$
638	Cl—⟨phenyl⟩—CH$_2$—	2	2	1	–	H	—CH—N—C(=O)—⟨phenyl-CN⟩, CH(CH$_3$)$_2$

Table 1.59

Compd. No.	$\begin{array}{c}R^1\\R^2\end{array}$>CH$-$(CH$_2$)$-$	k	m	n	chirality	R^3	$-(CH_2)_p-\underset{R^5}{\overset{R^4}{C}}-(CH_2)_q-G-R^6$
639	Cl$-$C$_6$H$_4-$CH$_2-$	2	2	1	-	H	$-\underset{CH(CH_3)_2}{CH}-\underset{H}{N}-\overset{O}{\overset{\|}{C}}-C_6H_4-N(CH_3)_2$
640	Cl$-$C$_6$H$_4-$CH$_2-$	2	2	1	-	H	$-\underset{CH(CH_3)_2}{CH}-\underset{H}{N}-\overset{O}{\overset{\|}{C}}-C_6H_4-OCH_3$
641	Cl$-$C$_6$H$_4-$CH$_2-$	2	2	1	-	H	$-\underset{CH(CH_3)_2}{CH}-\underset{H}{N}-\overset{O}{\overset{\|}{C}}-C_6H_4-CO_2CH_3$
642	Cl$-$C$_6$H$_4-$CH$_2-$	2	2	1	-	H	$-\underset{CH(CH_3)_2}{CH}-\underset{H}{N}-\overset{O}{\overset{\|}{C}}-C_6H_4-\overset{O}{\overset{\|}{C}}-C_6H_5$
643	Cl$-$C$_6$H$_4-$CH$_2-$	2	2	1	-	H	$-\underset{CH(CH_3)_2}{CH}-\underset{H}{N}-\overset{O}{\overset{\|}{C}}-C_6H_4-CF_3$
644	Cl$-$C$_6$H$_4-$CH$_2-$	2	2	1	-	H	$-\underset{CH(CH_3)_2}{CH}-\underset{H}{N}-\overset{O}{\overset{\|}{C}}-C_6H_4-C(CH_3)_3$
645	Cl$-$C$_6$H$_4-$CH$_2-$	2	2	1	-	H	$-\underset{CH(CH_3)_2}{CH}-\underset{H}{N}-\overset{O}{\overset{\|}{C}}-C_6H_4-NH_2$
646	Cl$-$C$_6$H$_4-$CH$_2-$	2	2	1	-	H	$-\underset{CH(CH_3)_2}{CH}-\underset{H}{N}-\overset{O}{\overset{\|}{C}}-C_6H_4-CH_2OH$
647	Cl$-$C$_6$H$_4-$CH$_2-$	2	2	1	-	H	$-\underset{CH(CH_3)_2}{CH}-\underset{H}{N}-\overset{O}{\overset{\|}{C}}-C_6H_4-\overset{O}{\overset{\|}{C}}\cdot CH_3$
648	Cl$-$C$_6$H$_4-$CH$_2-$	2	2	1	-	H	$-\underset{CH(CH_3)_2}{CH}-\underset{H}{N}-\overset{O}{\overset{\|}{C}}-C_6H_4-CH(CH_3)_2$
649	Cl$-$C$_6$H$_4-$CH$_2-$	2	2	1	-	H	$-\underset{CH(CH_3)_2}{CH}-\underset{H}{N}-\overset{O}{\overset{\|}{C}}-C_6H_4-OCH(CH_3)_2$

Table 1.60

Compd. No.	R^1 R^2 $>$C$<$(CH$_2$)$_k$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$$\overset{R^4}{\underset{R^5}{C}}$(CH$_2$)$_q$-G-R^6
650	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	—CH-N-C-⟨benzoylphenyl⟩ ; CH(CH$_3$)$_2$
651	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	—CH-N-C-⟨phenyl-CHCH$_3$ (CN)⟩ ; CH(CH$_3$)$_2$
652	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	—CH-N-C-⟨C$_6$H$_4$-NO$_2$⟩ ; CH(CH$_3$)$_2$
653	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	—CH-N-C-⟨C$_6$H$_4$-O(CH$_2$)$_4$CH$_3$⟩ ; CH(CH$_3$)$_2$
654	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	—CH-N-C-⟨phenyl-C-CH$_3$(O)⟩ ; CH(CH$_3$)$_2$
655	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	—CH-N-C-⟨phenyl-H$_2$N, CF$_3$⟩ ; CH(CH$_3$)$_2$
656	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	—CH-N-C-⟨furan⟩ ; CH(CH$_3$)$_2$
657	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	—CH-N-C-⟨thiophene⟩ ; CH(CH$_3$)$_2$
658	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	—CH-N-C-⟨indole-NH⟩ ; CH(CH$_3$)$_2$
659	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	—CH-N-C-⟨thiophene-NO$_2$⟩ ; CH(CH$_3$)$_2$
660.	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	—CH-N-C-⟨indole-N-benzyl⟩ ; CH(CH$_3$)$_2$

Table 1.61

Compd. No.	R^1, R^2 >(CH$_2$)$\overline{}$	k	m	n	chirality	R^3	$-(CH_2)_{\overline{p}} \overset{R^4}{\underset{R^5}{C}} (CH_2)_{\overline{q}} G-R^6$
661	Cl–⟨⟩–CH$_2$–	2	2	1	-	H	–CH–N–C—thiophene(OCH$_3$) / CH(CH$_3$)$_2$
662	Cl–⟨⟩–CH$_2$–	2	2	1	-	H	–CH–N–C—furan(CH$_3$)(CH$_3$) / CH(CH$_3$)$_2$
663	Cl–⟨⟩–CH$_2$–	2	2	1	-	H	–CH–N–C—furan(CH$_3$) / CH(CH$_3$)$_2$
664	Cl–⟨⟩–CH$_2$–	2	2	1	-	H	–CH–N–C—furan(CH$_3$)(NO$_2$) / CH(CH$_3$)$_2$
665	Cl–⟨⟩–CH$_2$–	2	2	1	-	H	–CH–N–C—thiophene(O$_2$CH$_3$) / CH(CH$_3$)$_2$
666	Cl–⟨⟩–CH$_2$–	2	2	1	-	H	–CH–N–C—pyrrole(CH$_3$)(N-CH$_3$) / CH(CH$_3$)$_2$
667	Cl–⟨⟩–CH$_2$–	2	2	1	-	H	–CH–N–C—furan(CH$_3$)(phenyl) / CH(CH$_3$)$_2$
668	Cl–⟨⟩–CH$_2$–	2	2	1	-	H	–CH–N–C—furan(CF$_3$)(CH$_3$) / CH(CH$_3$)$_2$
669	Cl–⟨⟩–CH$_2$–	2	2	1	-	H	–CH–N–C—pyrrole / CH(CH$_3$)$_2$ CH$_3$
670	Cl–⟨⟩–CH$_2$–	2	2	1	-	H	–CH–N–C—furan(Br) / CH(CH$_3$)$_2$
671	Cl–⟨⟩–CH$_2$–	2	2	1	-	H	–CH–N–C—furan(NO$_2$) / CH(CH$_3$)$_2$

Table 1.62

Compd. No.	R¹R²>(CH₂)–	k	m	n	chirality	R³	–(CH₂)ₚ–CR⁴R⁵–(CH₂)q–G–R⁶
672	Cl–⟨C₆H₄⟩–CH₂–	2	2	1	–	H	–CH–NH–CO–(pyrrole), CH(CH₃)₂
673	Cl–⟨C₆H₄⟩–CH₂–	2	2	1	–	H	–CH–NH–CO–(thiophene), C(CH₃)₃
674	Cl–⟨C₆H₄⟩–CH₂–	2	2	1	–	H	–CH–NH–CO–(3-CH₃-thiophene), CH(CH₃)₂
675	Cl–⟨C₆H₄⟩–CH₂–	2	2	1	–	H	–CH–NH–CO–(5-CH₃-thiophene), C(CH₃)₃
676	Cl–⟨C₆H₄⟩–CH₂–	2	2	1	–	H	–CH–NH–CO–(indole), CH(CH₃)₂
677	Cl–⟨C₆H₄⟩–CH₂–	2	2	1	–	H	–CH–NH–CO–(indole), CH(CH₃)₂ CH₃
678	Cl–⟨C₆H₄⟩–CH₂–	2	2	1	–	H	–CH–NH–CO–(CH₃-furan), CH(CH₃)₂
679	Cl–⟨C₆H₄⟩–CH₂–	2	2	1	–	H	–CH–NH–CO–(benzothiophene), CH(CH₃)₂
680	Cl–⟨C₆H₄⟩–CH₂–	2	2	1	–	H	–CH–NH–CO–(5-Br-thiophene), CH(CH₃)₂
681	Cl–⟨C₆H₄⟩–CH₂–	2	2	1	–	H	–CH–NH–CO–(4,5-diCH₃-furan), CH(CH₃)₂
682	Cl–⟨C₆H₄⟩–CH₂–	2	2	1	–	H	–CH–NH–CO–(5-C(CH₃)₃-furan), CH(CH₃)₂

Table 1.63

Compd. No.	$\begin{array}{c}R^1\\R^2\end{array}$>(CH$_2$)$_i$—	k	m	n	chirality	R³	—(CH$_2$)$_p$$\begin{array}{c}R^4\\|\\|\\R^5\end{array}$(CH$_2$)$_q$G—R⁶
683	Cl—⬡—CH$_2$—	2	2	1	-	H	—CH-N-C(=O)—thiophene-SCH$_3$; CH(CH$_3$)$_2$
684	Cl—⬡—CH$_2$—	2	2	1	-	H	—CH-N-C(=O)—thiophene-S(=O)-CH(CH$_3$)$_2$; CH(CH$_3$)$_2$
685	Cl—⬡—CH$_2$—	2	2	1	-	H	—CH-N-C(=O)—thiophene-S(=O)$_2$-CH$_3$; CH(CH$_3$)$_2$
686	Cl—⬡—CH$_2$—	2	2	1	-	H	—CH-N-C(=O)—C$_6$H$_5$; CH$_2$CH(CH$_3$)$_2$
687	Cl—⬡—CH$_2$—	2	2	1	-	H	—CH-N-C(=O)—C$_6$H$_5$ (pyrrolidine)
688	Cl—⬡—CH$_2$—	2	2	1	-	H	—CH-N-C(=O)—C$_6$H$_3$(CF$_3$)$_2$ (pyrrolidine)
689	Cl—⬡—CH$_2$—	2	2	1	-	H	—CH-N-C(=O)—C$_6$H$_4$-CN (pyrrolidine)
690	Cl—⬡—CH$_2$—	2	2	1	-	H	—CH-N-C(=O)—C$_6$H$_4$-Br (pyrrolidine)
691	Cl—⬡—CH$_2$—	2	2	1	-	H	—CH-N-C(=O)—C$_6$H$_4$-N(CH$_3$)$_2$ (pyrrolidine)
692	Cl—⬡—CH$_2$—	2	2	1	-	H	—CH-N-C(=O)—C$_6$H$_4$-OCH$_3$ (pyrrolidine)
693	Cl—⬡—CH$_2$—	2	2	1	-	H	—CH-N-C(=O)—C$_6$H$_3$(CF$_3$)(F$_3$C) (pyrrolidine)

Table 1.64

Compd. No.	R^1R^2CH—(CH$_2$)—	k	m	n	chirality	R^3	$-(CH_2)_p\underset{R^5}{\overset{R^4}{C}}(CH_2)_q G-R^6$
694	Cl—⟨⟩—CH$_2$–	2	2	1	-	H	image: –CH–N–C(=O)–⟨⟩–OCH$_2$CH$_3$ (pyrrolidine)
695	Cl—⟨⟩—CH$_2$–	2	2	1	-	H	–CH–N–C(=O)–⟨⟩–CO$_2$CH$_3$ (pyrrolidine)
696	Cl—⟨⟩—CH$_2$–	2	2	1	-	H	–CH–N–C(=O)–⟨⟩–OCF$_3$ (pyrrolidine)
697	Cl—⟨⟩—CH$_2$–	2	2	1	-	H	–CH–N–C(=O)–⟨⟩–CN (pyrrolidine)
698	Cl—⟨⟩—CH$_2$–	2	2	1	-	H	–CH–N–C(=O)–⟨⟩–N(CH$_3$)$_2$ (pyrrolidine)
699	Cl—⟨⟩—CH$_2$–	2	2	1	-	H	–CH–N–C(=O)–⟨⟩–OCH$_3$ (pyrrolidine)
700	Cl—⟨⟩—CH$_2$–	2	2	1	-	H	–CH–N–C(=O)–⟨⟩–CO$_2$CH$_3$ (pyrrolidine)
701	Cl—⟨⟩—CH$_2$–	2	2	1	-	H	–CH–N–C(=O)–⟨⟩–C(=O)·CH$_3$ (pyrrolidine)
702	Cl—⟨⟩—CH$_2$–	2	2	1	-	H	–CH–N–C(=O)–⟨⟩–CF$_3$ (pyrrolidine)
703	Cl—⟨⟩—CH$_2$–	2	2	1	-	H	–CH–N–C(=O)–⟨⟩–CH(CH$_3$)$_2$ (pyrrolidine)
704	Cl—⟨⟩—CH$_2$–	2	2	1	-	H	–CH–N–C(=O)–⟨⟩–NO$_2$ (pyrrolidine)

Table 1.65

Compd. No.	R^1R^2-(CH$_2$)$_j$-	k	m	n	chirality	R^3	-(CH$_2$)$_p$-R^4R^5-(CH$_2$)$_q$-G-R^6
705	Cl–⬡–CH$_2$–	2	2	1	-	H	3-methyl-thiophene carbonyl pyrrolidine
706	Cl–⬡–CH$_2$–	2	2	1	-	H	5-methyl-thiophene carbonyl pyrrolidine
707	Cl–⬡–CH$_2$–	2	2	1	-	H	CF$_3$-phenyl carbonyl pyrrolidine
708	Cl–⬡–CH$_2$–	2	2	1	-	H	bromo-thiophene carbonyl pyrrolidine
709	Cl–⬡–CH$_2$–	2	2	1	-	H	SCH$_3$-thiophene carbonyl pyrrolidine
710	Cl–⬡–CH$_2$–	2	2	1	-	H	Br-thiophene carbonyl pyrrolidine
711	Cl–⬡–CH$_2$–	2	2	1	-	H	dimethyl-furan carbonyl pyrrolidine
712	Cl–⬡–CH$_2$–	2	2	1	-	H	benzothiophene carbonyl pyrrolidine
713	Cl–⬡–CH$_2$–	2	2	1	-	H	CH$_3$-furan carbonyl pyrrolidine
714	Cl–⬡–CH$_2$–	2	2	1	-	H	N-CH$_3$-indole carbonyl pyrrolidine
715	Cl–⬡–CH$_2$–	2	2	1	-	H	thiophene carbonyl pyrrolidine

Table 1.66

Compd. No.	R^1, R^2 $>$(CH$_2$)$_j$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$—$\overset{R^4}{\underset{R^5}{C}}$—(CH$_2$)$_q$—G—$R^6$
716	Cl—⬡—CH$_2$-	2	2	1	-	H	
717	Cl—⬡—CH$_2$-	2	2	1	-	H	
718	Cl—⬡—CH$_2$-	2	2	1	-	H	
719	Cl—⬡—CH$_2$-	2	2	1	-	H	
720	Cl—⬡—CH$_2$-	2	2	1	-	H	
721	Cl—⬡—CH$_2$-	2	2	1	-	H	
722	Cl—⬡—CH$_2$-	2	2	1	-	H	
723	Cl—⬡—CH$_2$-	2	2	1	-	H	
724	Cl—⬡—CH$_2$-	2	2	1	-	H	
725	Cl—⬡—CH$_2$-	2	2	1	-	H	
726	Cl—⬡—CH$_2$-	2	2	1	-	H	

Table 1.67

Compd. No.	R^1R^2–(CH$_2$)$_l$–	k	m	n	chirality	R^3	–(CH$_2$)$_p$–C(R^4)(R^5)–(CH$_2$)$_q$–G–R^6
727	Cl–C$_6$H$_4$–CH$_2$–	2	2	1	-	H	–CH(pyrrolidine)N–C(O)–C$_6$H$_4$–Cl
728	Cl–C$_6$H$_4$–CH$_2$–	2	2	1	-	H	–CH(pyrrolidine)N–C(O)–C$_6$H$_4$–NH$_2$
729	Cl–C$_6$H$_4$–CH$_2$–	2	2	1	-	H	–CH(pyrrolidine)N–C(O)–C$_6$H$_4$–NO$_2$
730	Cl–C$_6$H$_4$–CH$_2$–	2	2	1	-	H	–CH(pyrrolidine)N–C(O)–C$_6$H$_4$–Cl
731	Cl–C$_6$H$_4$–CH$_2$–	2	2	1	-	H	–CH(pyrrolidine)N–C(O)–C$_6$H$_4$–C(O)CH$_3$
732	Cl–C$_6$H$_4$–CH$_2$–	2	2	1	-	H	–CH(pyrrolidine)N–C(O)–C$_6$H$_3$(CF$_3$)(F)
733	Cl–C$_6$H$_4$–CH$_2$–	2	2	1	-	H	–CH(pyrrolidine)N–C(O)–C$_6$H$_3$(OH)(CH(CH$_3$)$_2$)
734	Cl–C$_6$H$_4$–CH$_2$–	2	2	1	-	H	–CH(pyrrolidine)N–C(O)–C$_6$H$_3$(CF$_3$)(F)
735	Cl–C$_6$H$_4$–CH$_2$–	2	2	1	-	H	–CH(pyrrolidine)N–C(O)–C$_6$H$_3$(F)(CF$_3$)
736	Cl–C$_6$H$_4$–CH$_2$–	2	2	1	-	H	–CH(pyrrolidine)N–C(O)–C$_6$H$_3$(NH$_2$)(CF$_3$)
737	Cl–C$_6$H$_4$–CH$_2$–	2	2	1	-	H	–CH(pyrrolidine)N–C(O)–C$_6$H$_3$(CF$_3$)(F)

Table 1.68

Compd. No.	R^1 R^2—(CH$_2$)$_k$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$ R^4 R^5 (CH$_2$)$_q$—G—R^6
738	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	furan with CH$_3$, H$_3$C
739	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	indole NH
740	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	furan with NO$_2$, H$_3$C
741	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	thiophene with NO$_2$
742	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	thiophene with OCH$_3$
743	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	furan
744	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	phenyl with CH$_3$
745	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	furan with C(CH$_3$)$_3$
746	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	pyrrole with CH$_3$, H$_3$C, N—CH$_3$
747	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	furan with CH$_3$, F$_3$C
748	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	thiophene

Table 1.69

Compd. No.	R^1, R^2 >CH-(CH$_2$)-	k	m	n	chirality	R^3	$-(CH_2)_p C(R^4)(R^5)(CH_2)_q - G - R^6$
749	Cl—⟨phenyl⟩—CH$_2$-	2	2	1	-	H	-CH-C(=O)- pyrrolidine with N-benzyl indole
750	Cl—⟨phenyl⟩—CH$_2$-	2	2	1	-	H	-CH-C(=O)- pyrrolidine-C(=O)-furan-CH$_3$
751	Cl—⟨phenyl⟩—CH$_2$-	2	2	1	-	H	-CH(CH$_2$OH)-NH-C(=O)-⟨phenyl⟩-CH$_3$
752	Cl—⟨phenyl⟩—CH$_2$-	2	2	1	-	H	-CH(CH$_2$OH)-NH-C(=O)-⟨phenyl⟩(CF$_3$)(CF$_3$)
753	Cl—⟨phenyl⟩—CH$_2$-	2	2	1	-	H	-CH(CH$_2$OH)-NH-C(=O)-⟨phenyl⟩-CN
754	Cl—⟨phenyl⟩—CH$_2$-	2	2	1	-	H	-CH(CH$_2$OH)-NH-C(=O)-⟨phenyl⟩-Cl
755	Cl—⟨phenyl⟩—CH$_2$-	2	2	1	-	H	-CH(CH$_2$OH)-NH-C(=O)-⟨phenyl⟩-OCH$_3$
756	Cl—⟨phenyl⟩—CH$_2$-	2	2	1	-	H	-CH(CH$_2$OH)-NH-C(=O)-⟨phenyl⟩-NO$_2$
757	Cl—⟨phenyl⟩—CH$_2$-	2	2	1	-	H	-CH(CH$_2$OH)-NH-C(=O)-⟨phenyl⟩-OCH$_2$CH$_3$
758	Cl—⟨phenyl⟩—CH$_2$-	2	2	1	-	H	-CH(CH$_2$OH)-NH-C(=O)-⟨phenyl⟩-CO$_2$CH$_3$
759	Cl—⟨phenyl⟩—CH$_2$-	2	2	1	-	H	-CH(CH$_2$OH)-NH-C(=O)-⟨phenyl⟩-OCF$_3$

Table 1.70

Compd. No.	R^1R^2<(CH_2)_k-	k	m	n	chirality	R^3	$-(CH_2)_p-C(R^4)(R^5)-(CH_2)_q-G-R^6$
760	Cl-⟨C6H4⟩-CH₂-	2	2	1	-	H	-CH-NHC(O)-benzene(3-CF₃,5-F); CH₂OH
761	Cl-⟨C6H4⟩-CH₂-	2	2	1	-	H	-CH-NHC(O)-benzene(3-CF₃,4-F); CH₂OH
762	Cl-⟨C6H4⟩-CH₂-	2	2	1	-	H	-CH-NHC(O)-benzene(3-CF₃); CH₂OH
763	Cl-⟨C6H4⟩-CH₂-	2	2	1	-	H	-CH-NHC(O)-phenyl; CH₂OH
764	Cl-⟨C6H4⟩-CH₂-	2	2	1	-	H	-C(CH₃)₂-NHC(O)-phenyl
765	Cl-⟨C6H4⟩-CH₂-	2	2	1	-	H	-C(CH₃)₂-NHC(O)-benzene(3-CH₃)
766	Cl-⟨C6H4⟩-CH₂-	2	2	1	-	H	-C(CH₃)₂-NHC(O)-benzene(3-CF₃)
767	Cl-⟨C6H4⟩-CH₂-	2	2	1	-	H	-C(CH₃)₂-NHC(O)-benzene(3-SO₂CH₃)
768	Cl-⟨C6H4⟩-CH₂-	2	2	1	-	H	-C(CH₃)₂-NHC(O)-benzene(3-Br)
769	Cl-⟨C6H4⟩-CH₂-	2	2	1	-	H	-C(CH₃)₂-NHC(O)-benzene(3-OCF₃)
770	Cl-⟨C6H4⟩-CH₂-	2	2	1	-	H	-C(CH₃)₂-NHC(O)-benzene(3-CF₃,5-F)

Table 1.71

Compd. No.	R^1 R^2 >(CH$_2$)$_j$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$—R^4 C R^5 (CH$_2$)$_q$—G—R^6
771	Cl⬡–CH$_2$–	2	2	1	-	H	CH$_3$, CH$_3$ N–C(=O)–aryl(CF$_3$)(F)
772	Cl⬡–CH$_2$–	2	2	1	-	H	CH$_3$, CH$_3$ N–C(=O)–C$_6$H$_4$–CF$_3$
773	Cl⬡–CH$_2$–	2	2	1	-	H	CH$_3$, CH$_3$ N–C(=O)–furan–C(CH$_3$)$_3$
774	Cl⬡–CH$_2$–	2	2	1	-	H	CH$_3$, CH$_3$ N–C(=O)–thiophene–SCH$_3$
775	Cl⬡–CH$_2$–	2	2	1	-	H	CH$_3$, CH$_3$ N–C(=O)–furan(CH$_3$)–C(CH$_3$)$_3$
776	Cl⬡–CH$_2$–	2	2	1	-	H	CH$_3$, CH$_3$ N–C(=O)–furan(CH$_3$)–phenyl
777	Cl⬡–CH$_2$–	2	2	1	-	H	CH$_3$, CH$_3$ N–C(=O)–furan(CF$_3$)(CH$_3$)
778	Cl⬡–CH$_2$–	2	2	1	-	H	CH$_3$, CH$_3$ N–C(=O)–C$_6$H$_3$(NO$_2$)(Cl)
779	Cl⬡–CH$_2$–	2	2	1	-	H	CH$_3$, CH$_3$ C–C(=O)–C$_6$H$_4$–Cl
780	Cl⬡–CH$_2$–	2	2	1	-	H	CH$_3$, CH$_3$ N–C(=O)–C$_6$H$_4$–NO$_2$
781	Cl⬡–CH$_2$–	2	2	1	-	H	CH$_3$, CH$_3$ N–C(=O)–indole

Table 1.7 2

Compd. No.	$\begin{smallmatrix}R^1\\R^2\end{smallmatrix}$>(CH$_2$)$_l$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$—$\begin{smallmatrix}R^4\\ \\R^5\end{smallmatrix}$—(CH$_2$)$_q$—G—$R^6$
782	Cl—⟨⟩—CH$_2$–	2	2	1	-	H	$\begin{smallmatrix}CH_3\\-C-N-C\\CH_3 \ H\end{smallmatrix}$ benzene-OCH$_3$
783	Cl—⟨⟩—CH$_2$–	2	2	1	-	H	$\begin{smallmatrix}CH_3\\-C-N-C\\CH_3 \ H\end{smallmatrix}$ benzene-OCH$_2$CH$_3$
784	Cl—⟨⟩—CH$_2$–	2	2	1	-	H	$\begin{smallmatrix}CH_3\\-C-N-C-CH_2\\CH_3 \ H\end{smallmatrix}$ benzene-CF$_3$
785	Cl—⟨⟩—CH$_2$–	2	2	1	-	H	$\begin{smallmatrix}CH_3\\-C-N-C\\CH_3 \ H\end{smallmatrix}$ benzene-OCH$_3$, OCH$_3$
786	Cl—⟨⟩—CH$_2$–	2	2	1	-	H	cyclopropyl-N-C benzene
787	Cl—⟨⟩—CH$_2$–	2	2	1	-	H	cyclopropyl-N-C benzene-CH$_3$
788	Cl—⟨⟩—CH$_2$–	2	2	1	-	H	cyclopropyl-N-C benzene-CF$_3$
789	Cl—⟨⟩—CH$_2$–	2	2	1	-	H	cyclopropyl-N-C-CH$_2$ benzene-S(O)CH$_3$
790	Cl—⟨⟩—CH$_2$–	2	2	1	-	H	cyclopropyl-N-C benzene-Cl
791	Cl—⟨⟩—CH$_2$–	2	2	1	-	H	cyclopropyl-N-C benzene-NO$_2$
792	Cl—⟨⟩—CH$_2$–	2	2	1	-	H	cyclopropyl-N-C benzene-OCF$_3$

Table 1.73

Compd. No.	R^1 $R^2$$\!-$(CH$_2$)$_i-$	k	m	n	chirality	R^3	$-$(CH$_2$)$_p$$\!-CR^4R^5\!-$(CH$_2$)$_q$$\!-G-$R^6
793	Cl—⟨ ⟩—CH$_2$–	2	2	1	-	H	benzamide, 4-F, 3-CF$_3$; H$_2$C—CH$_2$
794	Cl—⟨ ⟩—CH$_2$–	2	2	1	-	H	benzamide, 3-CF$_3$, 5-F; H$_2$C—CH$_2$
795	Cl—⟨ ⟩—CH$_2$–	2	2	1	-	H	benzamide, 4-CF$_3$; H$_2$C—CH$_2$
796	Cl—⟨ ⟩—CH$_2$–	2	2	1	-	H	thiophene-2-carboxamide, 5-SCH$_3$; H$_2$C—CH$_2$
797	Cl—⟨ ⟩—CH$_2$–	2	2	1	-	H	furan-3-carboxamide, 2-CH$_3$, 5-C(CH$_3$)$_3$; H$_2$C—CH$_2$
798	Cl—⟨ ⟩—CH$_2$–	2	2	1	-	H	furan-3-carboxamide, 2-CH$_3$, 5-phenyl; H$_2$C—CH$_2$
799	Cl—⟨ ⟩—CH$_2$–	2	2	1	-	H	furan-3-carboxamide, 2-CF$_3$, 5-CH$_3$; H$_2$C—CH$_2$
800	Cl—⟨ ⟩—CH$_2$–	2	2	1	-	H	benzamide, 3-NO$_2$, 4-Cl; H$_2$C—CH$_2$
801	Cl—⟨ ⟩—CH$_2$–	2	2	1	-	H	indole-2-carboxamide; H$_2$C—CH$_2$
802	Cl—⟨ ⟩—CH$_2$–	2	2	1	-	H	benzamide, 3-OCH$_3$; H$_2$C—CH$_2$
803	Cl—⟨ ⟩—CH$_2$–	2	2	1	-	H	benzamide, 3-OCH$_2$CH$_3$; H$_2$C—CH$_2$

Table 1.74

Compd. No.	R^1 R^2 $(CH_2)_l$	k	m	n	chirality	R^3	$-(CH_2)_p\overset{R^4}{\underset{R^5}{C}}(CH_2)_q-G-R^6$
804	Cl—⬡—CH₂—	2	2	1	-	H	
805	Cl—⬡—CH₂—	2	2	1	-	H	
806	Cl—⬡—CH₂—	2	2	1	-	H	
807	Cl—⬡—CH₂—	2	2	1	-	H	
808	Cl—⬡—CH₂—	2	2	1	-	H	
809	Cl—⬡—CH₂—	2	2	1	-	H	
810	Cl—⬡—CH₂—	2	2	1	-	H	
811	Cl—⬡—CH₂—	2	2	1	-	H	
812	Cl—⬡—CH₂—	2	2	1	-	H	
813	Cl—⬡—CH₂—	2	2	1	-	H	
814	Cl—⬡—CH₂—	2	2	1	-	H	

Table 1.7 5

Compd. No.	R^1 R^2 $(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{smallmatrix} R^4 \\ | \\ R^5 \end{smallmatrix} (CH_2)_q-G-R^6$
815	Cl—⟨⟩—CH₂-	2	2	1	-	H	—CH-N-C-⟨⟩CF₃/F, (CH₂)₂-C-NH₂ O
816	Cl—⟨⟩—CH₂-	2	2	1	-	H	—CH-N-C-⟨⟩CF₃, (CH₂)₂-C-NH₂ O
817	Cl—⟨⟩—CH₂-	2	2	1	-	H	—CH-N-C-⟨⟩CF₃/F, (CH₂)₂-C-NH₂ O
818	Cl—⟨⟩—CH₂-	2	2	1	-	H	—CH₂-N-C-⟨⟩Br, (CH₂)₂-C-NH₂ O
819	Cl—⟨⟩—CH₂-	2	2	1	-	H	—CH-N-C-⟨⟩CF₃/CF₃, (CH₂)₂-C-NH₂ O
820	Cl—⟨⟩—CH₂-	2	2	1	-	H	—CH-N-C-⟨⟩NO₂, (CH₂)₂-C-NH₂ O
821	Cl—⟨⟩—CH₂-	2	2	1	-	H	—CH-N-C-⟨⟩NO₂/Cl, CH₂OCH₃
822	Cl—⟨⟩—CH₂-	2	2	1	-	H	—CH-N-C-⟨S⟩-SCH₃, CH₂OCH₃
823	Cl—⟨⟩—CH₂-	2	2	1	-	H	—CH-N-C-⟨indole⟩, CH₂OCH₃
824	Cl—⟨⟩—CH₂-	2	2	1	-	H	—CH-N-C-⟨furan⟩CH₃/C(CH₃)₃, CH₂OCH₃
825	Cl—⟨⟩—CH₂-	2	2	1	-	H	—CH-N-C-⟨furan⟩CH₃/phenyl, CH₂OCH₃

Table 1.76

Compd. No.	R^1 R^2 $\!-\!(CH_2)_l\!-$	k	m	n	chirality	R^3	$-(CH_2)_p\!-\!\overset{R^4}{\underset{R^5}{C}}\!-\!(CH_2)_q\!-\!G\!-\!R^6$
826	Cl—⟨ ⟩—CH₂–	2	2	1	–	H	–CH–N–C(=O)– furan(CF₃)(CH₃), CH₂OCH₃
827	Cl—⟨ ⟩—CH₂–	2	2	1	–	H	–CH–N–C(=O)– indole, CH₂OCH₃
828	Cl—⟨ ⟩—CH₂–	2	2	1	–	H	–CH–N–C(=O)– C₆H₄(OCF₃), CH₂OCH₃
829	Cl—⟨ ⟩—CH₂–	2	2	1	–	H	–CH–N–C(=O)– C₆H₃(CF₃)(F), CH₂OCH₃
830	Cl—⟨ ⟩—CH₂–	2	2	1	–	H	–CH–N–C(=O)– C₆H₃(CF₃)(F), CH₂OCH₃
831	Cl—⟨ ⟩—CH₂–	2	2	1	–	H	–CH–N–C(=O)– C₆H₄(Br), CH₂OCH₃
832	Cl—⟨ ⟩—CH₂–	2	2	1	–	H	–CH–N–C(=O)– C₆H₄(Cl), CH₂OCH₃
833	Cl—⟨ ⟩—CH₂–	2	2	1	–	H	–CH–N–C(=O)– C₆H₄(NO₂), CH₂OCH₃
834	Cl—⟨ ⟩—CH₂–	2	2	1	–	H	–CH–N–C(=O)– C₆H₄(CF₃), CH₂OCH₃
835	Cl—⟨ ⟩—CH₂–	2	2	1	–	H	–CH–N–C(=O)– C₆H₅, CH₂OCH₃
836	Cl—⟨ ⟩—CH₂–	2	2	1	–	H	–CH–N–C(=O)– C₆H₄(CH₃), CH₂OCH₃

Table 1.77

Compd. No.	R^1 R^2 $-(CH_2)_i-$	k	m	n	chirality	R^3	$-(CH_2)_p\overset{R^4}{\underset{R^5}{C}}(CH_2)_q G-R^6$
837	Cl—⟨⟩—CH₂–	2	2	1	-	H	-CH-NH-C(=O)-⟨ m-CF₃ ⟩ ; CH₂OCH₃
838	Cl—⟨⟩—CH₂–	2	2	1	-	H	-CH-N(H)-C(=O)-⟨ OCH₂CH₃ ⟩ ; CH₂OCH₃
839	Cl—⟨⟩—CH₂–	2	2	1	-	H	-CH-NH-C(=O)-⟨ OCH₃,OCH₃,OCH₃ ⟩ ; CH₂OCH₃
840	Cl—⟨⟩—CH₂–	2	2	1	-	H	-(CH₂)₃-C(=O)-⟨⟩
841	Cl—⟨⟩—CH₂–	2	2	1	-	H	-(CH₂)₂-C(=O)-⟨⟩
842	Cl—⟨⟩—CH₂–	2	2	1	-	H	-(CH₂)₂-C(=O)-⟨ Cl ⟩
843	Cl—⟨⟩—CH₂–	2	2	1	-	H	-(CH₂)₂-C(=O)-⟨ CH₃, H₃C ⟩
844	Cl—⟨⟩—CH₂–	2	2	1	-	H	-(CH₂)₂-C(=O)-⟨ CH₃ ⟩
845	Cl—⟨⟩—CH₂–	2	2	1	-	H	-(CH₂)₂-C(=O)-⟨ S(=O)(=O)-CH₃ ⟩
846	Cl—⟨⟩—CH₂–	2	2	1	-	H	-(CH₂)₂-C(=O)-⟨ O-⟨⟩ ⟩
847	Cl—⟨⟩—CH₂–	2	2	1	-	H	-(CH₂)₂-C(=O)-⟨ F, OCH₃ ⟩

Table 1.78

Compd. No.	R^1 R^2 $>$(CH$_2$)$_l$-	k	m	n	chirality	R^3	$-(CH_2)_p-\underset{R^5}{\overset{R^4}{C}}-(CH_2)_q-G-R^6$
848	Cl–⬡–CH$_2$–	2	2	1	–	H	$-(CH_2)_2-\overset{O}{\overset{\|}{C}}$–⬡–CH$_3$ (with H$_3$C)
849	Cl–⬡–CH$_2$–	2	2	1	–	H	$-(CH_2)_3-\overset{O}{\overset{\|}{C}}$–⬡–OCH$_3$ (H$_3$CO)
850	Cl–⬡–CH$_2$–	2	2	1	–	H	$-CH_2-\overset{O}{\underset{O}{\overset{\|}{S}}}$–⬡–CH$_3$
851	Cl–⬡–CH$_2$–	2	2	1	–	H	$-CH_2-\underset{H}{N}-\overset{O}{\overset{\|}{C}}-\underset{H}{N}$–⬡–CF$_3$
852	Cl–⬡–CH$_2$–	2	2	1	–	H	$-CH_2-\underset{H}{N}-\overset{O}{\overset{\|}{C}}-\underset{H}{N}$–⬡–CF$_3$
853	Cl–⬡–CH$_2$–	2	2	1	–	H	$-CH_2-\underset{H}{N}-\overset{O}{\overset{\|}{C}}-\underset{H}{N}$–⬡
854	Cl–⬡–CH$_2$–	2	2	1	–	H	$-CH_2-\underset{H}{N}-\overset{O}{\overset{\|}{C}}-\underset{H}{N}$–⬡–CH$_3$
855	Cl–⬡–CH$_2$–	2	2	1	–	H	$-CH_2-\underset{H}{N}-\overset{O}{\overset{\|}{C}}-\underset{H}{N}$–⬡–CH$_3$
856	Cl–⬡–CH$_2$–	2	2	1	–	H	$-CH_2-\underset{H}{N}-\overset{O}{\overset{\|}{C}}-\underset{H}{N}$–⬡–C(=O)CH$_3$
857	Cl–⬡–CH$_2$–	2	2	1	–	H	$-CH_2-\underset{H}{N}-\overset{O}{\overset{\|}{C}}-\underset{H}{N}$–⬡–OCH$_3$
858	Cl–⬡–CH$_2$–	2	2	1	–	H	$-CH_2-\underset{H}{N}-\overset{O}{\overset{\|}{C}}-\underset{H}{N}$–⬡–OCH$_3$

Table 1.79

Compd. No.	R^1 R^2 (CH$_2$)$_i$–	k	m	n	chirality	R^3	–(CH$_2$)$_p$ R^4 R^5 (CH$_2$)$_q$–G–R^6
859	Cl–⟨phenyl⟩–CH$_2$–	2	2	1	–	H	–CH$_2$–NH–C(=O)–NH–⟨phenyl–Cl⟩
860	Cl–⟨phenyl⟩–CH$_2$–	2	2	1	–	H	–CH$_2$–NH–C(=O)–NH–⟨phenyl–CN⟩
861	Cl–⟨phenyl⟩–CH$_2$–	2	2	1	–	H	–CH$_2$–NH–C(=S)–NH–⟨phenyl⟩
862	Cl–⟨phenyl⟩–CH$_2$–	2	2	1	–	H	–CH$_2$–NH–C(=S)–NH–⟨phenyl–CH$_3$⟩
863	Cl–⟨phenyl⟩–CH$_2$–	2	2	1	–	H	–CH$_2$–NH–C(=S)–NH–⟨phenyl–OCH$_3$⟩
864	Cl–⟨phenyl⟩–CH$_2$–	2	2	1	–	H	–CH$_2$–NH–C(=S)–NH–⟨phenyl–OCH$_3$⟩
865	Cl–⟨phenyl⟩–CH$_2$–	2	2	1	–	H	–CH$_2$–N(H)–SO$_2$–⟨phenyl–CH$_3$⟩
866	Cl–⟨phenyl⟩–CH$_2$–	2	2	1	–	H	–CH$_2$–NH–SO$_2$–⟨phenyl–CF$_3$⟩
867	Cl–⟨phenyl⟩–CH$_2$–	2	2	1	–	H	–CH$_2$–NH–SO$_2$–⟨phenyl–CF$_3$, CF$_3$⟩
868	Cl–⟨phenyl⟩–CH$_2$–	2	2	1	–	H	–CH$_2$–NH–SO$_2$–⟨phenyl–CH$_2$CH$_3$⟩
869	Cl–⟨phenyl⟩–CH$_2$–	2	2	1	–	H	–CH$_2$–NH–SO$_2$–⟨phenyl–CH(CH$_3$)$_2$⟩

Table 1.80

Compd. No.	R¹R²>(CH₂)ₗ—	k	m	n	chirality	R³	—(CH₂)ₚ R⁴R⁵>(CH₂)q G—R⁶
870	Cl-⟨benzene⟩-CH₂-	2	2	1	-	H	—CH₂-N(H)-S(=O)(=O)-⟨benzene⟩-CH₃
871	Cl-⟨benzene⟩-CH₂-	2	2	1	-	H	—CH₂-N(H)-S(=O)(=O)-⟨benzene⟩-(CH₂)₃CH₃
872	Cl-⟨benzene⟩-CH₂-	2	2	1	-	H	—CH₂-N(H)-S(=O)(=O)-⟨benzene⟩
873	Cl-⟨benzene⟩-CH₂-	2	2	1	-	H	—CH₂-N(H)-C(=O)-O-CH₂-⟨benzene⟩
874	Cl-⟨benzene⟩-CH₂-	2	2	1	-	H	—CH(CH₃)-O-C(=O)-N(H)-⟨benzene⟩-Cl
875	⟨benzene⟩-CH₂-	2	2	1	-	H	—CH₂-N(H)-C(=O)-⟨benzene⟩-CF₃
876	Br-⟨benzene⟩-CH₂-	2	2	1	-	H	—CH₂-N(H)-C(=O)-⟨benzene⟩-CF₃
877	NC-⟨benzene⟩-CH₂-	2	2	1	-	H	—CH₂-N(H)-C(=O)-⟨benzene⟩-CF₃
878	O₂N-⟨benzene⟩-CH₂-	2	2	1	-	H	—CH₂-N(H)-C(=O)-⟨benzene⟩-CF₃
879	⟨methylenedioxyphenyl⟩-CH₂-	2	2	1	-	H	—CH₂-N(H)-C(=O)-⟨benzene⟩-CF₃
880	⟨methylenedioxyphenyl⟩-CH₂-	2	2	1	-	H	—CH₂-N(H)-C(=O)-⟨benzene⟩-CF₃

Table 1.81

Compd. No.	R^1 / R^2-(CH$_2$)$_k$-	k	m	n	chirality	R^3	-(CH$_2$)$_p$-C(R^4)(R^5)-(CH$_2$)$_q$-G-R^6
881	2-Br-phenyl-CH$_2$-	2	2	1	-	H	-CH$_2$-NH-C(=O)-C$_6$H$_4$(3-CF$_3$)
882	3-(phenoxy)phenyl-CH$_2$-	2	2	1	-	H	-CH$_2$-NH-C(=O)-C$_6$H$_4$(3-CF$_3$)
883	2-Cl-phenyl-CH$_2$-	2	2	1	-	H	-CH$_2$-NH-C(=O)-C$_6$H$_4$(3-CF$_3$)
884	H$_3$C-C(=O)-NH-phenyl-CH$_2$-	2	2	1	-	H	-CH$_2$-NH-C(=O)-C$_6$H$_4$(3-CF$_3$)
885	H$_3$C-S(=O)$_2$-phenyl-CH$_2$-	2	2	1	-	H	-CH$_2$-NH-C(=O)-C$_6$H$_4$(3-CF$_3$)
886	F-phenyl-CH$_2$-	2	2	1	-	H	-CH$_2$-NH-C(=O)-C$_6$H$_4$(3-CF$_3$)
887	F$_3$C-phenyl-CH$_2$-	2	2	1	-	H	-CH$_2$-NH-C(=O)-C$_6$H$_4$(3-CF$_3$)
888	HO-phenyl-CH$_2$-	2	2	1	-	H	-CH$_2$-NH-C(=O)-C$_6$H$_4$(3-CF$_3$)
889	biphenyl-CH$_2$-	2	2	1	-	H	-CH$_2$-NH-C(=O)-C$_6$H$_4$(3-CF$_3$)
890	naphthyl-CH$_2$-	2	2	1	-	H	-CH$_2$-NH-C(=O)-C$_6$H$_4$(3-CF$_3$)
891	2,4-diCl-phenyl-CH$_2$-	2	2	1	-	H	-CH$_2$-NH-C(=O)-C$_6$H$_4$(3-CF$_3$)

Table 1.82

Compd. No.	R^1 R^2>$-$(CH$_2$)$_l-$	k	m	n	chirality	R^3	$-$(CH$_2$)$_p$$-\overset{R^4}{\underset{R^5}{C}}-$(CH$_2$)$_q$$-G-$R^6
892	H$_3$CO— ⟨phenyl⟩ —CH$_2$—	2	2	1	–	H	$-$CH$_2$$-$N(H)$-$C(=O)$-$⟨phenyl, CF$_3$⟩
893	O$_2$N— ⟨phenyl⟩ —CH$_2$—	2	2	1	–	H	$-$CH$_2$$-$N(H)$-$C(=O)$-$⟨phenyl, CF$_3$⟩
894	HO, H$_3$C, CH$_3$, CH$_3$ substituted ⟨phenyl⟩ —CH$_2$—	2	2	1	–	H	$-$CH$_2$$-$N(H)$-$C(=O)$-$⟨phenyl, CF$_3$⟩
895	⟨phenyl⟩—(CH$_2$)$_2$—	2	2	1	–	H	$-$CH$_2$$-$N(H)$-$C(=O)$-$⟨phenyl, CF$_3$⟩
896	CN substituted ⟨phenyl⟩ —CH$_2$—	2	2	1	–	H	$-$CH$_2$$-$N(H)$-$C(=O)$-$⟨phenyl, CF$_3$⟩
897	HO$_2$C— ⟨phenyl⟩ —CH$_2$—	2	2	1	–	H	$-$CH$_2$$-$N(H)$-$C(=O)$-$⟨phenyl, CF$_3$⟩
898	HO$_2$C—⟨phenyl⟩—CH$_2$—	2	2	1	–	H	$-$CH$_2$$-$N(H)$-$C(=O)$-$⟨phenyl, CF$_3$⟩
899	OCH$_3$ substituted ⟨phenyl⟩ —CH$_2$—	2	2	1	–	H	$-$CH$_2$$-$N(H)$-$C(=O)$-$⟨phenyl, CF$_3$⟩
900	H$_3$CO$_2$C—⟨phenyl⟩—CH$_2$—	2	2	1	–	H	$-$CH$_2$$-$N(H)$-$C(=O)$-$⟨phenyl, CF$_3$⟩
901	(⟨phenyl⟩)$_2$CH—	2	2	1	–	H	$-$CH$_2$$-$N(H)$-$C(=O)$-$⟨phenyl, CF$_3$⟩
902	O$_2$N, O$_2$N disubstituted ⟨phenyl⟩ —CH$_2$—	2	2	1	–	H	$-$CH$_2$$-$N(H)$-$C(=O)$-$⟨phenyl, CF$_3$⟩

Table 1.83

Compd. No.	R^1 R^2 >C<(CH$_2$)$_l$–	k	m	n	chirality	R^3	–(CH$_2$)$_p$–C(R^4)(R^5)–(CH$_2$)$_q$–G–R^6
903	H$_3$CO– (ring) –CH$_2$– with OCH$_3$	2	2	1	–	H	–CH$_2$–N(H)–C(O)–(C$_6$H$_4$)CF$_3$
904	HO– (ring) –CH$_2$–	2	2	1	–	H	–CH$_2$–N(H)–C(O)–(C$_6$H$_4$)CF$_3$
905	O$_2$N–(thiophene)–CH$_2$–	2	2	1	–	H	–CH$_2$–N(H)–C(O)–(C$_6$H$_4$)CF$_3$
906	(C$_6$H$_5$)–(CH$_2$)$_3$–	2	2	1	–	H	–CH$_2$–N(H)–C(O)–(C$_6$H$_4$)CF$_3$
907	(C$_6$H$_5$)$_2$CH(CH$_2$)$_2$–	2	2	1	–	H	–CH$_2$–N(H)–C(O)–(C$_6$H$_4$)CF$_3$
908	(C$_6$H$_5$)–N(H)–C(O)–(C$_6$H$_4$)–CH$_2$–	2	2	1	–	H	–CH$_2$–N(H)–C(O)–(C$_6$H$_4$)CF$_3$
909	(C$_6$H$_5$)–N(H)–C(O)–(C$_6$H$_4$)–CH$_2$–	2	2	1	–	H	–CH$_2$–N(H)–C(O)–(C$_6$H$_4$)CF$_3$
910	Cl,Cl–(C$_6$H$_3$)–CH$_2$–	2	2	1	–	H	–CH$_2$–N(H)–C(O)–(C$_6$H$_4$)CF$_3$
911	Cl–(C$_6$H$_4$)–CH$_2$–	2	2	1	–	H	–CH$_2$–N(H)–C(O)–(C$_6$H$_4$)CF$_3$
912	Br,Br–(C$_6$H$_3$)–CH$_2$–	2	2	1	–	H	–CH$_2$–N(H)–C(O)–(C$_6$H$_4$)CF$_3$
913	H$_3$CO–(C$_6$H$_4$)–CH$_2$–	2	2	1	–	H	–CH$_2$–N(H)–C(O)–(C$_6$H$_4$)CF$_3$

Table 1.84

Compd. No.	R^1 R^2 $(CH_2)_l-$	k	m	n	chirality	R^3	$-(CH_2)_p$ $\overset{R^4}{\underset{R^5}{|}}$ $(CH_2)_q$-G-R^6
914	phenyl-O-CH₂-O-phenyl-CH₂-	2	2	1	-	H	-CH₂-NH-C(=O)-C₆H₄-CF₃
915	phenyl-CH(OH)CH₂-	2	2	1	-	H	-CH₂-NH-C(=O)-C₆H₄-CF₃
916	pyridyl-CH₂-	2	2	1	-	H	-CH₂-NH-C(=O)-C₆H₄-CF₃
917	pyridyl-CH₂-	2	2	1	-	H	-CH₂-NH-C(=O)-C₆H₄-CF₃
918	H₃CO₂C·CH₂-C₆H₄-CH₂-	2	2	1	-	H	-CH₂-NH-C(=O)-C₆H₄-CF₃
919	H₃C-C₆H₄-CH₂-	2	2	1	-	H	-CH₂-NH-C(=O)-C₆H₄-CF₃
920	(OCF₃)phenyl-CH₂-	2	2	1	-	H	-CH₂-NH-C(=O)-C₆H₄-CF₃
921	naphthyl-CH₂-	2	2	1	-	H	-CH₂-NH-C(=O)-C₆H₄-CF₃
922	cyclopropyl-CH₂-	2	2	1	-	H	-CH₂-NH-C(=O)-C₆H₄-CF₃
923	Cl-C₆H₄-CH(phenyl)-	2	2	1	-	H	-CH₂-NH-C(=O)-C₆H₄-CF₃
924	H₂NC(=O)-C₆H₄-CH₂-	2	2	1	-	H	-CH₂-NH-C(=O)-C₆H₄-CF₃

Table 1.85

Compd. No.	R^1 $R^2$$\!>$$-(CH_2)_l-$	k	m	n	chirality	R^3	$-(CH_2)_p-\!\!\overset{R^4}{\underset{R^5}{C}}\!\!-(CH_2)_q-G-R^6$
925	$H_2N-\overset{O}{\overset{\|}{C}}$—⬡—$CH_2-$	2	2	1	-	H	$-CH_2-\overset{}{\underset{H}{N}}-\overset{O}{\overset{\|}{C}}$—⬡^{CF_3}
926	⬡$-CH_2-$⬡$-CH_2-$	2	2	1	-	H	$-CH_2-\underset{H}{N}-\overset{O}{\overset{\|}{C}}$—⬡^{CF_3}
927	F_3CO-⬡$-CH_2-$	2	2	1	-	H	$-CH_2-\underset{H}{N}-\overset{O}{\overset{\|}{C}}$—⬡^{CF_3}
928	F_3CO-⬡$-CH_2-$	2	2	1	-	H	$-CH_2-\underset{H}{N}-\overset{O}{\overset{\|}{C}}$—⬡^{CF_3}
929	H_3CS-⬡$-CH_2-$	2	2	1	-	H	$-CH_2-\underset{H}{N}-\overset{O}{\overset{\|}{C}}$—⬡^{CF_3}
930	⬡$^{CH_3}-CH_2-$	2	2	1	-	H	$-CH_2-\underset{H}{N}-\overset{O}{\overset{\|}{C}}$—⬡^{CF_3}
931	$NC-$⬡$-CH_2-$	2	2	1	-	H	$-CH_2-\underset{H}{N}-\overset{O}{\overset{\|}{C}}$—⬡^{CF_3}
932	$Cl-$⬡$^{NO_2}-CH_2-$	2	2	1	-	H	$-CH_2-\underset{H}{N}-\overset{O}{\overset{\|}{C}}$—⬡^{CF_3}
933	⬡$-\overset{CH_3}{\underset{}{CH}}-$	2	2	1	-	H	$-CH_2-\underset{H}{N}-\overset{O}{\overset{\|}{C}}$—⬡^{CF_3}
934	⬡$^N-CH_2-$	2	2	1	-	H	$-CH_2-\underset{H}{N}-\overset{O}{\overset{\|}{C}}$—⬡^{CF_3}
935	O_2N-⬡$-CH_2-$	2	2	1	-	H	$-CH_2-\underset{H}{N}-\overset{O}{\overset{\|}{C}}$—⬡^{CF_3}

Table 1.86

Compd. No.	R^1 R^2 $\!\!>\!\!-(CH_2)_i-$	k	m	n	chirality	R^3	$-(CH_2)_p\overset{R^4}{\underset{R^5}{C}}(CH_2)_q G-R^6$
936	2-NO_2-C_6H_4-CH_2-	2	2	1	-	H	$-CH_2-N\overset{O}{\underset{H}{C}}$-($3$-$CF_3$)-$C_6H_4$
937	$(H_3C)_2N$-C_6H_4-CH_2-	2	2	1	-	H	$-CH_2-N\overset{O}{\underset{H}{C}}$-($3$-$CF_3$)-$C_6H_4$
938	Cl,F-C_6H_3-CH_2-	2	2	1	-	H	$-CH_2-N\overset{O}{\underset{H}{C}}$-($3$-$CF_3$)-$C_6H_4$
939	O_2N,Cl-C_6H_3-CH_2-	2	2	1	-	H	$-CH_2-N\overset{O}{\underset{H}{C}}$-($3$-$CF_3$)-$C_6H_4$
940	2-OH-C_6H_4-CH_2-	2	2	1	-	H	$-CH_2-N\overset{O}{\underset{H}{C}}$-($3$-$CF_3$)-$C_6H_4$
941	F_3C,Cl-C_6H_3-CH_2-	2	2	1	-	H	$-CH_2-N\overset{O}{\underset{H}{C}}$-($3$-$CF_3$)-$C_6H_4$
942	Cl-C_6H_4-CH_2-	2	2	1	-	H	$-\underset{CH(CH_3)_2}{CH}-N\overset{O}{\underset{H}{C}}$-($3,5$-$CF_3$)-$C_6H_3$
943	Cl-C_6H_4-CH_2-	1	4	0	-	H	$-CH_2-N\overset{O}{\underset{H}{C}}$-($3$-$CF_3$)-$C_6H_4$
944	Cl-C_6H_4-CH_2-	1	4	0	-	H	$-CH_2-N\overset{O}{\underset{H}{C}}$-($3$-$CH_3$)-$C_6H_4$
945	Cl-C_6H_4-CH_2-	1	4	0	-	H	$-CH_2-N\overset{O}{\underset{H}{C}}$-($3$-$NO_2$)-$C_6H_4$
946	Cl-C_6H_4-CH_2-	1	4	0	-	H	$-(CH_2)_2-N\overset{O}{\underset{H}{C}}$-($4$-$NO_2$)-$C_6H_4$

Table 1.87

Compd. No.	$\begin{smallmatrix}R^1\\R^2\end{smallmatrix}$>(CH$_2$)$_l$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$$\begin{smallmatrix}R^4\\R^5\end{smallmatrix}$(CH$_2$)$_q$-G-R^6
947	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	1	4	0	-	H	—(CH$_2$)$_3$—C(O)—NH—⟨C$_6$H$_3$⟩(OCH$_3$)(OCH$_3$)
948	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	1	4	0	-	H	—(CH$_2$)$_3$—C(O)—NH—⟨C$_6$H$_4$⟩Cl
949	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	1	4	0	-	H	—(CH$_2$)$_3$—C(O)—N(H)—CH$_2$—⟨C$_6$H$_5$⟩
950	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	0	4	1	-	H	—CH$_2$—N(H)—C(O)—⟨C$_6$H$_5$⟩
951	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(O)—⟨C$_6$H$_4$⟩—O—C(O)—CH$_3$
952	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(O)—⟨C$_6$H$_4$⟩—N(CH$_3$)$_2$
953	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	1	2	0	R	H	—(CH$_2$)$_2$—N(H)—C(O)—⟨C$_6$H$_4$⟩—N(CH$_3$)$_2$
954	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(O)—⟨C$_6$H$_4$⟩—NH—CH$_3$
955	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	1	2	0	R	H	—(CH$_2$)$_2$—N(H)—C(O)—⟨C$_6$H$_4$⟩—NH—CH$_3$
956	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	1	2	0	R	H	—(CH$_2$)$_2$—N(H)—C(O)—⟨C$_6$H$_4$⟩—OH
957	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(O)—⟨C$_6$H$_4$⟩—OH

Table 1.88

Compd. No.	R^1 R^2 $-(CH_2)_l-$	k	m	n	chirality	R^3	$-(CH_2)_p-C(R^4)(R^5)-(CH_2)_q-G-R^6$
958	Cl–C₆H₄–CH₂–	1	2	0	R	H	$-(CH_2)_2-NH-C(=O)-$ (3-hydroxyphenyl)
959	Cl–C₆H₄–CH₂–	1	2	0	R	H	$-CH_2-NH-C(=O)-$C₆H₄$-NH-C(=O)-CH_3$
960	Cl–C₆H₄–CH₂–	1	2	0	R	H	$-(CH_2)_2-NH-C(=O)-$C₆H₄$-NH-C(=O)-CH_3$
961	Cl–C₆H₄–CH₂–	1	2	0	R	H	$-CH_2-NH-C(=O)-$C₆H₄$-NH-CH_3$
962	Cl–C₆H₄–CH₂–	1	2	0	R	H	$-(CH_2)_2-NH-C(=O)-$C₆H₄$-NH-CH_3$
963	Cl–C₆H₄–CH₂–	1	2	0	R	H	$-(CH_2)_2-NH-C(=O)-$C₆H₄$-OH$
964	Cl–C₆H₄–CH₂–	1	2	0	R	H	$-CH_2-NH-C(=O)-$C₆H₄$-CO_2CH_3$
965	Cl–C₆H₄–CH₂–	1	2	0	R	H	$-(CH_2)_2-NH-C(=O)-$C₆H₄$-CO_2CH_3$
966	Cl–C₆H₄–CH₂–	1	2	0	R	H	$-CH_2-NH-C(=O)-$C₆H₄$-C(=O)-CH_3$
967	Cl–C₆H₄–CH₂–	1	2	0	R	H	$-(CH_2)_2-NH-C(=O)-$C₆H₄$-C(=O)-CH_3$
968	Cl–C₆H₄–CH₂–	1	2	0	R	H	$-CH_2-NH-C(=O)-$(indol-5-yl)

Table 1.89

Compd. No.	$\begin{array}{c}R^1\\R^2\end{array}$—(CH$_2$)$_k$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$—$\begin{array}{c}R^4\\\|\\C\\\|\\R^5\end{array}$—(CH$_2$)$_q$—G—R^6
969	Cl—⟨⟩—CH$_2$–	1	2	0	R	H	—(CH$_2$)$_2$—N(H)—C(O)—(indole)
970	Cl—⟨⟩—CH$_2$–	1	2	0	R	H	—CH$_2$—N(H)—C(O)—⟨⟩—N(CH$_3$)$_2$
971	Cl—⟨⟩—CH$_2$–	1	2	0	R	H	—(CH$_2$)$_2$—N(H)—C(O)—⟨⟩—N(CH$_3$)$_2$
972	Cl—⟨⟩—CH$_2$–	1	2	0	R	H	—CH$_2$—N(H)—C(O)—⟨⟩—NH$_2$
973	Cl—⟨⟩—CH$_2$–	1	2	0	R	H	—(CH$_2$)$_2$—N(H)—C(O)—⟨⟩—NH$_2$
974	Cl—⟨⟩—CH$_2$–	1	2	0	R	H	—CH$_2$—N(H)—C(O)—⟨⟩—NH$_2$
975	Cl—⟨⟩—CH$_2$–	1	2	0	R	H	—(CH$_2$)$_2$—N(H)—C(O)—⟨⟩—NH$_2$
976	Cl—⟨⟩—CH$_2$–	1	2	0	R	H	—CH$_2$—N(H)—C(O)—(indole)
977	Cl—⟨⟩—CH$_2$–	1	2	0	R	H	—(CH$_2$)$_2$—N(H)—C(O)—(indole)
978	Cl—⟨⟩—CH$_2$–	1	2	0	R	H	—CH$_2$—N(H)—C(O)—(benzotriazole)
979	Cl—⟨⟩—CH$_2$–	1	2	0	R	H	—(CH$_2$)$_2$—N(H)—C(O)—(benzotriazole)

Table 1.90

Compd. No.	R¹ R²>C(CH₂)ᵢ-	k	m	n	chirality	R³	-(CH₂)ₒ-CR⁴R⁵-(CH₂)q-G-R⁶
980	Cl-C₆H₄-CH₂-	1	2	0	R	H	-CH₂-NH-CO-C₆H₄-NH-CO-CH₃
981	Cl-C₆H₄-CH₂-	1	2	0	R	H	-(CH₂)₂-NH-CO-C₆H₄-NH-CO-CH₃
982	Cl-C₆H₄-CH₂-	1	2	0	R	H	-CH₂-NH-CO-C₆H₄-N(CH₃)₂
983	Cl-C₆H₄-CH₂-	1	2	0	R	H	-(CH₂)₂-NH-CO-C₆H₄-N(CH₃)₂
984	Cl-C₆H₄-CH₂-	1	2	0	R	H	-CH₂-NH-CO-C₆H₄-CH₂OH
985	Cl-C₆H₄-CH₂-	1	2	0	R	H	-(CH₂)₂-NH-CO-C₆H₄-CH₂OH
986	Cl-C₆H₄-CH(C₆H₅)-	1	2	0	R	H	-CH₂-NH-CO-C₆H₄-CF₃
987	(C₆H₅)₂CH-CH₂-	2	2	1	-	H	-CH₂-NH-CO-C₆H₄-CF₃
988	Cl-C₆H₄-CH₂-	1	4	0	-	H	-CH₂-NH-CO-C₆H₄-CF₃
989	Cl-C₆H₄-CH₂-	1	4	0	-	H	-CH₂-NH-CO-O-CH₂-C₆H₅
990	Cl-C₆H₄-CH₂-	1	4	0	-	H	-CH₂-NH-CO-C₆H₅

Table 1.91

Compd. No.	R^1, R^2 $-(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p-\overset{R^4}{\underset{R^5}{C}}-(CH_2)_q-G-R^6$
991	Cl—⟨C₆H₄⟩—CH₂–	1	4	0	–	H	–(CH₂)₂–C(=O)–⟨C₆H₅⟩
992	Cl—⟨C₆H₄⟩—CH₂–	1	4	0	–	H	–(CH₂)₂–C(=O)–⟨C₆H₃(OCH₃)(OCH₃)⟩
993	Cl—⟨C₆H₄⟩—CH₂–	1	4	0	–	H	–(CH₂)₂–C(=O)–⟨C₆H₃(CH₃)(H₃C)⟩
994	Cl—⟨C₆H₄⟩—CH₂–	1	4	0	–	H	–(CH₂)₃–C(=O)–⟨C₆H₅⟩
995	Cl—⟨C₆H₄⟩—CH₂–	1	4	0	–	H	–(CH₂)₃–C(=O)–⟨C₆H₄–OCH₃⟩
996	Cl—⟨C₆H₄⟩—CH₂–	1	4	0	–	H	–(CH₂)₃–C(=O)–N(H)–⟨pyridyl–CH₃⟩
997	Cl—⟨C₆H₄⟩—CH₂–	2	2	1	–	H	–CH[CH₂CH(CH₃)₂]–N(H)–C(=O)–⟨C₆H₅⟩
998	Cl—⟨C₆H₄⟩—CH₂–	2	2	1	–	H	–CH[CH₂CH(CH₃)₂]–N(H)–C(=O)–⟨C₆H₄–CF₃⟩
999	Cl—⟨C₆H₄⟩—CH₂–	2	2	1	–	H	–CH[CH₂CH(CH₃)₂]–N(H)–C(=O)–⟨C₆H₄–CH₃⟩
1000	Cl—⟨C₆H₄⟩—CH₂–	2	2	1	–	H	–CH[CH₂CH(CH₃)₂]–N(H)–C(=O)–⟨C₆H₄–OCH₃⟩
1001	Cl—⟨C₆H₄⟩—CH₂–	2	2	1	–	H	–CH[CH₂CH(CH₃)₂]–N(H)–C(=O)–⟨C₆H₄–OCH₂CH₃⟩

Table 1.92

Compd. No.	R^1 R^2 $>$CH—(CH$_2$)—	k	m	n	chirality	R^3	$-(CH_2)_p\overset{R^4}{\underset{R^5}{|}}(CH_2)_q-G-R^6$
1002	Cl—⟨⟩—CH$_2$–	2	2	1	–	H	
1003	Cl—⟨⟩—CH$_2$–	2	2	1	–	H	
1004	Cl—⟨⟩—CH$_2$–	2	2	1	–	H	
1005	Cl—⟨⟩—CH$_2$–	2	2	1	–	H	
1006	Cl—⟨⟩—CH$_2$–	2	2	1	–	H	
1007	Cl—⟨⟩—CH$_2$–	2	2	1	–	H	
1008	Cl—⟨⟩—CH$_2$–	2	2	1	–	H	
1009	Cl—⟨⟩—CH$_2$–	2	2	1	–	H	
1010	Cl—⟨⟩—CH$_2$–	2	2	1	–	H	
1011	Cl—⟨⟩—CH$_2$–	2	2	1	–	H	
1012	Cl—⟨⟩—CH$_2$–	2	2	1	–	H	

Table 1.93

Compd. No.	R^1 R^2 $-(CH_2)_r-$	k	m	n	chirality	R^3	$-(CH_2)_p-\overset{R^4}{\underset{R^5}{C}}-(CH_2)_q-G-R^6$
1013	Cl—⟨⟩—CH_2–	2	2	1	-	H	–CH–N–C–⟨⟩–OCH_3, OCH_3, OCH_3; $(CH_2)_3$–C–NH_2
1014	Cl—⟨⟩—CH_2–	2	2	1	-	H	–CH–N–C–⟨⟩–OCH_2CH_3, OCH_2CH_3; $(CH_2)_3$–C–NH_2
1015	Cl—⟨⟩—CH_2–	2	2	1	-	H	–CH–N–C–⟨⟩–OCH_2CH_3, OCH_2CH_3; $(CH_2)_3$–C–NH_2
1016	Cl—⟨⟩—CH_2–	2	2	0	-	H	–CH_2–N–C–⟨⟩–CF_3
1017	Cl—⟨⟩—CH_2–	2	2	0	-	H	–CH_2–N–C–⟨⟩
1018	Cl—⟨⟩—CH_2–	2	2	1	-	H	–CH_2–N–C–⟨⟩–OCH_2CH_3, OCH_2CH_3
1019	Cl—⟨⟩—CH_2–	2	2	1	-	H	–CH_2–N–C–⟨⟩–OCH_2CH_3, OCH_2CH_3, OCH_2CH_3
1020	Cl—⟨⟩—CH_2–	2	2	1	-	H	–CH_2–N–C–⟨⟩–OCH_2CH_3, OCH_3
1021	Cl—⟨⟩—CH_2–	2	2	1	-	H	–CH_2–N–C–⟨⟩–OCH_2CF_3, F_3CCH_2O
1022	Cl—⟨⟩—CH_2–	2	2	1	-	H	(S) –CH–N–C–⟨⟩–OCH_3, OCH_3; CH_3
1023	Cl—⟨⟩—CH_2–	2	2	1	-	H	(S) –CH–N–C–⟨⟩–CH_2CH_3; CH_3

Table 1.94

Compd. No.	R^1 R^2 $>$(CH$_2$)$_l$–	k	m	n	chirality	R^3	–(CH$_2$)$_p$ R^4 R^5 –(CH$_2$)$_q$–G–R^6
1024	Cl–⟨benzene⟩–CH$_2$–	2	2	1	–	H	(S) –CH–N–C–⟨benzene⟩ with OCH$_3$, OCH$_3$, OCH$_3$; CH$_3$
1025	Cl–⟨benzene⟩–CH$_2$–	2	2	1	–	H	(S) –CH–N–C–⟨benzene⟩ with OCH$_2$CH$_3$, OCH$_2$CH$_3$; CH$_3$
1026	Cl–⟨benzene⟩–CH$_2$–	2	2	1	–	H	(S) –CH–N–C–⟨benzene⟩ with OCH$_2$CH$_3$, OCH$_2$CH$_3$, OCH$_2$CH$_3$; CH$_3$
1027	Cl–⟨benzene⟩–CH$_2$–	2	2	1	–	H	(S) –CH–N–C–⟨benzene⟩ with OCH$_2$CH$_3$, OCH$_3$; CH$_3$
1028	Cl–⟨benzene⟩–CH$_2$–	2	2	1	–	H	(S) –CH–N–C–⟨benzene⟩ with OCH$_2$CF$_3$, OCH$_2$CF$_3$; CH$_3$
1029	Cl–⟨benzene⟩–CH$_2$–	2	2	1	–	H	(S) –CH–N–C–⟨benzene⟩ with OCH$_2$CH$_3$; CH$_3$
1030	Cl–⟨benzene⟩–CH$_2$–	2	2	1	–	H	(S) –CH–N–C–⟨benzene⟩ with OCF$_3$; CH$_3$
1031	Cl–⟨benzene⟩–CH$_2$–	2	2	1	–	H	(S) –CH–N–C–⟨benzene⟩ with OCH$_3$; CH$_3$
1032	Cl–⟨benzene⟩–CH$_2$–	2	2	1	–	H	(R) –CH–N–C–⟨benzene⟩ with OCH$_3$, OCH$_3$; CH$_3$
1033	Cl–⟨benzene⟩–CH$_2$–	2	2	1	–	H	(R) –CH–N–C–⟨benzene⟩ with CH$_2$CH$_3$; CH$_3$
1034	Cl–⟨benzene⟩–CH$_2$–	2	2	1	–	H	(R) –CH–N–C–⟨benzene⟩ with OCH$_3$, OCH$_3$, OCH$_3$; CH$_3$

Table 1.95

Compd. No.	R^1 R^2 $-(CH_2)_k-$	k	m	n	chirality	R^3	$-(CH_2)_p \overset{R^4}{\underset{R^5}{C}} -(CH_2)_q-G-R^6$
1035	Cl–⟨ ⟩–CH₂–	2	2	1	-	H	(R) O OCH₂CH₃ / –CH–N–C–⟨ ⟩–OCH₂CH₃ / ĊH₃ H
1036	Cl–⟨ ⟩–CH₂–	2	2	1	-	H	(R) O OCH₂CH₃ / –CH–N–C–⟨ ⟩–OCH₂CH₃ / ĊH₃ H OCH₂CH₃
1037	Cl–⟨ ⟩–CH₂–	2	2	1	-	H	(R) O OCH₂CH₃ / –CH–N–C–⟨ ⟩–OCH₃ / ĊH₃ H
1038	Cl–⟨ ⟩–CH₂–	2	2	1	-	H	(R) O OCH₂CF₃ / –CH–N–C–⟨ ⟩ / ĊH₃ H OCH₂CF₃
1039	Cl–⟨ ⟩–CH₂–	2	2	1	-	H	(R) O OCH₂CH₃ / –CH–N–C–⟨ ⟩ / ĊH₃ H
1040	Cl–⟨ ⟩–CH₂–	2	2	1	-	H	(R) O OCF₃ / –CH–N–C–⟨ ⟩ / CH₃ H
1041	Cl–⟨ ⟩–CH₂–	2	2	1	-	H	(R) O OCH₃ / –CH–N–C–⟨ ⟩ / CH₃ H
1042	Cl–⟨ ⟩–CH₂–	2	2	1	-	H	O Br / –CH₂–N–C–⟨ ⟩ / H H₂N
1043	Cl–⟨ ⟩–CH₂–	2	2	1	-	H	O Cl / –CH₂–N–C–⟨ ⟩ / H H₂N
1044	Cl–⟨ ⟩–CH₂–	2	2	1	-	H	O CH₃ / –CH₂–N–C–⟨ ⟩ / H H₂N
1045	Cl–⟨ ⟩–CH₂–	2	2	1	-	H	O OCH₃ / –CH₂–N–C–⟨ ⟩ / H H₂N

Table 1.96

Compd. No.	R^1 R^2>CH-(CH$_2$)$_l$-	k	m	n	chirality	R^3	-(CH$_2$)$_o$-$\overset{R^4}{\underset{R^5}{C}}$-(CH$_2$)$_q$-G-R^6
1046	Cl—⟨C$_6$H$_4$⟩—CH$_2$-	2	2	1	-	H	-CH$_2$-NH-C(O)-⟨C$_6$H$_2$(NH$_2$)(Cl)(Cl)⟩
1047	Cl—⟨C$_6$H$_4$⟩—CH$_2$-	2	2	1	-	H	-CH$_2$-NH-C(O)-⟨C$_6$H$_2$(NH$_2$)(CH$_3$)(CH$_3$)⟩
1048	Cl—⟨C$_6$H$_4$⟩—CH$_2$-	2	2	1	-	H	-CH$_2$-NH-C(O)-⟨C$_6$H(NH$_2$)(OCH$_3$)(OCH$_3$)(OCH$_3$)⟩
1049	Cl—⟨C$_6$H$_4$⟩—CH$_2$-	2	2	1	-	H	-CH$_2$-NH-C(O)-⟨C$_6$H$_2$(NH$_2$)(CH$_3$)(Br)⟩
1050	Cl—⟨C$_6$H$_4$⟩—CH$_2$-	2	2	1	-	H	(S) -CH(CH$_2$CH(CH$_3$)$_2$)-NH-C(O)-⟨C$_6$H$_3$(OCH$_3$)(OCH$_3$)⟩
1051	Cl—⟨C$_6$H$_4$⟩—CH$_2$-	2	2	1	-	H	(S) -CH(CH$_2$CH(CH$_3$)$_2$)-NH-C(O)-⟨C$_6$H$_4$(CH$_2$CH$_3$)⟩
1052	Cl—⟨C$_6$H$_4$⟩—CH$_2$-	2	2	1	-	H	(S) -CH(CH$_2$CH(CH$_3$)$_2$)-NH-C(O)-⟨C$_6$H$_3$(OCH$_3$)(OCH$_3$)⟩
1053	Cl—⟨C$_6$H$_4$⟩—CH$_2$-	2	2	1	-	H	(S) -CH(CH$_2$CH(CH$_3$)$_2$)-NH-C(O)-⟨C$_6$H$_3$(OCH$_2$CH$_3$)(OCH$_2$CH$_3$)⟩
1054	Cl—⟨C$_6$H$_4$⟩—CH$_2$-	2	2	1	-	H	(S) -CH(CH$_2$CH(CH$_3$)$_2$)-NH-C(O)-⟨C$_6$H$_3$(OCH$_2$CH$_3$)(OCH$_2$CH$_3$)⟩
1055	Cl—⟨C$_6$H$_4$⟩—CH$_2$-	2	2	1	-	H	(S) -CH(CH$_2$CH(CH$_3$)$_2$)-NH-C(O)-⟨C$_6$H$_3$(OCH$_2$CH$_3$)(OCH$_3$)⟩
1056	Cl—⟨C$_6$H$_4$⟩—CH$_2$-	2	2	1	-	H	(S) -CH(CH$_2$CH(CH$_3$)$_2$)-NH-C(O)-⟨C$_6$H$_3$(OCH$_2$CF$_3$)(OCH$_2$CF$_3$)⟩

Table 1.97

Compd. No.	R^1 / R^2 $-(CH_2)_i-$	k	m	n	chirality	R^3	$-(CH_2)_p-CR^4R^5-(CH_2)_q-G-R^6$
1057	Cl—⟨ ⟩—CH_2-	2	2	1	-	H	(R) $-CH-N-C-$⟨ ⟩$-OCH_2CH_3$, $CH_2CH(CH_3)_2$
1058	Cl—⟨ ⟩—CH_2-	2	2	1	-	H	(S) $-CH-N-C-$⟨ ⟩$-OCH_3$, $CH_2CH(CH_3)_2$
1059	Cl—⟨ ⟩—CH_2-	2	2	1	-	H	(S) $-CH-N-C-$⟨ ⟩$-OCF_3$, $CH_2CH(CH_3)_2$
1060	Cl—⟨ ⟩—CH_2-	2	2	1	-	H	(R) $-CH-N-C-$⟨ ⟩$-OCH_2CH_3$, $-OCH_3$, $CH_2CH(CH_3)_2$
1061	Cl—⟨ ⟩—CH_2-	2	2	1	-	H	(R) $-CH-N-C-$⟨ ⟩$-OCH_2CF_3$, OCH_2CF_3, $CH_2CH(CH_3)_2$
1062	Cl—⟨ ⟩—CH_2-	2	2	1	-	H	(S) $-CH-N-C-$⟨ ⟩$-OCH_2CH_3$, $CH_2CH(CH_3)_2$
1063	Cl—⟨ ⟩—CH_2-	2	2	1	-	H	(R) $-CH-N-C-$⟨ ⟩$-OCH_3$, $CH_2CH(CH_3)_2$
1064	Cl—⟨ ⟩—CH_2-	2	2	1	-	H	(R) $-CH-N-C-$⟨ ⟩$-OCF_3$, $CH_2CH(CH_3)_2$
1065	Cl—⟨ ⟩—CH_2-	2	2	1	-	H	(R) $-CH-N-C-$⟨ ⟩$-OCH_3$, OCH_3, $CH_2CH(CH_3)_2$
1066	Cl—⟨ ⟩—CH_2-	2	2	1	-	H	(R) $-CH-N-C-$⟨ ⟩$-CH_2CH_3$, $CH_2CH(CH_3)_2$
1067	Cl—⟨ ⟩—CH_2-	2	2	1	-	H	(R) $-CH-N-C-$⟨ ⟩$-OCH_3$, $-OCH_3$, $CH_2CH(CH_3)_2$

Table 1.98

Compd. No.	R^1 / R^2 $-(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p-\overset{R^4}{\underset{R^5}{C}}-(CH_2)_q-G-R^6$
1068	Cl—⟨⟩—CH₂–	2	2	1	–	H	(R) –CH–N–C–benzene(OCH₂CH₃)(OCH₂CH₃), CH₂CH(CH₃)₂
1069	Cl—⟨⟩—CH₂–	2	2	1	–	H	(R) –CH–N–C–benzene(OCH₂CH₃)(OCH₂CH₃), CH₂CH(CH₃)₂ (OCH₂CH₃)
1070	Cl—⟨⟩—CH₂–	2	2	1	–	H	–CH–N–C–thiophene(SCH₃), CH₂OCH₂–
1071	Cl—⟨⟩—CH₂–	2	2	1	–	H	–CH–N–C–indole, CH₂OCH₂–
1072	Cl—⟨⟩—CH₂–	2	2	1	–	H	–CH–N–C–furanone(CH₃)(C(CH₃)₃), CH₂OCH₂–
1073	Cl—⟨⟩—CH₂–	2	2	1	–	H	–CH–N–C–furan(CH₃)(phenyl), CH₂OCH₂–
1074	Cl—⟨⟩—CH₂–	2	2	1	–	H	–CH–N–C–furanone(CF₃)(CH₃), CH₂OCH₂–
1075	Cl—⟨⟩—CH₂–	2	2	1	–	H	–CH–N–C–benzene(OCF₃), CH₂OCH₂–
1076	Cl—⟨⟩—CH₂–	2	2	1	–	H	–CH–N–C–benzene(NO₂), CH₂OCH₂–
1077	Cl—⟨⟩—CH₂–	2	2	1	–	H	–CH–N–C–benzene(CF₃), CH₂OCH₂–
1078	Cl—⟨⟩—CH₂–	2	2	1	–	H	–CH–N–C–phenyl, CH₂OCH₂–

Table 1.99

Compd. No.	R^1, R^2 >CH-(CH$_2$)-	k	m	n	chirality	R^3	-(CH$_2$)$_p$-$\overset{R^4}{\underset{R^5}{C}}$-(CH$_2$)$_q$-G-$R^6$
1079	Cl—⟨C$_6$H$_4$⟩—CH$_2$-	2	2	1	-	H	-CH$_2$-N(H)-CO-C$_6$H$_4$(CH$_3$); CH$_2$OCH$_2$C$_6$H$_5$
1080	Cl—⟨C$_6$H$_4$⟩—CH$_2$-	2	2	1	-	H	-CH-N(H)-CO-C$_6$H$_4$(OCH$_2$CH$_3$); CH$_2$OCH$_2$C$_6$H$_5$
1081	Cl—⟨C$_6$H$_4$⟩—CH$_2$-	2	2	1	-	H	-CH-N(H)-CO-C$_6$H$_2$(OCH$_3$)$_3$; CH$_2$OCH$_2$C$_6$H$_5$
1082	Cl—⟨C$_6$H$_4$⟩—CH$_2$-	2	2	1	-	H	(S) -CH(CH$_3$)-N(H)-CO-C$_6$H$_4$-O-cyclopentyl
1083	Cl—⟨C$_6$H$_4$⟩—CH$_2$-	2	2	1	-	H	(R) -CH(CH$_3$)-N(H)-CO-C$_6$H$_4$-O-cyclopentyl
1084	Cl—⟨C$_6$H$_4$⟩—CH$_2$-	1	2	0	R	H	-CH$_2$-N(H)-CO-C$_6$H$_3$(Cl)(NH$_2$)
1085	Cl—⟨C$_6$H$_4$⟩—CH$_2$-	1	2	0	R	H	-CH$_2$-N(H)-CO-C$_6$H$_3$(NO$_2$)(NH$_2$)
1086	Cl—⟨C$_6$H$_4$⟩—CH$_2$-	1	2	0	R	H	-CH$_2$-N(H)-CO-C$_6$H$_4$(NH$_2$)
1087	Cl—⟨C$_6$H$_4$⟩—CH$_2$-	1	2	0	R	H	-CH$_2$-N(H)-CO-(indol-2-yl)
1088	Cl—⟨C$_6$H$_4$⟩—CH$_2$-	1	2	0	R	H	-CH$_2$-N(H)-CO-(3-furyl)
1089	Cl—⟨C$_6$H$_4$⟩—CH$_2$-	1	2	0	R	H	-CH$_2$-N(H)-CO-(5-fluoroindol-2-yl)

119

Table 1.100

Compd. No.	R1 R2 (CH2)j	k	m	n	chirality	R3	-(CH2)p-C(R4)(R5)-(CH2)q-G-R6
1090	Cl-〈〉-CH2-	1	2	0	R	H	-CH2-NH-C(O)-C6H4-OCH2CH3 (3-ethoxy)
1091	Cl-〈〉-CH2-	1	2	0	R	H	-CH2CH2-NH-C(O)-C6H3(5-Cl)(2-NH2)
1092	Cl-〈〉-CH2-	1	2	0	R	H	-CH2CH2-NH-C(O)-C6H3(NO2)(NH2)
1093	Cl-〈〉-CH2-	1	2	0	R	H	-CH2CH2-NH-C(O)-C6H4-NH2
1094	Cl-〈〉-CH2-	1	2	0	R	H	-CH2CH2-NH-C(O)-(indol-2-yl)
1095	Cl-〈〉-CH2-	1	2	0	R	H	-CH2CH2-NH-C(O)-(furan-2-yl)
1096	Cl-〈〉-CH2-	1	2	0	R	H	-CH2CH2-NH-C(O)-(5-fluoroindol-2-yl)
1097	Cl-〈〉-CH2-	1	2	0	R	H	-CH2CH2-NH-C(O)-C6H4-OCH2CH3 (3-ethoxy)
1098	Cl-〈〉-CH2-	1	2	0	R	H	-CH2-NH-C(O)-C6H3(3-Br)(4-CH3)
1099	Cl-〈〉-CH2-	1	2	0	R	H	-CH2-NH-C(O)-C6H3(3-Br)(4-F)
1100	Cl-〈〉-CH2-	1	2	0	R	H	-CH2-NH-C(O)-C6H3(3-Cl)(4-F)

120

Table 1.101

Compd. No.	$\begin{smallmatrix}R^1\\R^2\end{smallmatrix}$>(CH₂)ⱼ—	k	m	n	chirality	R^3	$-(CH_2)_p\!\!\begin{smallmatrix}R^4\\|\\C\\|\\R^5\end{smallmatrix}\!\!(CH_2)_q\!-G\!-R^6$
1101	Cl—⬡—CH₂–	1	2	0	R	H	–CH₂–N(H)–C(O)–⬡(I)–CH₃
1102	Cl—⬡—CH₂–	1	2	0	R	H	–CH₂–N(H)–C(O)–⬡(CH₃)–NO₂
1103	H₃C—⬡—CH₂–	1	2	0	R	H	–CH₂–N(H)–C(O)–⬡(Br)–CH₃
1104	H₃C—⬡—CH₂–	1	2	0	R	H	–CH₂–N(H)–C(O)–⬡(Br)–F
1105	H₃C—⬡—CH₂–	1	2	0	R	H	–CH₂–N(H)–C(O)–⬡(Cl)–F
1106	H₃C—⬡—CH₂–	1	2	0	R	H	–CH₂–N(H)–C(O)–⬡(I)–CH₃
1107	H₃C—⬡—CH₂–	1	2	0	R	H	–CH₂–N(H)–C(O)–⬡(CH₃)–NO₂
1108	isoxazole(CH₃)(CH₃)–CH₂–	1	2	0	R	H	–CH₂–N(H)–C(O)–⬡(Br)–CH₃
1109	isoxazole(CH₃)(CH₃)–CH₂–	1	2	0	R	H	–CH₂–N(H)–C(O)–⬡(Br)–F
1110	isoxazole(CH₃)(CH₃)–CH₂–	1	2	0	R	H	–CH₂–N(H)–C(O)–⬡(Cl)–F
1111	isoxazole(CH₃)(CH₃)–CH₂–	1	2	0	R	H	–CH₂–N(H)–C(O)–⬡(I)–CH₃

Table 1.102

Compd. No.	R^1 R^2 $>$CH-(CH$_2$)$_j$-	k	m	n	chirality	R^3	-(CH$_2$)$_p$-C$<^{R^4}_{R^5}$-(CH$_2$)$_q$-G-R^6
1112	(3,5-dimethylisoxazol-4-yl)-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-C(O)-(2-CH$_3$-4-NO$_2$-phenyl)
1113	Cl-C$_6$H$_4$-CH$_2$-	2	2	1	-	H	-CH$_2$-NH-C(O)-(3-Br-4-CH$_3$-phenyl)
1114	Cl-C$_6$H$_4$-CH$_2$-	2	2	1	-	H	-CH$_2$-NH-C(O)-(3-Br-4-F-phenyl)
1115	Cl-C$_6$H$_4$-CH$_2$-	2	2	1	-	H	-CH$_2$-NH-C(O)-(3-Cl-4-F-phenyl)
1116	Cl-C$_6$H$_4$-CH$_2$-	2	2	1	-	H	-CH$_2$-NH-C(O)-(3-I-4-CH$_3$-phenyl)
1117	Cl-C$_6$H$_4$-CH$_2$-	2	2	1	-	H	-CH$_2$-NH-C(O)-(3-CH$_3$-4-NO$_2$-phenyl)
1118	C$_6$H$_5$-NH-C(O)-C$_6$H$_4$-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-C(O)-(3-CF$_3$-phenyl)
1119	H$_3$CS-C$_6$H$_4$-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-C(O)-(3-CF$_3$-phenyl)
1120	(2,4-dimethoxyphenyl)-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-C(O)-(3-CF$_3$-phenyl)
1121	(2-CH$_3$-4-NO$_2$-phenyl)-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-C(O)-(3-CF$_3$-phenyl)
1122	(2-CH$_3$-4-CH(CH$_3$)$_2$-5-... phenyl)-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-C(O)-(3-CF$_3$-phenyl)

Table 1.103

Compd. No.	R^1R^2CH(CH$_2$)$_k$—	k	m	n	chirality	R^3	$-(CH_2)_p\underset{R^5}{\overset{R^4}{C}}(CH_2)_q$-G-R^6
1123	naphthyl-Br-CH$_2$	1	2	0	R	H	$-CH_2-N(H)-C(O)$-phenyl-CF$_3$
1124	O_2N-furyl-CH$_2$	1	2	0	R	H	$-CH_2-N(H)-C(O)$-phenyl-CF$_3$
1125	Cl-phenyl-CH$_2$	2	2	1	-	H	$-CH(CH_2OCH_2$-phenyl$)-N(H)-C(O)$-phenyl-NO$_2$,Cl
1126	Cl-phenyl-CH$_2$	2	2	1	-	H	$-CH(CH_2OCH_2$-phenyl$)-N(H)-C(O)$-phenyl-NO$_2$,Br
1127	Cl-phenyl-CH$_2$	2	2	1	-	H	$-CH(CH_2OCH_2$-phenyl$)-N(H)-C(O)$-indolyl-NH
1128	Cl-phenyl-CH$_2$	2	2	1	-	H	$-CH(CH_2OCH_2$-phenyl$)-N(H)-C(O)$-phenyl-CF$_3$,F
1129	Cl-phenyl-CH$_2$	2	2	1	-	H	$-CH(CH_2OCH_2$-phenyl$)-N(H)-C(O)$-phenyl-CF$_3$,F
1130	Cl-phenyl-CH$_2$	2	2	1	-	H	$-CH(CH_2OCH_2$-phenyl$)-N(H)-C(O)$-phenyl-Br
1131	Cl-phenyl-CH$_2$	2	2	1	-	H	$-CH(CH_2OCH_2$-phenyl$)-N(H)-C(O)$-phenyl-Cl
1132	Cl-phenyl-CH$_2$	2	2	1	-	H	$-CH(CH_2OCH_2$-phenyl$)-N(H)-C(O)$-phenyl-CF$_3$
1133	H_3CO,H_3CO-phenyl-CH$_2$	1	2	0	R	H	$-CH_2-N(H)-C(O)$-phenyl-CF$_3$

Table 1.104

Compd. No.	R^1 R^2 $-(CH_2)_l-$	k	m	n	chirality	R^3	$-(CH_2)_p\!\!\begin{smallmatrix}R^4\\|\\|\\R^5\end{smallmatrix}\!\!(CH_2)_q\!-\!G\!-\!R^6$
1134	3,4,5-tri(H₃CO)-phenyl-CH₂–	1	2	0	R	H	$-CH_2-N(H)-C(O)-$ phenyl-CF_3
1135	(methylenedioxy), NO₂-phenyl-CH₂–	1	2	0	R	H	$-CH_2-N(H)-C(O)-$ phenyl-CF_3
1136	(methylenedioxy), H₃CO-phenyl-CH₂–	1	2	0	R	H	$-CH_2-N(H)-C(O)-$ phenyl-CF_3
1137	(methylenedioxy), Br-phenyl-CH₂–	1	2	0	R	H	$-CH_2-N(H)-C(O)-$ phenyl-CF_3
1138	indanyl-CH₂–	1	2	0	R	H	$-CH_2-N(H)-C(O)-$ phenyl-CF_3
1139	phenyl-$(CH_2)_2$–	1	2	0	R	H	$-CH_2-N(H)-C(O)-$ phenyl-CF_3
1140	3,5-di(O₂N)-phenyl-CH₂–	1	2	0	R	H	$-CH_2-N(H)-C(O)-$ phenyl-CF_3
1141	naphthyl-CH₂–	1	2	0	R	H	$-CH_2-N(H)-C(O)-$ phenyl-CF_3
1142	naphthyl-CH₂–	1	2	0	R	H	$-CH_2-N(H)-C(O)-$ phenyl-CF_3
1143	di(phenyl-CH₂O)-phenyl-CH₂–	1	2	0	R	H	$-CH_2-N(H)-C(O)-$ phenyl-CF_3
1144	3,5-di(H₃CO)-phenyl-CH₂–	1	2	0	R	H	$-CH_2-N(H)-C(O)-$ phenyl-CF_3

Table 1.105

Compd. No.	R^1 R^2 $-(CH_2)_l-$	k	m	n	chirality	R^3	$-(CH_2)_p \overset{R^4}{\underset{R^5}{|}} (CH_2)_q-G-R^6$
1145	H₃CO, H₃CO, NO₂ benzyl –CH₂–	1	2	0	R	H	–CH₂–N(H)–C(O)– phenyl–CF₃
1146	phenyl–O–CH₂–O–CH₂–	1	2	0	R	H	–CH₂–N(H)–C(O)– phenyl–CF₃
1147	H₃C–C(O)–N(H)– phenyl –CH₂–	1	2	0	R	H.	–CH₂–N(H)–C(O)– phenyl–CF₃
1148	biphenyl –CH₂–	1	2	0	R	H	–CH₂–N(H)–C(O)– phenyl–CF₃
1149	CH₃, CH₃ isoxazole –CH₂–	1	2	0	R	H	–CH₂–N(H)–C(O)– phenyl–OCH₂CH₃
1150	CH₃, CH₃ isoxazole –CH₂–	1	2	0	R	H	–CH₂–N(H)–C(O)– phenyl–CH₂CH₃
1151	CH₃, CH₃ isoxazole –CH₂–	1	2	0	R	H	–CH₂–N(H)–C(O)–CH₂– phenyl–CF₃
1152	CH₃, CH₃ isoxazole –CH₂–	1	2	0	R	H	–CH₂–N(H)–C(O)– indole–F
1153	CH₃ isoxazole –CH₂–	1	2	0	R	H	–CH₂–N(H)–C(O)– indole–Cl
1154	CH₃, CH₃ isoxazole –CH₂–	1	2	0	R	H	–CH₂–N(H)–C(O)– indole–CH₃
1155	CH₃, CH₃ isoxazole –CH₂–	1	2	0	R	H	–CH₂–N(H)–C(O)– furan–CH₃, F₃C

125

Table 1.106

Compd. No.	R^1 R^2 (CH$_2$)—	k	m	n	chirality	R^3	$-(CH_2)_p\overset{R^4}{\underset{R^5}{C}}(CH_2)_q-G-R^6$
1156	isoxazole-CH$_2$– (CH$_3$, CH$_3$, CH$_3$)	1	2	0	R	H	–CH$_2$-NH-C(O)-furan-C(CH$_3$)$_3$
1157	isoxazole-CH$_2$– (CH$_3$, CH$_3$, CH$_3$)	1	2	0	R	H	–CH$_2$-NH-C(O)-thiophene-SCH$_3$
1158	isoxazole-CH$_2$– (CH$_3$, CH$_3$, CH$_3$)	1	2	0	R	H	–CH$_2$-NH-C(O)-phenyl(Cl, Cl, H$_2$N)
1159	isoxazole-CH$_2$– (CH$_3$, CH$_3$, CH$_3$)	1	2	0.	R	H	–CH$_2$-NH-C(O)-phenyl(OCH$_3$, OCH$_3$, OCH$_3$, H$_2$N)
1160	isoxazole-CH$_2$– (CH$_3$, CH$_3$, CH$_3$)	1	2	0	R	H	–CH$_2$-NH-C(O)-phenyl(CH$_3$, Br, H$_2$N)
1161	H$_3$CO-phenyl(OH)-CH$_2$–	1	2	0	R	H	–CH$_2$-NH-C(O)-phenyl(CF$_3$)
1162	H$_3$CO-phenyl(CH$_3$, H$_3$C)-CH$_2$–	1	2	0	R	H	–CH$_2$-NH-C(O)-phenyl(CF$_3$)
1163	H$_3$CO-phenyl(F)-CH$_2$–	1	2	0	R	H	–CH$_2$-NH-C(O)-phenyl(CF$_3$)
1164	H$_3$CO-phenyl(H$_3$C)-CH$_2$–	1	2	0	R	H	–CH$_2$-NH-C(O)-phenyl(CF$_3$)
1165	benzodioxane-CH$_2$–	1	2	0	R	H	–CH$_2$-NH-C(O)-phenyl(CF$_3$)
1166	H$_3$CO-phenyl(Br)-CH$_2$–	1	2	0	R	H	–CH$_2$-NH-C(O)-phenyl(CF$_3$)

Table 1.107

Compd. No.	R^1 R^2 ⟩(CH₂)ᵢ—	k	m	n	chirality	R^3	—(CH₂)ₚ $\overset{R^4}{\underset{R^5}{\mid}}$(CH₂)_q-G-$R^6$
1167	Cl—⟨⟩—CH₂—	2	2	1	-	H	—CH₂-N(H)-C(O)- benzene-O-cyclopentyl
1168	Cl-thiazole-CH₂—	1	2	0	R	H	—CH₂-N(H)-C(O)-benzene-CF₃
1169	H₃C-C(O)-N(H)-thiazole-CH₂—	1	2	0	R	H	—CH₂-N(H)-C(O)-benzene-CF₃
1170	benzimidazole-CH₂—	1	2	0	R	H	—CH₂-N(H)-C(O)-benzene-CF₃
1171	Cl—⟨⟩—CH₂—	1	2	0	R	H	—CH₂-N(H)-C(O)-benzene-CH₃,Br
1172	Cl—⟨⟩—CH₂—	1	2	0	R	H	—CH₂-N(H)-C(O)-indole-OH
1173	Cl—⟨⟩—CH₂—	1	2	0	R	H	—CH₂-N(H)-C(O)-indole-OCH₃
1174	Cl—⟨⟩—CH₂—	1	2	0	R	H	—CH₂-N(H)-C(O)-benzene-F,H₂N
1175	H₃C—⟨⟩—CH₂—	1	2	0	R	H	—CH₂-N(H)-C(O)-benzene-CH₃,Br
1176	H₃C—⟨⟩—CH₂—	1	2	0	R	H	—CH₂-N(H)-C(O)-indole-OH
1177	H₃C—⟨⟩—CH₂—	1	2	0	R	H	—CH₂-N(H)-C(O)-indole-OCH₃

Table 1.108

Compd. No.	R¹⟩―(CH₂)ᵢ― / R²	k	m	n	chirality	R³	―(CH₂)ₚ―C(R⁴)(R⁵)―(CH₂)q―G―R⁶
1178	H₃C―⟨C₆H₄⟩―CH₂―	1	2	0	R	H	―CH₂―NH―C(=O)―(2-F, 6-NH₂ phenyl)
1179	H₃C―⟨C₆H₄⟩―CH₂―	1	2	0	R	H	―CH₂―NH―C(=O)―(NO₂, NH₂ phenyl)
1180	H₃C―⟨C₆H₄⟩―CH₂―	1	2	0	R	H	―CH₂―NH―C(=O)―(indol-2-yl)
1181	(3,5-dimethylisoxazol-4-yl)―CH₂―	1	2	0	R	H	―CH₂―NH―C(=O)―(3-CH₃, 4-Br phenyl)
1182	(3,5-dimethylisoxazol-4-yl)―CH₂―	1	2	0	R	H	―CH₂―NH―C(=O)―(5-OH indol-2-yl)
1183	(3,5-dimethylisoxazol-4-yl)―CH₂―	1	2	0	R	H	―CH₂―NH―C(=O)―(5-OCH₃ indol-2-yl)
1184	(3,5-dimethylisoxazol-4-yl)―CH₂―	1	2	0	R	H	―CH₂―NH―C(=O)―(2-F, 6-NH₂ phenyl)
1185	(3,5-dimethylisoxazol-4-yl)―CH₂―	1	2	0	R	H	―CH₂―NH―C(=O)―(NO₂, NH₂ phenyl)
1186	(3,5-dimethylisoxazol-4-yl)―CH₂―	1	2	0	R	H	―CH₂―NH―C(=O)―(indol-2-yl)
1187	Cl―⟨C₆H₄⟩―CH₂―	2	2	1	-	H	―CH₂―NH―C(=O)―(3-CH₃, 4-Br phenyl)
1188	Cl―⟨C₆H₄⟩―CH₂―	2	2	1	-	H	―CH₂―NH―C(=O)―(5-OH indol-2-yl)

128

Table 1.109

Compd. No.	R^1R^2C(CH$_2$)$_l$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$—CR^4R^5—(CH$_2$)$_q$—G—R^6
1189	Cl—⬡—CH$_2$—	2	2	1	-	H	—CH$_2$-N(H)-C(=O)-(indole-2-yl, 5-OCH$_3$)
1190	Cl—⬡—CH$_2$—	2	2	1	-	H	—CH$_2$-N(H)-C(=O)-(phenyl, F, H$_2$N)
1191	(3,4,5-trimethylisoxazol-4-yl)-CH$_2$—	1	2	0	R	H	—CH$_2$-N(H)-C(=O)-(phenyl, 3-CF$_3$, 5-F)
1192	(3,4,5-trimethylisoxazol-4-yl)-CH$_2$—	1	2	0	R	H	—CH$_2$-N(H)-C(=O)-(phenyl, CF$_3$, F)
1193	(3,4,5-trimethylisoxazol-4-yl)-CH$_2$—	1	2	0	R	H	—CH$_2$-N(H)-C(=O)-(phenyl, 3-OCF$_3$)
1194	(3,4,5-trimethylisoxazol-4-yl)-CH$_2$—	1	2	0	R	H	—CH$_2$-N(H)-C(=O)-(phenyl, 3-CF$_3$, 5-F$_3$C)
1195	(3,4,5-trimethylisoxazol-4-yl)-CH$_2$—	1	2	0	R	H	—CH$_2$-N(H)-C(=O)-(phenyl, 3-Br)
1196	(3,4,5-trimethylisoxazol-4-yl)-CH$_2$—	1	2	0	R	H	—CH$_2$-N(H)-C(=O)-(phenyl, 3-NO$_2$)
1197	(3,4,5-trimethylisoxazol-4-yl)-CH$_2$—	1	2	0	R	H	—CH$_2$-N(H)-C(=O)-(phenyl, CF$_3$, F)
1198	(3,4,5-trimethylisoxazol-4-yl)-CH$_2$—	1	2	0	R	H	—CH$_2$-N(H)-C(=O)-(phenyl, 3-Cl)
1199	(3,4,5-trimethylisoxazol-4-yl)-CH$_2$—	1	2	0	R	H	—CH$_2$-N(H)-C(=O)-(phenyl, 3-CH$_3$)

Table 1.110

Compd. No.	R^1 R^2 $\rangle$(CH$_2$)$_j$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$$\overset{R^4}{\underset{R^5}{|}}$(CH$_2$)$_q$—G—$R^6$
1200		1	2	0	R	H	
1201		1	2	0	R	H	
1202		1	2	0	R	H	
1203		1	2	0	R	H	
1204		1	2	0	R	H	
1205		1	2	0	R	H	
1206		1	2	0	R	H	
1207		1	2	0	R	H	
1208		1	2	0	R	H	
1209		1	2	0	R	H	
1210		1	2	0	R	H	

130

Table 1.111

Compd. No.	R^1-R^2>(CH$_2$)$_l$-	k	m	n	chirality	R^3	-(CH$_2$)$_p$-C(R^4)(R^5)-(CH$_2$)$_q$-G-R^6
1211	H$_3$C—⟨ ⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(=O)—C$_6$H$_3$(F)(F) (3,4-F)
1212	H$_3$C—⟨ ⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(=O)—C$_6$H$_3$(F)(CF$_3$)
1213	Cl—⟨ ⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(=O)—C$_6$H$_3$(CF$_3$)(CF$_3$)
1214	Cl—⟨ ⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(=O)—C$_6$H$_3$(CF$_3$)(F)
1215	Cl—⟨ ⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(=O)—C$_6$H$_3$(Cl)(Cl) (3,5-Cl)
1216	Cl—⟨ ⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(=O)—C$_6$H$_3$(F)(F) (3,4-F)
1217	Cl—⟨ ⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(=O)—C$_6$H$_3$(CF$_3$)(Cl)
1218	Cl—⟨ ⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(=O)—C$_6$H$_3$(CH$_3$)(F)
1219	Cl—⟨ ⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(=O)—C$_6$H$_3$(CH$_3$)(Cl)
1220	Cl—⟨ ⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(=O)—C$_6$H$_3$(I)(NH$_2$)
1221	Cl—⟨ ⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(=O)—C$_6$H$_2$(F)(F)(NH$_2$)

Table 1.112

Compd. No.	R^1, R^2-(CH$_2$)$_i$-	k	m	n	chirality	R^3	-(CH$_2$)$_p$-C(R^4)(R^5)-(CH$_2$)$_q$-G-R^6
1222	Cl—〈 〉—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)— (pyrrole, CH$_3$)
1223	Cl—〈 〉—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)— (phenyl-S(O)-phenyl)
1224	Cl—〈 〉—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)— (NO$_2$, HO-phenyl)
1225	H$_3$C—〈 〉—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)— (CF$_3$, Cl-phenyl)
1226	H$_3$C—〈 〉—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)— (CH$_3$, F-phenyl)
1227	H$_3$C—〈 〉—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)— (CH$_3$, Cl-phenyl)
1228	H$_3$C—〈 〉—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)— (I, H$_2$N-phenyl)
1229	H$_3$C—〈 〉—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)— (F, F, H$_2$N-phenyl)
1230	H$_3$C—〈 〉—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)— (pyrrole, CH$_3$)
1231	H$_3$C—〈 〉—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)— (phenyl-S(O)-phenyl)
1232	H$_3$C—〈 〉—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)— (NO$_2$, HO-phenyl)

Table 1.113

Compd. No.	R^1 R^2 >(CH$_2$)$_j$–	k	m	n	chirality	R^3	$-(CH_2)_p$–$\overset{R^4}{\underset{R^5}{C}}$–$(CH_2)_q$–G–$R^6$
1233	dimethylisoxazolyl-CH$_2$–	1	2	0	R	H	–CH$_2$–NHC(O)–benzene(2-Cl, 5-CF$_3$)
1234	dimethylisoxazolyl-CH$_2$–	1	2	0	R	H.	–CH$_2$–NHC(O)–benzene(2-F, 5-CH$_3$)
1235	dimethylisoxazolyl-CH$_2$–	1	2	0	R	H	–CH$_2$–NHC(O)–benzene(2-CH$_3$, 4-Cl)
1236	dimethylisoxazolyl-CH$_2$–	1	2	0	R	H	–CH$_2$–NHC(O)–benzene(2-NH$_2$, 5-I)
1237	dimethylisoxazolyl-CH$_2$–	1	2	0	R	H	–CH$_2$–NHC(O)–benzene(2-NH$_2$, 4-F, 5-F)
1238	dimethylisoxazolyl-CH$_2$–	1	2	0	R	H	–CH$_2$–NHC(O)–(4-CH$_3$-pyrrol-2-yl)
1239	dimethylisoxazolyl-CH$_2$–	1	2	0	R	H	–CH$_2$–NHC(O)–benzene(S(O)–phenyl)
1240	dimethylisoxazolyl-CH$_2$–	1	2	0	R	H	–CH$_2$–NHC(O)–benzene(2-HO, 5-NO$_2$)
1241	Cl–C$_6$H$_4$–CH$_2$–	2	2	1	–	H	–CH$_2$–NHC(O)–benzene(2-Cl, 5-CF$_3$)
1242	Cl–C$_6$H$_4$–CH$_2$–	2	2	1	–	H	–CH$_2$–NHC(O)–benzene(2-F, 5-CH$_3$)
1243	Cl–C$_6$H$_4$–CH$_2$–	2	2	1	–	H	–CH$_2$–NHC(O)–benzene(3-CH$_3$, 4-Cl)

Table 1.114

Compd. No.	R^1 $R^2$$>$(CH$_2$)$_i-$	k	m	n	chirality	R^3	$-$(CH$_2$)$_p$$<$$R^4$ $R^5$$-$(CH$_2$)$_q$$-G-$R^6
1244	Cl–⟨⟩–CH$_2$–	2	2	1	–	H	–CH$_2$–NH–C(O)–benzene(I, NH$_2$)
1245	Cl–⟨⟩–CH$_2$–	2	2	1	–	H	–CH$_2$–NH–C(O)–benzene(F, F, NH$_2$)
1246	Cl–⟨⟩–CH$_2$–	2	2	1	–	H	–CH$_2$–C(O)–pyrrole(CH$_3$)
1247	Cl–⟨⟩–CH$_2$–	2	2	1	–	H	–CH$_2$–NH–C(O)–benzene–S(O)–phenyl
1248	Cl–⟨⟩–CH$_2$–	2	2	1	–	H	–CH$_2$–NH–C(O)–benzene(NO$_2$, OH)
1249	Cl–⟨⟩–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(O)–benzene(NO$_2$, Cl)
1250	H$_3$C–⟨⟩–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(O)–benzene(NO$_2$, Cl)
1251	isoxazole(CH$_3$, CH$_3$)–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(O)–benzene(NO$_2$, Cl)
1252	Cl–⟨⟩–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(O)–benzene–CH(CH$_3$)$_2$
1253	H$_3$C–⟨⟩–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(O)–benzene–CH(CH$_3$)$_2$
1254	isoxazole(CH$_3$, CH$_3$)–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(O)–benzene–CH(CH$_3$)$_2$

Table 1.115

Compd. No.	$\begin{array}{c}R^1\\R^2\end{array}$(CH$_2$)$_l$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$$\begin{array}{c}R^4\\R^5\end{array}$(CH$_2$)$_q$—G—R^6
1255	Cl—⟨ ⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(=O)—(2-NH$_2$-5-Br-phenyl)
1256	H$_3$C—⟨ ⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(=O)—(2-NH$_2$-5-Br-phenyl)
1257	(3,5-(CH$_3$)$_2$-isoxazol-4-yl)CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(=O)—(2-NH$_2$-5-Br-phenyl)
1258	H$_3$C—⟨ ⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(=O)—(2-NH$_2$-5-Cl-phenyl)
1259	(3,5-(CH$_3$)$_2$-isoxazol-4-yl)CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(=O)—(2-NH$_2$-5-Cl-phenyl)
1260	H$_3$C—⟨ ⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(=O)—(3-OCH$_2$CH$_3$-phenyl)
1261	Cl—⟨ ⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(=O)—(5-C(CH$_3$)$_3$-2-CH$_3$-furan-3-yl)
1262	H$_3$C—⟨ ⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(=O)—(5-C(CH$_3$)$_3$-2-CH$_3$-furan-3-yl)
1263	(3,5-(CH$_3$)$_2$-isoxazol-4-yl)CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(=O)—(5-C(CH$_3$)$_3$-2-CH$_3$-furan-3-yl)
1264	Cl—⟨ ⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(=O)—(5-phenyl-2-CH$_3$-furan-3-yl)
1265	H$_3$C—⟨ ⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(=O)—(5-phenyl-2-CH$_3$-furan-3-yl)

Table 1.116

Compd. No.	R^1R^2 $(CH_2)_l$-	k	m	n	chirality	R^3	-(CH_2)_p-R^4R^5-(CH_2)_q-G-R^6
1266	3,5-dimethylisoxazol-4-yl-CH_2-	1	2	0	R	H	-CH_2-NH-C(=O)-(5-phenyl-2-methylfuran-3-yl)
1267	Cl-C_6H_4-CH_2-	1	2	0	R	H	-CH_2-NH-C(=O)-(5-OCF_3-indol-2-yl)
1268	Cl-C_6H_4-CH_2-	1	2	0	R	H	-CH_2-NH-C(=O)-(5-Cl-2-OCH_3-phenyl)
1269	Cl-C_6H_4-CH_2-	1	2	0	R	H	-CH_2-NH-C(=O)-(5-Br-2-OH-phenyl)
1270	Cl-C_6H_4-CH_2-	1	2	0	R	H	-CH_2-NH-C(=O)-(5-Cl-2-OH-phenyl)
1271	Cl-C_6H_4-CH_2-	1	2	0	R	H	-CH_2-NH-C(=O)-(3-NO_2-4-F-phenyl)
1272	H_3C-C_6H_4-CH_2-	1	2	0	R	H	-CH_2-NH-C(=O)-(5-OCF_3-indol-2-yl)
1273	H_3C-C_6H_4-CH_2-	1	2	0	R	H	-CH_2-NH-C(=O)-(5-Cl-2-OCH_3-phenyl)
1274	H_3C-C_6H_4-CH_2-	1	2	0	R	H	-CH_2-NH-C(=O)-(5-Br-2-OH-phenyl)
1275	H_3C-C_6H_4-CH_2-	1	2	0	R	H	-CH_2-NH-C(=O)-(5-Cl-2-OH-phenyl)
1276	H_3C-C_6H_4-CH_2-	1	2	0	R	H	-CH_2-NH-C(=O)-(3-NO_2-4-F-phenyl)

Table 1.117

Compd. No.	R^1R^2-(CH$_2$)$_l$-	k	m	n	chirality	R^3	-(CH$_2$)$_p$-CR^4R^5-(CH$_2$)$_q$-G-R^6
1277	3,5-dimethylisoxazol-4-yl-CH$_2$-	1	2	0	R	H	-CH$_2$-N(H)-CO-(5-OCF$_3$-indol-2-yl)
1278	3,5-dimethylisoxazol-4-yl-CH$_2$-	1	2	0	R	H	-CH$_2$-N(H)-CO-(5-Cl-2-CH$_3$O-phenyl)
1279	3,5-dimethylisoxazol-4-yl-CH$_2$-	1	2	0	R	H	-CH$_2$-N(H)-CO-(5-Br-2-HO-phenyl)
1280	3,5-dimethylisoxazol-4-yl-CH$_2$-	1	2	0	R	H	-CH$_2$-N(H)-CO-(5-Cl-2-HO-phenyl)
1281	3,5-dimethylisoxazol-4-yl-CH$_2$-	1	2	0	R	H	-CH$_2$-N(H)-CO-(3-NO$_2$-4-F-phenyl)
1282	4-Cl-phenyl-CH$_2$-	2	2	1	-	H	-CH$_2$-N(H)-CO-(5-OCF$_3$-indol-2-yl)
1283	4-Cl-phenyl-CH$_2$-	2	2	1	-	H	-CH$_2$-N(H)-CO-(5-Cl-2-CH$_3$O-phenyl)
1284	4-Cl-phenyl-CH$_2$-	2	2	1	-	H	-CH$_2$-N(H)-CO-(5-Br-2-HO-phenyl)
1285	4-Cl-phenyl-CH$_2$-	2	2	1	-	H	-CH$_2$-N(H)-CO-(5-Cl-2-HO-phenyl)
1286	(H$_3$C)$_2$N(CH$_2$)$_3$O-phenyl-CH$_2$-	1	2	0	R	H	-CH$_2$-N(H)-CO-(3-CF$_3$-phenyl)
1287	2,4-dinitrophenyl-CH$_2$-	1	2	0	R	H	-CH$_2$-N(H)-CO-(3-CF$_3$-phenyl)

Table 1.118

Compd. No.	R^1 R^2 $>$CH$-$(CH$_2$)$-$	k	m	n	chirality	R^3	$-$(CH$_2$)$_p$$-$CR^{4}R^5$-$(CH$_2$)$_q$$-G-$R^6
1288	HO, H$_3$CO-phenyl-CH$_2$-	1	2	0	R	H	$-$CH$_2$-NH-C(=O)-phenyl-CF$_3$
1289	3,4-(CH$_3$)$_2$-5-CH$_3$-isoxazolyl-CH$_2$-	1	2	0	R	H	$-$CH$_2$-NH-C(=O)-phenyl(OCH$_3$)(H$_2$N)
1290	3-CH$_3$-5-CH$_3$-isoxazolyl-CH$_2$-	1	2	0	R	H	$-$CH$_2$-NH-C(=O)-phenyl(CH$_3$)(CH$_3$)(H$_2$N)
1291	H$_3$C-phenyl-CH$_2$-	1	2	0	R	H	$-$CH$_2$-NH-C(=O)-indolyl-CH$_3$
1292	H$_3$C-phenyl-CH$_2$-	1	2	0	R	H	$-$CH$_2$-NH-C(=O)-phenyl(CH$_3$)(Br)(H$_2$N)
1293	H$_3$C-phenyl-CH$_2$-	1	2	0	R	H	$-$CH$_2$-NH-C(=O)-phenyl(CF$_3$)(F)
1294	H$_3$C-phenyl-CH$_2$-	1	2	0	R	H	$-$CH$_2$-NH-C(=O)-phenyl(CF$_3$)(F)
1295	H$_3$C-phenyl-CH$_2$-	1	2	0	R	H	$-$CH$_2$-NH-C(=O)-furyl-C(CH$_3$)$_3$
1296	H$_3$C-phenyl-CH$_2$-	1	2	0	R	H	$-$CH$_2$-NH-C(=O)-thienyl-SCH$_3$
1297	H$_3$C-phenyl-CH$_2$-	1	2	0	R	H	$-$CH$_2$-NH-C(=O)-furyl(CH$_3$)(F$_3$C)
1298	H$_3$CO, H$_3$CO-phenyl(Br)-CH$_2$-	1	2	0	R	H	$-$CH$_2$-NH-C(=O)-phenyl-CF$_3$

Table 1.119

Compd. No.	$\overset{R^1}{\underset{R^2}{}}CH(CH_2)_l-$	k	m	n	chirality	R^3	$-(CH_2)_p\overset{R^4}{\underset{R^5}{C}}(CH_2)_q\!-\!G\!-\!R^6$
1299	H3CO, H3CO, H3CO–⟨ring⟩–CH2–	1	2	0	R	H	–CH2–N(H)–C(O)–⟨ring⟩–CF3
1300	H3CO–⟨ring, OCH3⟩–CH2–	1	2	0	R	H	–CH2–N(H)–C(O)–⟨ring⟩–CF3
1301	H3CO, H3CO–⟨ring, OCH3⟩–CH2–	1	2	0	R	H	–CH2–N(H)–C(O)–⟨ring⟩–CF3
1302	H3CO, H3C, CH3–⟨ring⟩–CH2–	1	2	0	R	H	–CH2–N(H)–C(O)–⟨ring⟩–CF3
1303	H3CO, H3CO, Br–⟨ring⟩–CH2–	1	2	0	R	H·	–CH2–N(H)–C(O)–⟨ring⟩–CF3
1304	⟨Ph⟩–CH2O, H3CO–⟨ring⟩–CH2–	1	2	0	R	H	–CH2–N(H)–C(O)–⟨ring⟩–CF3
1305	H3CO–⟨naphthyl⟩–CH2–	1	2	0	R	H	–CH2–N(H)–C(O)–⟨ring⟩–CF3
1306	H3CCH2O, H3CO–⟨ring⟩–CH2–	1	2	0	R	H	–CH2–N(H)–C(O)–⟨ring⟩–CF3
1307	H3CO, H3CO, HO–⟨ring⟩–CH2–	1	2	0	R	H	–CH2–N(H)–C(O)–⟨ring⟩–CF3
1308	⟨2,3-dihydrobenzofuran⟩–CH2–	1	2	0	R	H	–CH2–N(H)–C(O)–⟨ring⟩–CF3
1309	H3CO, H3CO, I–⟨ring⟩–CH2–	1	2	0	R	H	–CH2–N(H)–C(O)–⟨ring⟩–CF3

Table 1.120

Compd. No.	R^1 R^2 $>$C$<$(CH$_2$)$_l$—	k	m	n	chirality	R^3	—(CH$_2$)$_{\overline{p}}$ $\genfrac{}{}{0pt}{}{R^4}{R^5}$ (CH$_2$)$_{\overline{q}}$G–R^6
1310	H$_3$CO, HO — benzene —CH$_2$—	1	2	0	R	H	—CH$_2$–NH–C(=O)– phenyl–CF$_3$
1311	benzodioxole –CH$_2$—	1	2	0	R	H	—CH$_2$–NH–C(=O)– phenyl–CF$_3$
1312	I-phenyl –CH$_2$—	1	2	0	R	H	—CH$_2$–NH–C(=O)– phenyl–CF$_3$
1313	Br-phenyl –CH$_2$—	1	2	0	R	H	—CH$_2$–NH–C(=O)– phenyl–CF$_3$
1314	O$_2$N–thiophene–CH$_2$—	1	2	0	R	H	—CH$_2$–NH–C(=O)– phenyl–CF$_3$
1315	H$_3$C–furan–CH$_2$—	1	2	0	R	H	—CH$_2$–NH–C(=O)– phenyl–CF$_3$
1316	F$_3$C, Cl — phenyl –CH$_2$—	1	2	0	R	H	—CH$_2$–NH–C(=O)– phenyl–CF$_3$
1317	O$_2$N, Cl — phenyl –CH$_2$—	1	2	0	R	H	—CH$_2$–NH–C(=O)– phenyl–CF$_3$
1318	F, Cl — phenyl –CH$_2$—	1	2	0	R	H	—CH$_2$–NH–C(=O)– phenyl–CF$_3$
1319	F, Cl — phenyl –CH$_2$—	1	2	0	R	H	—CH$_2$–NH–C(=O)– phenyl–CF$_3$
1320	F, Br — phenyl –CH$_2$—	1	2	0	R	H	—CH$_2$–NH–C(=O)– phenyl–CF$_3$

Table 1.121

Compd. No.	$R^1$$R^2$>CH-(CH$_2$)$_j$-	k	m	n	chirality	R^3	-(CH$_2$)$_p$-C(R^4)(R^5)-(CH$_2$)$_q$-G-R^6
1321	Cl-C$_6$H$_4$-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO-C$_6$H$_3$(Br)(Cl)
1322	Cl-C$_6$H$_4$-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO-C$_6$H$_3$(Cl)(CH$_3$)
1323	Cl-C$_6$H$_4$-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO-C$_6$H$_3$(I)(Cl)
1324	Cl-C$_6$H$_4$-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO-C$_6$H$_3$(CH$_3$)(HO)
1325	Cl-C$_6$H$_4$-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO-C$_6$H$_4$-CO-C$_6$H$_5$
1326	Cl-C$_6$H$_4$-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO-C$_6$H$_3$(I)(HO)
1327	Cl-C$_6$H$_4$-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO-C$_6$H$_3$(CH$_3$)(H$_2$N)
1328	H$_3$C-C$_6$H$_4$-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO-C$_6$H$_3$(Br)(Cl)
1329	H$_3$C-C$_6$H$_4$-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO-C$_6$H$_3$(Cl)(CH$_3$)
1330	H$_3$C-C$_6$H$_4$-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO-C$_6$H$_3$(I)(Cl)
1331	H$_3$C-C$_6$H$_4$-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO-C$_6$H$_3$(CH$_3$)(HO)

Table 1.122

Compd. No.	R^1 R^2 $-(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{smallmatrix} R^4 \\ R^5 \end{smallmatrix} (CH_2)_q - G - R^6$
1332	H_3C—⟨C₆H₄⟩—CH_2–	1	2	0	R	H	–CH₂–NH–C(O)–(C₆H₄)–C(O)–C₆H₅
1333	H_3C—⟨C₆H₄⟩—CH_2–	1	2	0	R	H	–CH₂–NH–C(O)–phenyl(OH, I)
1334	H_3C—⟨C₆H₄⟩—CH_2–	1	2	0	R	H	–CH₂–NH–C(O)–phenyl(CH₃, NH₂)
1335	isoxazole(CH₃,CH₃)–CH_2–	1	2	0	R	H	–CH₂–NH–C(O)–phenyl(Br, Cl)
1336	isoxazole(CH₃,CH₃)–CH_2–	1	2	0	R	H	–CH₂–NH–C(O)–phenyl(Cl, CH₃)
1337	isoxazole(CH₃,CH₃)–CH_2–	1	2	0	R	H	–CH₂–NH–C(O)–phenyl(I, Cl)
1338	isoxazole(CH₃,CH₃)–CH_2–	1	2	0	R	H	–CH₂–NH–C(O)–phenyl(CH₃, OH)
1339	isoxazole(CH₃,CH₃)–CH_2–	1	2	0	R	H	–CH₂–NH–C(O)–(C₆H₄)–C(O)–C₆H₅
1340	isoxazole(CH₃,CH₃)–CH_2–	1	2	0	R	H	–CH₂–NH–C(O)–phenyl(I, OH)
1341	isoxazole(CH₃,CH₃)–CH_2–	1	2	0	R	H	–CH₂–NH–C(O)–phenyl(CH₃, NH₂)
1342	Cl—⟨C₆H₄⟩—CH_2–	2	2	1	–	H	–CH₂–NH–C(O)–phenyl(Br, Cl)

Table 1.123

Compd. No.	R^1 $>$(CH$_2$)— R^2	k	m	n	chirality	R^3	—(CH$_2$)$_p$$\overset{R^4}{\underset{R^5}{C}}$(CH$_2$)$_q$-G-R^6
1343	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—C(=O)—NH—⟨C$_6$H$_3$(Cl)(CH$_3$)⟩
1344	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—C(=O)—NH—⟨C$_6$H$_3$(I)(Cl)⟩
1345	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(=O)—⟨C$_6$H$_3$(CH$_3$)(OH)⟩
1346	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(=O)—⟨C$_6$H$_3$(I)(HO)⟩
1347	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(=O)—⟨thienyl-CH$_3$⟩
1348	H$_3$C—⟨C$_6$H$_4$⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(=O)—⟨thienyl-CH$_3$⟩
1349	⟨3,5-dimethylisoxazol⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(=O)—⟨thienyl-CH$_3$⟩
1350	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(=O)—⟨thienyl-CH$_3$⟩
1351	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—N—C(=O)—⟨C$_6$H$_3$(Br)(HN-C(=O)-OCH$_3$)⟩
1352	H$_3$C—⟨C$_6$H$_4$⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—N—C(=O)—⟨C$_6$H$_3$(Br)(HN-C(=O)-OCH$_3$)⟩
1353	⟨3,5-dimethylisoxazol⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—N—C(=O)—⟨C$_6$H$_3$(Br)(HN-C(=O)-CH$_3$)⟩

Table 1.124

Compd. No.	R^1/R^2-(CH$_2$)$_i$-	k	m	n	chirality	R^3	-(CH$_2$)$_p$-CR^4R^5-(CH$_2$)$_q$-G-R^6
1354	Cl-C$_6$H$_4$-CH$_2$-	2	2	1	-	H	(Br-substituted phenyl amide structure with HN-C-O-CH$_3$)
1355	Cl-C$_6$H$_4$-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO-(2-NH$_2$,5-CN-phenyl)
1356	H$_3$C-C$_6$H$_4$-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO-(2-NH$_2$,5-CN-phenyl)
1357	3,4-dimethylisoxazol-5-yl-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO-(2-NH$_2$,5-CN-phenyl)
1358	Cl-C$_6$H$_4$-CH$_2$-	2	2	1	-	H	-CH$_2$-NH-CO-(2-NH$_2$,5-CN-phenyl)
1359	3,4-dimethylisoxazol-5-yl-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO-cyclohexenyl
1360	3,4-dimethylisoxazol-5-yl-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO-(2,2,3,3-tetramethylcyclopropyl)
1361	H$_3$C-C$_6$H$_4$-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO-(4-OCH$_3$-cyclohexyl)
1362	3,4-dimethylisoxazol-5-yl-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO-(3-CH$_3$-cyclohexyl)
1363	3,4-dimethylisoxazol-5-yl-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO-(2,2-dimethyl-3-OH-cyclohexyl)
1364	H$_3$C-C$_6$H$_4$-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO-(2-CH$_3$-cyclohexyl)

Table 1.125

Compd. No.	R^1 R^2 >CH—(CH$_2$)—	k	m	n	chirality	R^3	—(CH$_2$)$_p$—$\overset{R^4}{\underset{R^5}{C}}$—(CH$_2$)$_q$—G—R^6
1365	(4,5-dimethylisoxazol-3-yl)-CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(O)—cyclohexyl(CH$_3$)
1366	(4,5-dimethylisoxazol-3-yl)-CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(O)—cyclohexyl-CH$_3$
1367	H$_3$C-C$_6$H$_4$-CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(O)—cyclohexyl-CH$_3$
1368	Cl-C$_6$H$_4$-CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(O)—C$_6$H$_3$(CF$_3$)(Cl)
1369	Cl-C$_6$H$_4$-CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(O)—C$_6$H$_3$(OCH$_2$CF$_3$)(OCH$_2$CF$_3$)
1370	Cl-C$_6$H$_4$-CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(O)—(4-bromothiophen-2-yl)
1371	Cl-C$_6$H$_4$-CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(O)—C$_6$H$_4$—S(O)$_2$—C$_6$H$_5$
1372	Cl-C$_6$H$_4$-CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(O)—biphenyl
1373	H$_3$C-C$_6$H$_4$-CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(O)—C$_6$H$_3$(CF$_3$)(Cl)
1374	H$_3$C-C$_6$H$_4$-CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(O)—C$_6$H$_3$(OCH$_2$CF$_3$)(OCH$_2$CF$_3$)
1375	H$_3$C-C$_6$H$_4$-CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(O)—(4-bromothiophen-2-yl)

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Table 1.126

Compd. No.	R^1/R^2 >(CH2)$_l$-	k	m	n	chirality	R^3	-(CH2)$_p$-C(R^4)(R^5)-(CH2)$_q$-G-R^6
1376	H₃C—⟨⟩—CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–C₆H₄–S(O)₂–C₆H₅
1377	H₃C—⟨⟩—CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–C₆H₄–C₆H₅
1378	(3,4-dimethylisoxazol-5-yl)–CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–C₆H₃(CF₃)(Cl)
1379	(3,4-dimethylisoxazol-5-yl)–CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–C₆H₃(OCH₂CF₃)(OCH₂CF₃)
1380	(3,4-dimethylisoxazol-5-yl)–CH₂–	1	2	0	R.	H	–CH₂–NH–C(O)–(4-bromothiophen-2-yl)
1381	(3,4-dimethylisoxazol-5-yl)–CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–C₆H₄–S(O)₂–C₆H₅
1382	(3,4-dimethylisoxazol-5-yl)–CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–C₆H₄–C₆H₅
1383	Cl—⟨⟩—CH₂–	2	2	1	-	H	–CH₂–NH–C(O)–C₆H₃(CF₃)(Cl)
1384	Cl—⟨⟩—CH₂–	2	2	1	-	H	–CH₂–NH–C(O)–(4-bromothiophen-2-yl)
1385	Cl—⟨⟩—CH₂–	2	2	1	-	H	–CH₂–NH–C(O)–C₆H₄–S(O)₂–C₆H₅
1386	Cl—⟨⟩—CH₂–	2	2	1	-	H	–CH₂–NH–C(O)–C₆H₄–C₆H₅

Table 1.127

Compd. No.	R^1 R^2 (CH$_2$)$_l$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$-R^4-R^5-(CH$_2$)$_q$-G-R^6
1387	(3,4,5-trimethylisoxazol-4-yl)-CH$_2$—	1	2	0	R	H	—CH$_2$-NH-C(=O)-norbornyl
1388	(3,4,5-trimethylisoxazol-4-yl)-CH$_2$—	1	2	0	R	H	—CH$_2$-NH-C(=O)-(5-C(CH$_3$)$_3$-1-CH$_3$-pyrazol-3-yl)
1389	(3,4,5-trimethylisoxazol-4-yl)-CH$_2$—	1	2	0	R	H	—CH$_2$-NH-C(=O)-benzoxadiazolyl
1390	(pentamethylphenyl)-CH$_2$—	1	2	0	R	H	—CH$_2$-NH-C(=O)-(3-CF$_3$-phenyl)
1391	(3,5-dimethylphenyl)-CH$_2$—	1	2	0	R	H	—CH$_2$-NH-C(=O)-(3-CF$_3$-phenyl)
1392	(2-Cl-5-methylphenyl)-CH$_2$—	1	2	0	R	H.	—CH$_2$-NH-C(=O)-(3-CF$_3$-phenyl)
1393	(4-CH$_2$CH$_3$-phenyl)-CH$_2$—	1	2	0	R	H	—CH$_2$-NH-C(=O)-(3-CF$_3$-phenyl)
1394	(2-NO$_2$-6-methylphenyl)-CH$_2$—	1	2	0	R	H	—CH$_2$-NH-C(=O)-(3-CF$_3$-phenyl)
1395	(4-CH=CH$_2$-phenyl)-CH$_2$—	1	2	0	R	H	—CH$_2$-NH-C(=O)-(3-CF$_3$-phenyl)
1396	(4-CH$_3$-naphthalen-1-yl)-CH$_2$—	1	2	0	R	H	—CH$_2$-NH-C(=O)-(3-CF$_3$-phenyl)
1397	(2,?-dibromophenyl)-CH$_2$—	1	2	0	R	H	—CH$_2$-NH-C(=O)-(3-CF$_3$-phenyl)

Table 1.128

Compd. No.	R^1, R^2 >(CH$_2$)$_l$–	k	m	n	chirality	R^3	–(CH$_2$)$_p$CR^{4}R^5(CH$_2$)$_q$–G–R^6
1398	Cl, Cl–C$_6$H$_3$–CH(CH$_3$)CH–	1	2	0	R	H	–CH$_2$–NH–C(O)–C$_6$H$_4$–CF$_3$
1399	Cl, Cl–C$_6$H$_3$–CH(CH$_3$)CH–	1	2	0	R	H	–CH$_2$–NH–C(O)–C$_6$H$_4$–CF$_3$
1400	Cl–C$_6$H$_4$–CH(CH$_3$)CH–	1	2	0	R	H	–CH$_2$–NH–C(O)–C$_6$H$_4$–CF$_3$
1401	H$_3$C–C$_6$H$_4$–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(O)–(5-Cl-indol-2-yl)
1402	H$_3$C–C$_6$H$_4$–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(O)–(2-NH$_2$-3,4,5-tri-OCH$_3$-C$_6$H)
1403	H$_3$C–C$_6$H$_4$–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(O)–(pyridin-4-yl)
1404	H$_3$C–C$_6$H$_4$–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(O)–(pyridin-3-yl)
1405	H$_3$C–C$_6$H$_4$–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(O)–(2-H$_3$CS-pyridin-3-yl)
1406	H$_3$C–C$_6$H$_4$–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(O)–(2-CH$_3$-cyclopropyl)
1407	H$_3$C–C$_6$H$_4$–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(O)–(2-H$_3$CCH$_2$S-pyridin-3-yl)
1408	H$_3$C–C$_6$H$_4$–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(O)–(pyridin-2-yl)

Table 1.129

Compd. No.	$\begin{smallmatrix}R^1\\R^2\end{smallmatrix}$–(CH$_2$)$_l$–	k	m	n	chirality	R^3	–(CH$_2$)$_p$$\begin{smallmatrix}R^4\\|\\C\\|\\R^5\end{smallmatrix}$(CH$_2$)$_q$–G–R^6
1409	H$_3$C–⬡–CH$_2$–	1	2	0	R	H	–CH$_2$–N(H)–C(O)–cyclohexyl-CH$_3$
1410	3,5-dimethylisoxazol-4-yl–CH$_2$–	1	2	0	R	H	–CH$_2$–N(H)–C(O)–phenyl
1411	Cl–⬡–CH$_2$–	1	2	0	R	H	–CH$_2$–N(H)–C(O)–(aryl, Cl, H$_3$C–C(O)–NH)
1412	H$_3$C–⬡–CH$_2$–	1	2	0	R	H	–CH$_2$–N(H)–C(O)–(aryl, Cl, H$_3$C–C(O)–NH)
1413	3,5-dimethylisoxazol-4-yl–CH$_2$–	1	2	0	R	H	–CH$_2$–N(H)–C(O)–(aryl, Cl, H$_3$C–C(O)–NH)
1414	Cl–⬡–CH$_2$–	2	2	1	–	H	–CH$_2$–N(H)–C(O)–(aryl, Cl, H$_3$C–C(O)–NH)
1415	Cl–⬡–CH$_2$–	1	2	0	R	H	–CH$_2$–N(H)–C(O)–(aryl, SCN, H$_2$N)
1416	H$_3$C–⬡–CH$_2$–	1	2	0	R	H	–CH$_2$–N(H)–C(O)–(aryl, SCN, H$_2$N)
1417	3,5-dimethylisoxazol-4-yl–CH$_2$–	1	2	0	R	H	–CH$_2$–N(H)–C(O)–(aryl, SCN, H$_2$N)
1418	Cl–⬡–CH$_2$–	2	2	1	–	H	–CH$_2$–N(H)–C(O)–(aryl, SCN, H$_2$N)
1419	Cl–⬡–CH$_2$–	1	2	0	R	H	–CH$_2$–N(H)–C(O)–(aryl, SH, H$_2$N)

Table 1.130

Compd. No.	R^1R^2(CH$_2$)$_j$–	k	m	n	chirality	R^3	–(CH$_2$)$_p$$R^4$$R^5$(CH$_2$)$_q$–G–R^6
1420	H$_3$C–⌬–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(O)– (2-amino-5-mercaptophenyl)
1421	(3,5-dimethylisoxazol-4-yl)–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(O)– (2-amino-5-mercaptophenyl)
1422	Cl–⌬–CH$_2$–	2	2	1	–	H	–CH$_2$–NH–C(O)– (2-amino-5-mercaptophenyl)
1423	Cl–⌬–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(O)– (3-benzoylphenyl)
1424	H$_3$C–⌬–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(O)– (3-benzoylphenyl)
1425	(3,5-dimethylisoxazol-4-yl)–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(O)– (3-benzoylphenyl)
1426	Cl–⌬–CH$_2$–	2	2	1	–	H	–CH$_2$–NH–C(O)– (3-benzoylphenyl)
1427	Cl–⌬–CH$_2$–	2	2	1	–	H	–CH$_2$–NH–C(O)– (5-bromo-2-(methylamino)phenyl)
1428	Cl–⌬–CH$_2$–	2	2	1	–	H	–CH$_2$–NH–C(O)– (5-bromo-2-(dimethylamino)phenyl)
1429	H$_3$CCH$_2$O–⌬–CH$_2$–	2	2	1	–	H	–CH$_2$–NH–C(O)– (2-amino-5-chlorophenyl)
1430	(2,3-dihydro-1,4-benzodioxin-6-yl)–CH$_2$–	2	2	1	–	H	–CH$_2$–NH–C(O)– (2-amino-5-chlorophenyl)

Table 1.131

Compd. No.	$\begin{array}{c}R^1\\R^2\end{array}$(CH$_2$)$_l$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$$\begin{array}{c}R^4\\R^5\end{array}$(CH$_2$)$_q$—G—R^6
1431	H$_3$CCH$_2$O—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—CO—C$_6$H$_3$(Br)(NH$_2$)
1432	(benzodioxane)—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—CO—C$_6$H$_3$(Br)(NH$_2$)
1433	H$_3$CCH$_2$O—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—CO—C$_6$H$_3$(Cl)(HN—CH$_2$—C$_6$H$_4$—OCH$_2$CH$_3$)
1434	H$_3$CCH$_2$O—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—CO—C$_6$H$_3$(Br)(HN—CH$_2$—C$_6$H$_4$—OCH$_2$CH$_3$)
1435	H$_3$CCH$_2$—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—CO—C$_6$H$_3$(Cl)(NH$_2$)
1436	(H$_3$C)$_2$CH—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—CO—C$_6$H$_3$(Cl)(NH$_2$)
1437	H$_3$C(CH$_2$)$_2$O—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—CO—C$_6$H$_3$(Cl)(NH$_2$)
1438	H$_3$CCH$_2$—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—CO—C$_6$H$_3$(Br)(NH$_2$)
1439	(H$_3$C)$_2$CH—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—CO—C$_6$H$_3$(Br)(NH$_2$)
1440	H$_3$C(CH$_2$)$_3$O—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—CO—C$_6$H$_3$(Br)(NH$_2$)
1441	H$_3$CS—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—CO—C$_6$H$_3$(Br)(NH$_2$)

Table 1.132

Compd. No.	R^1 R^2 $>$CH—(CH$_2$)$_l$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$$\overset{R^4}{\underset{R^5}{C}}$(CH$_2$)$_q$—G—R^6
1442	H$_5$CCH$_2$—⟨⟩—CH$_2$—	2	2	1	-	H	—CH$_2$-N-C(O)- ... NH-CH$_2$-⟨⟩-CH$_2$CH$_3$
1443	(H$_3$C)$_2$CH—⟨⟩—CH$_2$—	2	2	1	-	H	—CH$_2$-NH-C(O)- ... HN-CH$_2$-⟨⟩-CH(CH$_3$)$_2$
1444	H$_3$C(CH$_2$)$_2$O—⟨⟩—CH$_2$—	2	2	1	-	H	—CH$_2$-N-C(O)- ... HN-CH$_2$-⟨⟩-O(CH$_2$)$_2$CH$_3$
1445	H$_5$CCH$_2$—⟨⟩—CH$_2$—	2	2	1	-	H	—CH$_2$-N-C(O)-Br ... HN-CH$_2$-⟨⟩-CH$_2$CH$_3$
1446	(H$_3$C)$_2$CH—⟨⟩—CH$_2$—	2	2	1	-	H	—CH$_2$-N-C(O)-Br ... HN-CH$_2$-⟨⟩-O(CH$_3$)$_2$
1447	H$_3$C(CH$_2$)$_2$O—⟨⟩—CH$_2$—	2	2	1	-	H	—CH$_2$-N-C(O)-Br ... HN-CH$_2$-⟨⟩-O(CH$_2$)$_2$CH$_3$
1448	H$_3$CS—⟨⟩—CH$_2$—	2	2	1	-	H	—CH$_2$-N-C(O)-Br ... HN-CH$_2$-⟨⟩-SCH$_3$
1449	H$_5$CCH$_2$—⟨⟩—CH$_2$—	2	2	1	-	H	—CH$_2$-N-C(O)-⟨⟩-CF$_3$
1450	(H$_3$C)$_2$CH—⟨⟩—CH$_2$—	2	2	1	-	H	—CH$_2$-N-C(O)-⟨⟩-CF$_3$
1451	(H$_3$CCH$_2$)$_2$N—⟨⟩—CH$_2$—	2	2	1	-	H	—CH$_2$-N-C(O)-⟨⟩-CF$_3$
1452	H$_3$CO—⟨HO⟩—CH$_2$—	2	2	1	-	H	—CH$_2$-N-C(O)-⟨⟩-CF$_3$

Table 1.133

Compd. No.	$\overset{R^1}{\underset{R^2}{}}$CH-$(CH_2)_i$-	k	m	n	chirality	R^3	-$(CH_2)_p\overset{R^4}{\underset{R^5}{C}}(CH_2)_q$-G-$R^6$
1453	H₃C(CH₂)₂O—⟨benzene⟩—CH₂—	2	2	1	-	H	—CH₂-N(H)-C(=O)—⟨benzene⟩-CF₃
1454	H₃CCH₂O—⟨benzene⟩—CH₂—	2	2	1	-	H	—CH₂-N(H)-C(=O)—⟨benzene⟩-CF₃
1455	H₃CO,HO—⟨benzene⟩—CH₂—	2	2	1	-	H	—CH₂-N(H)-C(=O)—⟨benzene⟩-CF₃
1456	⟨benzodioxane⟩—CH₂—	2	2	1	-	H	—CH₂-N(H)-C(=O)—⟨benzene⟩-CF₃
1457	(CH₃)₂N—⟨benzene⟩—CH₂—	2	2	1	-	H	—CH₂-N(H)-C(=O)—⟨benzene⟩-Cl, H₂N
1458	H₃CO,HO—⟨benzene⟩—CH₂—	2	2	1	-	H	—CH₂-N(H)-C(=O)—⟨benzene⟩-Cl, H₂N
1459	(H₃C)₂N—⟨benzene⟩—CH₂—	2	2	1	-	H	—CH₂-N(H)-C(=O)—⟨benzene⟩-Br, H₂N
1460	H₃CO,HO—⟨benzene⟩—CH₂—	2	2	1	-	H	—CH₂-N(H)-C(=O)—⟨benzene⟩-Br, H₂N
1461	H₃CO,HO—⟨benzene⟩—CH₂—	2	2	1	-	H	—CH₂-N(H)-C(=O)—⟨benzene⟩-Cl, HN-CH₂-⟨benzene⟩-OCH₃,OH
1462	H₃CO,HO—⟨benzene⟩—CH₂—	2	2	1	-	H	—CH₂-N(H)-C(=O)—⟨benzene⟩-Br, HN-CH₂-⟨benzene⟩-OCH₃,OH
1463	Cl—⟨benzene⟩—CH₂—	2	1	1	-	H	—CH₂-N(H)-C(=O)—⟨benzene⟩-CF₃

Table 1.134

Compd. No.	R^1, R^2 >CH$-$(CH$_2$)$_l$$-$	k	m	n	chirality	R^3	$-$(CH$_2$)$_p$$-$C(R^4)(R^5)$-$(CH$_2$)$_q$$-G-$R^6
1464	Cl‑C$_6$H$_4$‑CH$_2$‑	2	1	1	‑	H	$-$CH$_2$‑NH‑CO‑C$_6$H$_4$(OCF$_3$)
1465	Cl‑C$_6$H$_4$‑CH$_2$‑	2	1	1	‑	H	$-$CH$_2$‑NH‑CO‑C$_6$H$_3$(CF$_3$)(F$_3$C)
1466	Cl‑C$_6$H$_4$‑CH$_2$‑	2	1	1	‑	H	$-$CH$_2$‑NH‑CO‑C$_6$H$_4$(Br)
1467	Cl‑C$_6$H$_4$‑CH$_2$‑	2	1	1	‑	H	$-$CH$_2$‑NH‑CO‑C$_6$H$_4$(Cl)
1468	Cl‑C$_6$H$_4$‑CH$_2$‑	2	1	1	‑	H	$-$CH$_2$‑NH‑CO‑C$_6$H$_4$(NO$_2$)
1469	Cl‑C$_6$H$_4$‑CH$_2$‑	2	1	1	‑	H	$-$CH$_2$‑NH‑CO‑C$_6$H$_3$(CF$_3$)(F)
1470	Cl‑C$_6$H$_4$‑CH$_2$‑	2	1	1	‑	H	$-$CH$_2$‑NH‑CO‑C$_6$H$_3$(Cl)(Cl)
1471	Cl‑C$_6$H$_4$‑CH$_2$‑	2	1	1	‑	H	$-$CH$_2$‑NH‑CO‑C$_6$H$_3$(F)(F)
1472	CH$_3$‑thienyl‑CH$_2$‑	1	2	0	R	H	$-$CH$_2$‑NH‑CO‑C$_6$H$_4$(CF$_3$)
1473	Br‑thienyl‑CH$_2$‑	1	2	0	R	H	$-$CH$_2$‑NH‑CO‑C$_6$H$_4$(CF$_3$)
1474	phenyl‑pyrazolyl(Cl)(CH$_3$)‑CH$_2$‑	1	2	0	R	H	$-$CH$_2$‑NH‑CO‑C$_6$H$_4$(CF$_3$)

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Table 1.135

Compd. No.	R^1/R^2 $-$(CH$_2$)$_l-$	k	m	n	chirality	R^3	$-$(CH$_2$)$_p$$-$C(R^4)(R^5)$-$(CH$_2$)$_q$$-G-$R^6
1475	(4-Cl-phenyl)-furan-CH$_2-$	1	2	0	R	H	$-$CH$_2$-NH-C(O)-phenyl-(3-CF$_3$)
1476	(4,5-diBr-thiophene)-CH$_2-$	1	2	0	R	H	$-$CH$_2$-NH-C(O)-phenyl-(3-CF$_3$)
1477	(4-Br-phenyl)-furan-CH$_2-$	1	2	0	R	H	$-$CH$_2$-NH-C(O)-phenyl-(3-CF$_3$)
1478	(3-Br-phenyl)-furan-CH$_2-$	1	2	0	R	H	$-$CH$_2$-NH-C(O)-phenyl-(3-CF$_3$)
1479	(2,4,6-triCH$_3$-phenyl)-CH$_2-$	1	2	0	R	H	$-$CH$_2$-NH-C(O)-phenyl-(3-CF$_3$)
1480	(2,5-diCH$_3$-phenyl)-CH$_2-$	1	2	0	R	H	$-$CH$_2$-NH-C(O)-phenyl-(3-CF$_3$)
1481	(2,4,5-triCH$_3$-phenyl)-CH$_2-$	1	2	0	R	H	$-$CH$_2$-NH-C(O)-phenyl-(3-CF$_3$)
1482	(4-Br-thiophene)-CH$_2-$	1	2	0	R	H	$-$CH$_2$-NH-C(O)-phenyl-(3-CF$_3$)
1483	(4,5-diCH$_3$-furan)-CH$_2-$	1	2	0	R	H	$-$CH$_2$-NH-C(O)-phenyl-(3-CF$_3$)
1484	(4-Cl-phenyl)-S-furan-CH$_2-$	1	2	0	R	H	$-$CH$_2$-NH-C(O)-phenyl-(3-CF$_3$)
1485	(4-CH$_3$-phenyl)-CH$_2-$	1	2	0	R	H	$-$CH$_2$-NH-C(O)-benzothiophene-(5-F)

Table 1.136

Compd. No.	$\begin{array}{c}R^1\\R^2\end{array}$>CH–(CH₂)ₗ–	k	m	n	chirality	R^3	–(CH₂)ₚC$\begin{array}{c}R^4\\R^5\end{array}$(CH₂)q–G–R⁶
1486	H₃C–⟨C₆H₄⟩–CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–C₆H₃(OCH₃)(NH₂)
1487	H₃C–⟨C₆H₄⟩–CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–C₆H₂(Cl)(NH₂)(Cl)
1488	H₃C–⟨C₆H₄⟩–CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–cyclopropyl–CH₃
1489	H₃C–⟨C₆H₄⟩–CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–cyclobutyl
1490	H₃C–⟨C₆H₄⟩–CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–(6-CH₃-pyridin-2-yl)
1491	H₃C–⟨C₆H₄⟩–CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–cyclopropyl(C(O)NH₂)
1492	H₃C–⟨C₆H₄⟩–CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–(4-NO₂-pyridin-2-yl)
1493	3,5-dimethylisoxazol-4-yl–CH₂–	1	2	0	R	H	–CH₂–N(CH₃)–C(O)–C(CH₃)(2-Cl-phenyl)
1494	3,5-dimethylisoxazol-4-yl–CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–bicyclo[2.2.1]heptyl
1495	3,5-dimethylisoxazol-4-yl–CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–(1,3,5-trimethylpyrazol-4-yl)
1496	3,5-dimethylisoxazol-4-yl–CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–(3,5-dimethylisoxazol-4-yl)

Table 1.137

Compd. No.	R^1 R^2 >C(CH₂)ₗ—	k	m	n	chirality	R^3	—(CH₂)ₚ—C(R⁴)(R⁵)—(CH₂)q—G—R⁶
1497	3,5-dimethylisoxazol-4-yl-CH₂–	1	2	0	R	H	—CH₂–NH–C(O)–C(CH₃)₂–C(CH₃)₂CH₃ (2,2,3,3-tetramethylcyclopropyl amide)
1498	3,5-dimethylisoxazol-4-yl-CH₂–	1	2	0	R	H	—CH₂–NH–C(O)–(1-cyanocyclopropyl)
1499	3,5-dimethylisoxazol-4-yl-CH₂–	1	2	0	R	H	—CH₂–NH–C(O)–(1-methylcyclopropyl)
1500	3,5-dimethylisoxazol-4-yl-CH₂–	1	2	0	R	H	—CH₂–NH–C(O)–(2-methylcyclopropyl)
1501	3,5-dimethylisoxazol-4-yl-CH₂–	1	2	0	R	H	—CH₂–NH–C(O)–(cyclobutyl)
1502	3,5-dimethylisoxazol-4-yl-CH₂–	1	2	0	R	H	—CH₂–NH–C(O)–(3-CF₃-4-F-5-F-phenyl)
1503	3,5-dimethylisoxazol-4-yl-CH₂–	1	2	0	R	H	—CH₂–NH–C(O)–(3-OCHF₂-phenyl)
1504	4-aminophenyl-CH₂–	1	2	0	R	H	—CH₂–NH–C(O)–(2-CF₃-phenyl)
1505	3,4-bis(benzyloxy)phenyl-CH₂–	1	2	0	R	H	—CH₂–NH–C(O)–(3-CF₃-phenyl)
1506	4-chlorophenyl-CH₂–	2	1	1	-	H	—CH₂–NH–C(O)–(4-Br-2-NH₂-phenyl)
1507	4-chlorophenyl-CH₂–	2	1	1	-	H	—CH₂–NH–C(O)–(5-F-2-NH₂-phenyl)

Table 1.138

Compd. No.	R^1 / R^2 —(CH₂)ₗ—	k	m	n	chirality	R^3	$-(CH_2)_p\!\!\begin{smallmatrix}R^4\\R^5\end{smallmatrix}\!\!(CH_2)_q-G-R^6$
1508	Cl—⟨⟩—CH₂—	2	1	1	-	H	—CH₂—NH—C(=O)—C₆H₃(F)(F)(NH₂)
1509	Cl—⟨⟩—CH₂—	2	1	1	-	H	—CH₂—NH—C(=O)—C₆H₄—C₆H₅ (biphenyl)
1510	Cl—⟨⟩—CH₂—	2	1	1	-	H	—CH₂—NH—C(=O)—C₆H₃(I)(NH₂)
1511	Cl—⟨⟩—CH₂—	2	1	1	-	H	—CH₂—NH—C(=O)—(thiophene-Br)
1512	Cl—⟨⟩—CH₂—	2	1	1	-	H	—CH₂—NH—C(=O)—C₆H₃(Cl)(NH₂)
1513	Cl—⟨⟩—CH₂—	2	1	1	-	H	—CH₂—NH—C(=O)—C₆H₄—S(=O)₂—C₆H₅
1514	(H₃CCH₂)₂N—⟨⟩—CH₂—	2	2	1	-	H	—CH₂—NH—C(=O)—C₆H₃(Cl)(NH₂)
1515	(HO)(H₃CO)C₆H₃—CH₂—	2	2	1	-	H	—CH₂—NH—C(=O)—C₆H₃(Cl)(NH₂)
1516	(H₃CCH₂)₂N—⟨⟩—CH₂—	2	2	1	-	H	—CH₂—NH—C(=O)—C₆H₃(Br)(NH₂)
1517	(HO)(H₃CO)C₆H₃—CH₂—	2	2	1	-	H	—CH₂—NH—C(=O)—C₆H₃(Br)(NH₂)
1518	(HO)(H₃CO)C₆H₃—CH₂—	2	2	1	-	H	—CH₂—NH—C(=O)—C₆H₃(Cl)(NH—CH₂—C₆H₃(OH)(OCH₃))

Table 1.139

Compd. No.	R¹R²-CH-(CH₂)ₗ—	k	m	n	chirality	R³	—(CH₂)ₚ-CR⁴R⁵-(CH₂)q-G-R⁶
1519	HO, H₃CO— benzyl —CH₂—	2	2	1	–	H	—CH₂-N(C=O)-HN— (Br, CH₂-NH— benzene —OH, —OCH₃)
1520	Br— benzyl —CH₂—	1	2	0	R	H	—CH₂-N(H)-C=O— benzene —Br
1521	H₃CO— benzyl —CH₂—	1	2	0	R	H	—CH₂-N(H)-C=O— benzene —Br
1522	methylenedioxyphenyl —CH₂—	1	2	0	R	H	—CH₂-N(H)-C=O— benzene —Br
1523	H₃CO, H₃CO— phenyl —CH₂—	1	2	0	R	H	—CH₂-N(H)-C=O— benzene —Br
1524	H₃CO, HO— phenyl —CH₂—	1	2	0	R	H	—CH₂-N(H)-C=O— benzene —Br
1525	Br— benzyl —CH₂—	1	2	0	R	H	—CH₂-N(H)-C=O— benzene —OCF₃
1526	H₃CO— benzyl —CH₂—	1	2	0	R	H	—CH₂-N(H)-C=O— benzene —OCF₃
1527	methylenedioxyphenyl —CH₂—	1	2	0	R	H	—CH₂-N(H)-C=O— benzene —OCF₃
1528	H₃CO, H₃CO— phenyl —CH₂—	1	2	0	R	H	—CH₂-N(H)-C=O— benzene —OCF₃
1529	H₃CO, HO— phenyl —CH₂—	1	2	0	R	H	—CH₂-N(H)-C=O— benzene —OCF₃

Table 1.140

Compd. No.	$R^1,R^2\text{CH}-(CH_2)_l-$	k	m	n	chirality	R^3	$-(CH_2)_p\overset{R^4}{\underset{R^5}{C}}(CH_2)_q\text{-}G\text{-}R^6$
1530	Br-⬡-CH₂-	1	2	0	R	H	-CH₂-NH-CO-⬡(CF₃)(F)
1531	H₃CO-⬡-CH₂-	1	2	0	R	H	-CH₂-NH-CO-⬡(CF₃)(F)
1532	(methylenedioxyphenyl)-CH₂-	1	2	0	R	H	-CH₂-NH-CO-⬡(CF₃)(F)
1533	H₃CO,H₃CO-⬡-CH₂-	1	2	0	R	H	-CH₂-NH-CO-⬡(CF₃)(F)
1534	H₃CO,HO-⬡-CH₂-	1	2	0	R	H	-CH₂-NH-CO-⬡(CF₃)(F)
1535	Br-⬡-CH₂-	1	2	0	R	H	-CH₂-NH-CO-⬡(CF₃)(F)
1536	H₃CO-⬡-CH₂-	1	2	0	R	H	-CH₂-NH-CO-⬡(CF₃)(F)
1537	(methylenedioxyphenyl)-CH₂-	1	2	0	R	H	-CH₂-NH-CO-⬡(CF₃)(F)
1538	H₃CO,H₃CO-⬡-CH₂-	1	2	0	R	H	-CH₂-NH-CO-⬡(CF₃)(F)
1539	H₃CO,HO-⬡-CH₂-	1	2	0	R	H	-CH₂-NH-CO-⬡(CF₃)(F)
1540	Br-⬡-CH₂-	1	2	0	R	H	-CH₂-NH-CO-⬡(CF₃)(F)(F)

Table 1.141

Compd. No.	R^1R^2CH(CH$_2$)$-$	k	m	n	chirality	R^3	$-$(CH$_2$)$_p$$C$($R^4$)($R^5$)(CH$_2$)$_qG-$R^6
1541	H$_3$CO-C$_6$H$_4$-CH$_2-$	1	2	0	R	H	$-$CH$_2$-NH-CO-C$_6$H$_2$(CF$_3$)(F)(F)
1542	(methylenedioxyphenyl)-CH$_2-$	1	2	0	R	H	$-$CH$_2$-NH-CO-C$_6$H$_2$(CF$_3$)(F)(F)
1543	(H$_3$CO)$_2$C$_6$H$_3$-CH$_2-$	1	2	0	R	H	$-$CH$_2$-NH-CO-C$_6$H$_2$(CF$_3$)(F)(F)
1544	(H$_3$CO)(HO)C$_6$H$_3$-CH$_2-$	1	2	0	R	H	$-$CH$_2$-NH-CO-C$_6$H$_2$(CF$_3$)(F)(F)
1545	(2-Cl-thienyl)-CH$_2-$	1	2	0	R	H	$-$CH$_2$-NH-CO-C$_6$H$_4$(CF$_3$)
1546	H$_3$CO-C$_6$F$_4$-CH$_2-$	1	2	0	R	H	$-$CH$_2$-NH-CO-C$_6$H$_4$(CF$_3$)
1547	H$_3$CO-C$_6$H$_2$(Br)$_2$-CH$_2-$	1	2	0	R	H	$-$CH$_2$-NH-CO-C$_6$H$_4$(CF$_3$)
1548	H$_3$C-C$_6$H$_4$-CH$_2-$	1	2	0	R	H	$-$CH$_2$-NH-CO-(2,2,3-trimethylcyclopentyl: CH$_3$, CH$_3$, CH$_3$)
1549	H$_3$C-C$_6$H$_4$-CH$_2-$	1	2	0	R	H	$-$CH$_2$-NH-CO-(cyclopropyl: CH=C(CH$_3$)$_2$, CH$_3$, H$_3$C)
1550	H$_3$C-C$_6$H$_4$-CH$_2-$	1	2	0	R	H	$-$CH$_2$-NH-CO-C$_6$H$_4$-NH-C(O)-NH-C$_6$H$_3$(Cl)(OCH$_3$)(H$_3$CO)
1551	H$_3$C-C$_6$H$_4$-CH$_2-$	1	2	0	R	H	$-$CH$_2$-NH-CO-C$_6$H$_4$-SO$_2$-N(CH$_2$CH$_2$OH)$_2$

Table 1.142

Compd. No.	R^1, R^2—(CH$_2$)$_l$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$$\overset{R^4}{\underset{R^5}{C}}$(CH$_2$)$_q$—G—$R^6$
1552	H$_3$C—⟨ ⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)—cyclohexyl
1553	H$_3$C—⟨ ⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)—isoxazole(CH$_3$)(2-Cl-phenyl)
1554	H$_3$C—⟨ ⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)—bicycloheptyl
1555	H$_3$C—⟨ ⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)—pyrazole(CH$_3$)(N-CH$_3$)(CH$_3$)
1556	H$_3$C—⟨ ⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)—isoxazole(CH$_3$)(CH$_3$)
1557	H$_3$C—⟨ ⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)—thiazole(CH$_3$)(CH$_3$)
1558	H$_3$C—⟨ ⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)—pyrazolopyrimidine(CH$_3$)(CH$_3$)
1559	H$_3$C—⟨ ⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)—pyrazole(C(CH$_3$)$_3$)
1560	H$_3$C—⟨ ⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)—benzoxadiazole
1561	H$_3$C—⟨ ⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)—cyclopropyl(CH$_3$)(CH$_3$)(CH$_3$)
1562	H$_3$C—⟨ ⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)—phenyl(O$_2$N)(OCH$_3$)

Table 1.143

Compd. No.	R^1/R^2—(CH2)—	k	m	n	chirality	R^3	—(CH2)$_p$—R^4/R^5—(CH2)$_q$—G—R^6
1563	H_3C—〈 〉—CH_2—	1	2	0	R	H	(structure: amide bearing cyclohexene, NH2, Cl-substituted ring)
1564	H_3C—〈 〉—CH_2—	1	2	0	R	H	—CH_2—N(C=O)... HN—〈 〉—CF_3
1565	3,4,5-trimethylisoxazol-CH2—	1	2	0	R	H	—CH_2—N(H)C=O—〈 〉—Cl ; H_3CO
1566	3,4,5-trimethylisoxazol-CH2—	1	2	0	R	H	—CH_2—N(H)C=O ; O_2N / OCH_3
1567	3,4,5-trimethylisoxazol-CH2—	1	2	0	R	H	(structure: amide with cyclohexene, Cl, NH2)
1568	3,4,5-trimethylisoxazol-CH2—	1	2	0	R	H	—CH_2—N(C=O)... HN—〈 〉—CF_3
1569	3,4,5-trimethylisoxazol-CH2—	1	2	0	R	H	—CH_2—N... (Cl-substituted benzoxazine/pyridine)
1570	H_3CS—〈 〉—CH_2—	2	2	1	—	H	—CH_2—N(H)C=O—〈 〉—Cl ; H_2N
1571	H_3CS—〈 〉—CH_2—	2	2	1	—	H	—CH_2—N(H)C=O ; Cl ; HN—CH_2—〈 〉—SCH_3
1572	piperidinyl—C(=O)—〈 〉—CH_2—	2	2	1	—	H	—CH_2—N(H)C(=O)—〈 〉—CF_3
1573	H_3CO—〈 〉—N(H)C(=O)—〈 〉—CH_2—	2	2	1	—	H	—CH_2—N(H)C(=O)—〈 〉—CF_3

Table 1.144

Compd. No.	$\begin{array}{c}R^1\\R^2\end{array}$>(CH$_2$)$_j$-	k	m	n	chirality	R^3	-(CH$_2$)$_p$$\begin{array}{c}R^4\\|\\R^5\end{array}$(CH$_2$)$_q$-G-R^6
1574	H$_3$C-◯-N̈-C(O)-◯-CH$_2$-	2	2	1	-	H	-CH$_2$-N(H)-C(O)-◯-CF$_3$
1575	Cl-◯-N̈-C(O)-◯-CH$_2$-	2	2	1	-	H	-CH$_2$-N(H)-C(O)-◯-CF$_3$
1576	(morpholine)-C(O)-◯-CH$_2$-	2	2	1	-	H	-CH$_2$-N(H)-C(O)-◯-CF$_3$
1577	HO(CH$_2$)$_2$-N̈-C(O)-◯-CH$_2$-	2	2	1	-	H	-CH$_2$-N(H)-C(O)-◯-CF$_3$
1578	H$_3$C-◯-N(H)-C(O)-◯-CH$_2$-	2	2	1	-	H	-CH$_2$-N(H)-C(O)-◯-CF$_3$
1579	◯(CH$_3$)-N(H)-C(O)-◯-CH$_2$-	2	2	1	-	H	-CH$_2$-N(H)-C(O)-◯-CF$_3$
1580	(cyclopentyl)-N(H)-C(O)-◯-CH$_2$-	2	2	1	-	H	-CH$_2$-N(H)-C(O)-◯-CF$_3$
1581	Cl-◯-CH$_2$-	2	2	1	-	H	-CH$_2$-N(H)-C(O)-◯-Br, H$_3$C-S(O)$_2$-NH
1582	Cl-◯-CH$_2$-	2	2	1	-	H	-CH$_2$-N(H)-C(O)-◯-Br, H$_3$C-N-S(O$_2$)-CH$_3$
1583	Cl-◯-CH$_2$-	1	2	0	R	H	-CH$_2$-N(H)-C(O)-◯-CF$_3$, H$_2$N
1584	Cl-◯-CH$_2$-	1	2	0	R	H	-CH$_2$-N(H)-C(O)-◯-OCF$_3$, H$_2$N

Table 1.145

Compd. No.	R^1 R^2 >CH-(CH$_2$)$_j$-	k	m	n	chirality	R^3	-(CH$_2$)$_p$-C(R^4)(R^5)-(CH$_2$)$_q$-G-R^6
1585	Cl–⟨C₆H₄⟩–CH₂–	1	2	0	R	H	–CH₂–NH–C(O)– pyridine, Br
1586	Cl–⟨C₆H₄⟩–CH₂–	1	2	0	R	H	–CH₂–NH–C(O)– pyridine, Cl
1587	Cl–⟨C₆H₄⟩–CH₂–	1	2	0	R	H	–CH₂–NH–C(O)– phenyl, O-phenyl
1588	Cl–⟨C₆H₄⟩–CH₂–	1	2	0	R	H	–CH₂–NH–C(O)– pyridine, CH₃
1589	H₃C–⟨C₆H₄⟩–CH₂–	1	2	0	R	H	–CH₂–NH–C(O)– phenyl, CF₃, H₂N
1590	H₃C–⟨C₆H₄⟩–CH₂–	1	2	0	R	H	–CH₂–NH–C(O)– phenyl, OCF₃, H₂N
1591	H₃C–⟨C₆H₄⟩–CH₂–	1	2	0	R	H	–CH₂–NH–C(O)– pyridine, Br
1592	H₃C–⟨C₆H₄⟩–CH₂–	1	2	0	R	H	–CH₂–NH–C(O)– pyridine, Cl
1593	H₃C–⟨C₆H₄⟩–CH₂–	1	2	0	R	H	–CH₂–NH–C(O)– phenyl, O-phenyl
1594	3,5-dimethylisoxazol-4-yl–CH₂–	1	2	0	R	H	–CH₂–NH–C(O)– phenyl, CF₃, H₂N
1595	3,5-dimethylisoxazol-4-yl–CH₂–	1	2	0	R	H	–CH₂–NH–C(O)– phenyl, OCF₃, H₂N

Table 1.146

Compd. No.	R^1, R^2 >CH−(CH$_2$)$_l$−	k	m	n	chirality	R^3	−(CH$_2$)$_p$−C(R^4)(R^5)−(CH$_2$)$_q$−G−R^6
1596	3,5-dimethylisoxazol-4-yl-CH$_2$−	1	2	0	R	H	−CH$_2$−C(O)NH−(5-Br-pyridin-3-yl)
1597	3,5-dimethylisoxazol-4-yl-CH$_2$−	1	2	0	R	H	−CH$_2$−C(O)NH−(4-Cl-pyridin-2-yl)
1598	3,5-dimethylisoxazol-4-yl-CH$_2$−	1	2	0	R	H	−CH$_2$−NH−C(O)−(3-phenoxyphenyl)
1599	3,5-dimethylisoxazol-4-yl-CH$_2$−	1	2	0	R	H	−CH$_2$−NH−C(O)−(6-CH$_3$-pyridin-2-yl)
1600	4-Cl-C$_6$H$_4$−CH$_2$−	2	2	1	−	H	−CH$_2$−NH−C(O)−(2-NH$_2$-5-CF$_3$-phenyl)
1601	4-Cl-C$_6$H$_4$−CH$_2$−	2	2	1	−	H	−CH$_2$−NH−C(O)−(2-NH$_2$-5-OCF$_3$-phenyl)
1602	4-Cl-C$_6$H$_4$−CH$_2$−	2	2	1	−	H	−CH$_2$−NH−C(O)−(5-Br-pyridin-3-yl)
1603	4-Cl-C$_6$H$_4$−CH$_2$−	2	2	1	−	H	−CH$_2$−C(O)NH−(4-Cl-pyridin-2-yl)
1604	4-Cl-C$_6$H$_4$−CH$_2$−	2	2	1	−	H	−CH$_2$−NH−C(O)−(3-phenoxyphenyl)
1605	4-Cl-C$_6$H$_4$−CH$_2$−	2	2	1	−	H	−CH$_2$−NH−C(O)−(6-CH$_3$-pyridin-2-yl)
1606	4-Cl-C$_6$H$_4$−CH$_2$−	1	2	0	R	H	−CH$_2$−NH−C(O)−(3-SCF$_3$-phenyl)

Table 1.147

Compd. No.	R^1R^2CH-(CH$_2$)$_l$-	k	m	n	chirality	R^3	-(CH$_2$)$_p$CR^{4}R^5(CH$_2$)$_q$-G-R^6
1607	H$_3$C-C$_6$H$_4$-CH$_2$-	1	2	0	R	H	-CH$_2$-NHC(O)-C$_6$H$_4$-SCF$_3$
1608	(3,4,5-trimethylisoxazol-yl)-CH$_2$-	1	2	0	R	H	-CH$_2$-NHC(O)-C$_6$H$_4$-SCF$_3$
1609	Cl-C$_6$H$_4$-CH$_2$-	2	2	1	-	H	-CH$_2$-NHC(O)-C$_6$H$_4$-SCF$_3$
1610	(2-CF$_3$-C$_6$H$_4$)-NHC(O)-C$_6$H$_4$-CH$_2$-	2	2	1	-	H	-CH$_2$-NHC(O)-C$_6$H$_4$-CF$_3$
1611	(Cl,O-C$_6$H$_3$)-NHC(O)-C$_6$H$_4$-CH$_2$-	2	2	1	-	H	-CH$_2$-NHC(O)-C$_6$H$_4$-CF$_3$
1612	H$_3$CO(CH$_2$)$_3$-NHC(O)-C$_6$H$_4$-CH$_2$-	2	2	1	-	H	-CH$_2$-NHC(O)-C$_6$H$_4$-CF$_3$
1613	(H$_3$C,CH$_3$-C$_6$H$_3$)-NHC(O)-C$_6$H$_4$-CH$_2$-	2	2	1	-	H	-CH$_2$-NHC(O)-C$_6$H$_4$-CF$_3$
1614	F$_3$CS-C$_6$H$_4$-CH$_2$-	1	2	0	R	H	-CH$_2$-NHC(O)-C$_6$H$_4$-CF$_3$
1615	F$_3$CS-C$_6$H$_4$-CH$_2$-	2	2	1	-	H	-CH$_2$-NHC(O)-C$_6$H$_4$-CF$_3$
1616	F$_3$CS-C$_6$H$_4$-CH$_2$-	2	2	1	-	H	-CH$_2$-NHC(O)-(5-Cl-2-NH$_2$-C$_6$H$_3$)
1617	F$_3$CS-C$_6$H$_4$-CH$_2$-	2	2	1	-	H	-CH$_2$-NHC(O)-(5-Br-2-NH$_2$-C$_6$H$_3$)

Table 1.148

Compd. No.	R^1 R^2 $>$C(CH$_2$)$_l$–	k	m	n	chirality	R^3	–(CH$_2$)$_p$–C(R^4)(R^5)(CH$_2$)$_q$–G–R^6
1618	H$_3$CO–, HO– benzene –CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO– benzene–Br
1619	H$_3$CO–, HO– benzene –CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO– benzene–OCF$_3$
1620	H$_3$CO–, HO– benzene –CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO– benzene–CF$_3$, –F
1621	H$_3$CO–, HO– benzene –CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO– benzene–CF$_3$, –F
1622	H$_3$CO–, HO– benzene –CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO– benzene–CF$_3$, –F, –F
1623	HO– benzene –CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO– benzene–Br
1624	HO– benzene –CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO– benzene–OCF$_3$
1625	HO– benzene –CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO– benzene–CF$_3$, –F
1626	HO– benzene –CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO– benzene–CF$_3$, –F
1627	HO– benzene –CH$_2$–	1	2	0	R	H,	–CH$_2$–NH–CO– benzene–CF$_3$, –F, –F
1628	H$_3$CS– benzene –CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO– benzene–CF$_3$, –F

Table 1.149

Compd. No.	R^1 R^2 $>$C$-$(CH$_2$)$_l-$	k	m	n	chirality	R^3	$-$(CH$_2$)$_p-$C$<^{R^4}_{R^5}-$(CH$_2$)$_q-$G$-$R^6
1629	H$_3$CS—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(O)—⬡(CF$_3$)(F)
1630	H$_3$C furan CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(O)—⬡CF$_3$
1631	H$_2$NCH$_2$—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(O)—⬡CF$_3$
1632	CF$_3$ pyridine(Cl) CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(O)—⬡CF$_3$
1633	H$_3$CS NC pyridine CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(O)—⬡CF$_3$
1634	(H$_3$C)$_2$CH—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(O)—⬡CF$_3$
1635	H$_3$C—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(O)—⬡—C(CH$_3$)$_3$
1636	H$_3$C—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(O)— bicyclic (H$_3$C, H$_3$C, CH$_3$)
1637	isoxazole(CH$_3$)(CH$_3$) CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(O)—⬡—(CH$_2$)$_4$CH$_3$
1638	isoxazole(CH$_3$)(CH$_3$) CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(O)—⬡—O(CH$_2$)$_3$CH$_3$
1639	isoxazole(CH$_3$)(CH$_3$) CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(O)—⬡(NH$_2$)—N(H)—C(O)OCH$_2$CH$_3$

Table 1.150

Compd. No.	R¹/R²CH-(CH₂)ₗ-	k	m	n	chirality	R³	-(CH₂)ₚR⁴/R⁵C(CH₂)qG-R⁶
1640	3,5-dimethylisoxazol-4-yl-CH₂-	1	2	0	R	H	-CH₂-NH-CO-C₆H₄-NH-(CH₂)₃CH₃
1641	3,5-dimethylisoxazol-4-yl-CH₂-	1	2	0	R	H	-CH₂-NH-CO-C₆H₄-OCF₂CHClF
1642	3,5-dimethylisoxazol-4-yl-CH₂-	1	2	0	R	H	-CH₂-NH-CO-(5-nitroquinolin-4-yl)
1643	3,5-dimethylisoxazol-4-yl-CH₂-	1	2	0	R	H	-CH₂-NH-CO-(naphthalen-2-yl)
1644	3,5-dimethylisoxazol-4-yl-CH₂-	1	2	0	R	H	-CH₂-NH-CO-C₆H₄-CO-C₆H₅
1645	(6-chloro-1,3-benzodioxol-5-yl)-CH₂-	1	2	0	R	H	-CH₂-NH-CO-C₆H₄-CF₃
1646	(5-bromofuran-2-yl)-CH₂-	1	2	0	R	H	-CH₂-NH-CO-C₆H₄-CF₃
1647	H₃C(CH₂)₃-C₆H₄-CH₂-	2	2	1	-	H	-CH₂-NH-CO-C₆H₄-CF₃
1648	H₃C(CH₂)₃-C₆H₄-CH₂-	1	2	0	R	H	-CH₂-NH-CO-C₆H₄-CF₃
1649	H₃C(CH₂)₂-C₆H₄-CH₂-	2	2	1	-	H	-CH₂-NH-CO-C₆H₄-CF₃
1650	H₃C(CH₂)₂-C₆H₄-CH₂-	1	2	0	R	H	-CH₂-NH-CO-C₆H₄-CF₃

Table 1.151

Compd. No.	R^1 R^2>CH—$(CH_2)_l$—	k	m	n	chirality	R^3	—$(CH_2)_p$—$\overset{R^4}{\underset{R^5}{C}}$—$(CH_2)_q$—G—$R^6$
1651	$H_3C(CH_2)_3$—〈〉—CH_2—	2	2	1	-	H	structure
1652	$H_3C(CH_2)_3$—〈〉—CH_2—	2	2	1	-	H	structure
1653	$H_3C(CH_2)_2$—〈〉—CH_2—	2	2	1	-	H	structure
1654	$H_3C(CH_2)_2$—〈〉—CH_2—	2	2	1	-	H	structure
1655	$H_3C(CH_2)_3$—〈〉—CH_2—	2	2	1	-	H	structure
1656	$H_3C(CH_2)_3$—〈〉—CH_2—	2	2	1	-	H	structure
1657	$H_3C(CH_2)_2$—〈〉—CH_2—	2	2	1	-	H	structure
1658	$H_3C(CH_2)_2$—〈〉—CH_2—	2	2	1	-	H	structure
1659	Cl—〈〉—CH_2—	2	2	1	-	H	structure
1660	Br—〈〉—CH_2—	1	2	0	R	H	structure
1661	Br—〈〉—CH_2—	1	2	0	R	H	structure

Table 1.152

Compd. No.	R^1R^2(CH$_2$)$_j$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$$R^4$R^5(CH$_2$)$_q$—G—R^6
1662	Br—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	—CH₂—N(H)—C(O)— aryl (2-NH₂, 3,4-F₂)
1663	Br—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	—CH₂—N(H)—C(O)— aryl (Cl, NH₂)
1664	H₃CS—⟨C₆H₄⟩—CH₂—	2	2	1	–	H	—CH₂—N(H)—C(O)— aryl (CF₃, NH₂)
1665	H₃CS—⟨C₆H₄⟩—CH₂—	2	2	1	–	H	—CH₂—N(H)—C(O)— aryl (OCF₃, NH₂)
1666	H₃CS—⟨C₆H₄⟩—CH₂—	2	2	1	–	H	—CH₂—N(H)—C(O)— aryl (F, F, NH₂)
1667	H₃CCH₂—⟨C₆H₄⟩—CH₂—	2	2	1	–	H	—CH₂—N(H)—C(O)— furyl (Br, Br)
1668	H₃CCH₂—⟨C₆H₄⟩—CH₂—	2	2	1	–	H	—CH₂—N(H)—C(O)— aryl (F, F, NH₂)
1669	H₃CCH₂—⟨C₆H₄⟩—CH₂—	2	2	1	–	H	—CH₂—N(H)—C(O)— aryl (F, NH₂)
1670	H₃CCH₂—⟨C₆H₄⟩—CH₂—	2	2	1	–	H	—CH₂—N(H)—C(O)— aryl (I, NH₂)
1671	H₃CCH₂—⟨C₆H₄⟩—CH₂—	2	2	1	–	H	—CH₂—N(H)—C(O)— aryl (OCF₃, NH₂)
1672	H₃CCH₂—⟨C₆H₄⟩—CH₂—	2	2	1	–	H	—CH₂—N(H)—C(O)— aryl (CF₃, NH₂)

Table 1.153

Compd. No.	R1R2(CH2)l−	k	m	n	chirality	R3	−(CH2)p−CR4R5−(CH2)q−G−R6
1673	H3CCH2—C6H4—CH2−	2	2	1	-	H	−CH2−NH−CO−C6H3(Br)(Cl)
1674	F—C6H4—CH2−	2	2	1	-	H	−CH2−CH2−NH−CO−(furyl)(Br)(Br)
1675	F—C6H4—CH2−	2	2	1	-	H	−CH2−NH−CO−C6H2(F)(F)(H2N)
1676	F—C6H4—CH2−	2	2	1	-	H	−CH2−NH−CO−C6H3(F)(H2N)
1677	F—C6H4—CH2−	2	2	1	-	H	−CH2−NH−CO−C6H3(Br)(H2N)
1678	F—C6H4—CH2−	2	2	1	-	H	−CH2−NH−CO−C6H3(I)(H2N)
1679	F—C6H4—CH2−	2	2	1	-	H	−CH2−NH−CO−C6H3(Cl)(H2N)
1680	F—C6H4—CH2−	2	2	1	-	H	−CH2−NH−CO−C6H3(OCF3)(H2N)
1681	F—C6H4—CH2−	2	2	1	-	H	−CH2−NH−CO−C6H3(CF3)(H2N)
1682	F—C6H4—CH2−	2	2	1	-	H	−CH2−NH−CO−C6H3(Br)(Cl)
1683	C6H5—NH—CO—C6H4—CH2−	2	2	1	-	H	−CH2−NH−CO−(furyl)(Br)(Br)

Table 1.154

Compd. No.	R^1 R^2 $>$$(CH_2)$—	k	m	n	chirality	R^3	—$(CH_2)_p$—CR^4R^5—$(CH_2)_q$—G—R^6
1684	phenyl-NH-C(O)-phenyl-CH$_2$-	2	2	1	-	H	-CH$_2$-NH-C(O)-(2-NH$_2$, 4,5-diF-phenyl)
1685	phenyl-NH-C(O)-phenyl-CH$_2$-	2	2	1	-	H	-CH$_2$-NH-C(O)-(2-NH$_2$, 5-F-phenyl)
1686	phenyl-NH-C(O)-phenyl-CH$_2$-	2	2	1	-	H	-CH$_2$-NH-C(O)-(2-NH$_2$, 5-Br-phenyl)
1687	phenyl-NH-C(O)-phenyl-CH$_2$-	2	2	1	-	H	-CH$_2$-NH-C(O)-(2-NH$_2$, 4-I-phenyl)
1688	phenyl-NH-C(O)-phenyl-CH$_2$-	2	2	1	-	H	-CH$_2$-NH-C(O)-(2-NH$_2$, 5-Cl-phenyl)
1689	phenyl-NH-C(O)-phenyl-CH$_2$-	2	2	1	-	H	-CH$_2$-NH-C(O)-(2-NH$_2$, 5-OCF$_3$-phenyl)
1690	phenyl-NH-C(O)-phenyl-CH$_2$-	2	2	1	-	H	-CH$_2$-NH-C(O)-(2-NH$_2$, 5-CF$_3$-phenyl)
1691	phenyl-NH-C(O)-phenyl-CH$_2$-	2	2	1	-	H	-CH$_2$-NH-C(O)-(3-Br, 4-Cl-phenyl)
1692	(2-CH$_3$, 4-CH$_3$-phenyl)-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-C(O)-(4,5-diBr-furyl)
1693	(2-CH$_3$, 4-CH$_3$-phenyl)-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-C(O)-(2-NH$_2$, 4,5-diF-phenyl)
1694	(2-CH$_3$, 4-CH$_3$-phenyl)-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-C(O)-(2-NH$_2$, 5-F-phenyl)

Table 1.155

Compd. No.	R^1 R^2—(CH$_2$)$_j$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$—CR^{4}R^5—(CH$_2$)$_q$—G—R^6
1695	H$_3$C— (CH$_3$) —CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)— (Br, H$_2$N)
1696	H$_3$C— (CH$_3$) —CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)— (I, H$_2$N)
1697	H$_3$C— (CH$_3$) —CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)— (Cl, H$_2$N)
1698	H$_3$C— (CH$_3$) —CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)— (OCF$_3$, H$_2$N)
1699	H$_3$C— (CH$_3$) —CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)— (CF$_3$, H$_2$N)
1700	H$_3$C— (CH$_3$) —CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)— (Br, Cl)
1701	H$_2$C=CH— —CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)— (CF$_3$, H$_2$N)
1702	H$_3$CO— —CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)— (CF$_3$, H$_2$N)
1703	(O–CH$_2$–O benzodioxole)—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)— (CF$_3$, H$_2$N)
1704	HO— —CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)— (CF$_3$, H$_2$N)
1705	Cl (Cl) —CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)— (CF$_3$, H$_2$N)

Table 1.156

Compd. No.	R^1R^2CH—(CH$_2$)$_l$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$—R^4R^5C—(CH$_2$)$_q$—G—R^6
1706		1	2	0	R	H	
1707	H$_3$CS—⟨⟩—CH$_2$—	1	2	0	R	H	
1708	H$_3$CCH$_2$—⟨⟩—CH$_2$—	1	2	0	R	H	
1709	(H$_3$C)$_2$CH—⟨⟩—CH$_2$—	1	2	0	R	H	
1710		1	2	0	R	H	
1711		1	2	0	R	H	
1712		1	2	0	R	H	
1713		1	2	0	R	H	
1714		1	2	0	R	H	
1715		1	2	0	R	H	
1716		1	2	0	R	H	

Table 1.157

Compd. No.	R^1 R^2 $(CH_2)_i-$	k	m	n	chirality	R^3	$-(CH_2)_p-\overset{R^4}{\underset{R^5}{|}}-(CH_2)_q-G-R^6$
1717	H_3CO, OCH_3 pyrimidine $-CH_2-$	1	2	0	R	H	$-CH_2-N\overset{O}{\underset{H}{-C}}-$ phenyl-CF_3
1718	phenyl-pyrazole with CH_3, CH_3, CH_3 $-CH_2-$	1	2	0	R	H	$-CH_2-N\overset{O}{\underset{H}{-C}}-$ phenyl-CF_3
1719	oxadiazole $-CH_2-$	1	2	0	R	H	$-CH_2-N\overset{O}{\underset{H}{-C}}-$ phenyl-CF_3
1720	H_3CO_2C, H_3C, CH_3, CH_3 pyridine $-CH_2-$	1	2	0	R	H	$-CH_2-N\overset{O}{\underset{H}{-C}}-$ phenyl-CF_3
1721	H_3CCH_2- phenyl $-CH_2-$	1	2	0	R	H	$-CH_2-N\overset{O}{\underset{H}{-C}}-$ phenyl-CF_3, F
1722	dihydrobenzofuran $-CH_2-$	1	2	0	R	H	$-CH_2-N\overset{O}{\underset{H}{-C}}-$ phenyl-CF_3, F
1723	indane $-CH_2-$	1	2	0	R	H	$-CH_2-N\overset{O}{\underset{H}{-C}}-$ phenyl-CF_3, F
1724	CH_3, H_3C phenyl $-CH_2-$	1	2	0	R	H	$-CH_2-N\overset{O}{\underset{H}{-C}}-$ phenyl-CF_3, F
1725	CH_3, H_3C, H_3C phenyl $-CH_2-$	1	2	0	R	H	$-CH_2-N\overset{O}{\underset{H}{-C}}-$ phenyl-CF_3, F
1726	H_3CCH_2- phenyl $-CH_2-$	1	2	0	R	H	$-CH_2-N\overset{O}{\underset{H}{-C}}-$ phenyl-CF_3, F
1727	dihydrobenzofuran $-CH_2-$	1	2	0	R	H	$-CH_2-N\overset{O}{\underset{H}{-C}}-$ phenyl-CF_3, F

Table 1.158

Compd. No.	R^1 R^2—(CH$_2$)$_l$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$—C(R^4)(R^5)—(CH$_2$)$_q$—G—R^6
1728	indanyl—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)—C$_6$H$_3$(CF$_3$)(F)
1729	(CH$_3$)(H$_3$C)C$_6$H$_3$—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)—C$_6$H$_4$(CF$_3$)(F)
1730	H$_3$C—C$_6$H$_4$—oxadiazolyl—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)—C$_6$H$_4$(CF$_3$)
1731	H$_3$CO—benzimidazolyl—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)—C$_6$H$_4$(CF$_3$)
1732	HOCH$_2$—C$_6$H$_4$—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)—C$_6$H$_4$(CF$_3$)
1733	indanyl—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)—C$_6$H$_3$(CF$_3$)(F)
1734	H$_3$CS—C$_6$H$_4$—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)—C$_6$H$_3$(CF$_3$)(F)
1735	H$_3$CCH$_2$—C$_6$H$_4$—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)—C$_6$H$_3$(CF$_3$)(F)
1736	benzodioxanyl—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)—C$_6$H$_3$(CF$_3$)(F)
1737	(CH$_3$)(H$_3$C)C$_6$H$_3$—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)—C$_6$H$_3$(CF$_3$)(F)
1738	(CH$_3$)(H$_3$C)(H$_3$C)C$_6$H$_2$—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)—C$_6$H$_3$(CF$_3$)(F)

Table 1.159

Compd. No.	$\begin{smallmatrix}R^1\\R^2\end{smallmatrix}$CH—(CH$_2$)$_j$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$—C$\begin{smallmatrix}R^4\\R^5\end{smallmatrix}$—(CH$_2$)$_q$—G—$R^6$
1739	(H$_5$C)$_2$CH—⟨⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(O)—(benzene, CF$_3$, F, F)
1740	(indane)—CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(O)—(benzene, Br)
1741	H$_3$CS—⟨⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(O)—(benzene, Br)
1742	H$_3$CCH$_2$—⟨⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(O)—(benzene, Br)
1743	(dihydrobenzofuran)—CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(O)—(benzene, Br)
1744	H$_3$C—(benzene, CH$_3$)—CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(O)—(benzene, Br)
1745	H$_3$C—(benzene, CH$_3$, H$_3$C)—CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(O)—(benzene, Br)
1746	(H$_5$C)$_2$CH—⟨⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(O)—(benzene, Br)
1747	(indane)—CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(O)—(benzene, Br, H$_2$N)
1748	H$_3$CCH$_2$—⟨⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(O)—(benzene, Br, H$_2$N)
1749	H$_3$C—(benzene, CH$_3$)—CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(O)—(benzene, Br, H$_2$N)

Table 1.160

Compd. No.	R^1 R^2 $-(CH_2)-$	k	m	n	chirality	R^3	$-(CH_2)_p\begin{smallmatrix}R^4\\|\\R^5\end{smallmatrix}(CH_2)_q-G-R^6$
1750	[indane]-CH$_2$-	1	2	0	R	H	-CH$_2$-N-C- benzene-OCF$_3$
1751	H$_3$CS-[benzene]-CH$_2$-	1	2	0	R	H	-CH$_2$-N-C- benzene-OCF$_3$
1752	H$_3$CCH$_2$-[benzene]-CH$_2$-	1	2	0	R	H	-CH$_2$-N-C- benzene-OCF$_3$
1753	[benzofuran]-CH$_2$-	1	2	0	R	H	-CH$_2$-N-C- benzene-OCF$_3$
1754	H$_3$C-[benzene(CH$_3$)]-CH$_2$-	1	2	0	R	H	-CH$_2$-N-C- benzene-OCF$_3$
1755	H$_3$C-[benzene(CH$_3$)(H$_3$C)]-CH$_2$-	1	2	0	R	H	-CH$_2$-N-C- benzene-OCF$_3$
1756	(H$_3$C)$_2$CH-[benzene]-CH$_2$-	1	2	0	R	H	-CH$_2$-N-C- benzene-OCF$_3$
1757	Br$_4$-[benzene]-CH$_2$-	1	2	0	R	H	-CH$_2$-N-C- benzene-CF$_3$
1758	H$_3$CO-[benzene(Br)$_4$]-CH$_2$-	1	2	0	R	H	-CH$_2$-N-C- benzene-CF$_3$
1759	H$_3$C-[benzene]-CH$_2$-	1	2	0	R	H	(structure with cyclohexyl, OCH$_3$)
1760	H$_3$C-[benzene]-CH$_2$-	1	2	0	R	H	-CH$_2$-N-C- benzene(OCH$_3$)-O-CF$_2$CHClF

Table 1.161

Compd. No.	R^1R^2(CH$_2$)$_l$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$CR^{4}R^5(CH$_2$)$_q$—G—R^6
1761	H$_3$C—〈 〉—CH$_2$—	1	2	0	R	H	
1762	(isoxazole CH$_3$,CH$_3$)—CH$_2$—	1	2	0	R	H	
1763	〈 〉—CH$_2$—	2	2	0	-	H	
1764	〈 〉—CH$_2$—	2	2	0	-	H	
1765	〈 〉—CH$_2$—	2	2	0	-	H	
1766	〈 〉—CH$_2$—	2	2	0	-	H	
1767	Cl—〈 〉—CH$_2$—	1	3	1	-	H	
1768	Cl—〈 〉—CH$_2$—	1	3	1	-	H	
1769	(isoxazole CH$_3$,CH$_3$)—CH$_2$—	1	2	0	R	H	
1770	(isoxazole CH$_3$,CH$_3$)—CH$_2$—	1	2	0	R	H	
1771	(isoxazole CH$_3$,CH$_3$)—CH$_2$—	1	2	0	R	H	

Table 1.162

Compd. No	R^1, R^2 >(CH$_2$)$_l$—	k	m	n	chirality	R^3	$-(CH_2)_p\!-\!\!C(R^4)(R^5)\!-\!(CH_2)_q\!-\!G\!-\!R^6$
1772	3,4-dimethylisoxazole-CH$_2$–	1	2	0	R	H	cyclohexane dicarboxamide-aryl structure
1773	3,4-dimethylisoxazole-CH$_2$–	1	2	0	R	H	cyclohexene dicarboxamide-aryl structure
1774	3,4-dimethylisoxazole-CH$_2$–	1	2	0	H	H	–CH$_2$-NH-C(O)-...-OCH$_3$ structure
1775	HO,H$_3$CO-phenyl-CH$_2$–	1	2	0	R	H	–CH$_2$-NH-C(O)-aryl-CF$_3$, H$_2$N
1776	H$_3$CO,HO-phenyl-CH$_2$–	1	2	0	R	H	–CH$_2$-NH-C(O)-aryl-CF$_3$, H$_2$N
1777	Cl,Cl-phenyl-CH$_2$–	2	2	1	–	H	–CH$_2$-NH-C(O)-aryl-CF$_3$, H$_2$N
1778	H$_3$C-phenyl-CH$_2$–	2	2	1	–	H	–CH$_2$-NH-C(O)-aryl-CF$_3$, H$_2$N
1779	benzodioxole-CH$_2$–	2	2	1	–	H	–CH$_2$-NH-C(O)-aryl-CF$_3$, H$_2$N
1780	Br-phenyl-CH$_2$–	2	2	1	–	H	–CH$_2$-NH-C(O)-aryl-CF$_3$, H$_2$N
1781	HO-phenyl-CH$_2$–	2	2	1	–	H	–CH$_2$-NH-C(O)-aryl-CF$_3$, H$_2$N
1782	H$_2$C=CH-phenyl-CH$_2$–	2	2	1	–	H	–CH$_2$-NH-C(O)-aryl-CF$_3$, H$_2$N

Table 1.163

Compd. No.	R^1, R^2—(CH$_2$)$_l$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$—CR^{4}R^5—(CH$_2$)$_q$—G—R^6
1783	NC—⟨⟩—CH$_2$—	2	2	1	-	H	—CH$_2$CH$_2$—NH—C(O)—⟨⟩—CF$_3$ (NH$_2$)
1784	⟨⟩—CH$_2$—	2	2	1	-	H	—CH$_2$CH$_2$—NH—C(O)—⟨⟩—CF$_3$ (NH$_2$)
1785	CH$_3$(CH$_2$)$_2$—⟨⟩—CH$_2$—	2	2	1	-	H	—CH$_2$CH$_2$—NH—C(O)—⟨⟩—CF$_3$ (NH$_2$)
1786	⟨⟩O—CH$_2$—	2	2	1	-	H	—CH$_2$CH$_2$—NH—C(O)—⟨⟩—CF$_3$ (NH$_2$)
1787	CH$_3$(CH$_2$)$_3$—⟨⟩—CH$_2$—	1	2	0	R	H	—CH$_2$CH$_2$—NH—C(O)—⟨⟩—CF$_3$ (NH$_2$)
1788	H$_3$C—⟨⟩(CH$_3$)—CH$_2$—	2	2	1	-	H	—CH$_2$CH$_2$—NH—C(O)—⟨⟩—CF$_3$ (NH$_2$)
1789	H$_3$CO—⟨⟩—CH$_2$—	2	2	1	-	H	—CH$_2$CH$_2$—NH—C(O)—⟨⟩—CF$_3$ (NH$_2$)
1790	Cl—⟨⟩—CH$_2$—	1	2	0	S	H	—CH$_2$CH$_2$—NH—C(O)—⟨⟩—CF$_3$ (NH$_2$)
1791	Cl—⟨⟩—CH$_2$—	1	2	0	S	H	—CH$_2$CH$_2$—NH—C(O)—⟨⟩—OCF$_3$ (NH$_2$)
1792	H$_3$C—⟨⟩(CH$_3$)—CH$_2$—	2	2	1	-	H	—CH$_2$CH$_2$—NH—C(O)—⟨⟩—F, F (NH$_2$)
1793	Cl—⟨⟩(Cl)—CH$_2$—	2	2	1	-	H	—CH$_2$CH$_2$—NH—C(O)—⟨⟩—F, F (NH$_2$)

183

Table 1.164

Compd. No.	R^1, R^2—(CH$_2$)$_i$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$—R^4, R^5—(CH$_2$)$_q$—G—R^6
1794	H$_3$C—⬡—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(O)—(2-NH$_2$, 4,5-F$_2$-phenyl)
1795	(1,3-benzodioxol)—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(O)—(2-NH$_2$, 4,5-F$_2$-phenyl)
1796	Br—⬡—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(O)—(2-NH$_2$, 4,5-F$_2$-phenyl)
1797	HO—⬡—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(O)—(2-NH$_2$, 4,5-F$_2$-phenyl)
1798	H$_3$CO—⬡—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(O)—(2-NH$_2$, 4,5-F$_2$-phenyl)
1799	H$_2$C=CH—⬡—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(O)—(2-NH$_2$, 4,5-F$_2$-phenyl)
1800	NC—⬡—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(O)—(2-NH$_2$, 4,5-F$_2$-phenyl)
1801	⬡—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(O)—(2-NH$_2$, 4,5-F$_2$-phenyl)
1802	HO, H$_3$CCH$_2$O—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)—(2-NH$_2$, 6-CF$_3$-phenyl)
1803	HO, H$_3$C—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)—(2-NH$_2$, 6-CF$_3$-phenyl)
1804	H$_3$C(CH$_2$)$_2$—⬡—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(O)—(2-NH$_2$, 4,5-F$_2$-phenyl)

184

Table 1.165

Compd. No.	R^1/R^2-(CH$_2$)$_l$-	k	m	n	chirality	R^3	-(CH$_2$)$_p$-$C(R^4)(R^5)$-(CH$_2$)$_q$-G-R^6
1805	Br-C$_6$H$_4$-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-C(=O)-C$_6$H$_4$-SCF$_3$
1806	H$_3$CO-C$_6$H$_4$-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-C(=O)-C$_6$H$_4$-SCF$_3$
1807	H$_3$CO-,HO-C$_6$H$_3$-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-C(=O)-C$_6$H$_4$-SCF$_3$
1808	HO-,H$_3$CO-C$_6$H$_3$-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-C(=O)-C$_6$H$_4$-SCF$_3$
1809	HO-C$_6$H$_4$-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-C(=O)-C$_6$H$_4$-SCF$_3$
1810	(methylenedioxy)C$_6$H$_3$-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-C(=O)-C$_6$H$_4$-SCF$_3$
1811	(indanyl)-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-C(=O)-C$_6$H$_4$-SCF$_3$
1812	H$_3$CS-C$_6$H$_4$-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-C(=O)-C$_6$H$_4$-SCF$_3$
1813	H$_3$CCH$_2$-C$_6$H$_4$-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-C(=O)-C$_6$H$_4$-SCF$_3$
1814	(2,3-dihydrobenzofuranyl)-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-C(=O)-C$_6$H$_4$-SCF$_3$
1815	H$_3$C-,CH$_3$-C$_6$H$_3$-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-C(=O)-C$_6$H$_4$-SCF$_3$

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Table 1.166

Compd. No.	R^1 R^2>CH–(CH$_2$)–	k	m	n	chirality	R^3	–(CH$_2$)$_p$–$\overset{R^4}{\underset{R^5}{\text{C}}}$–(CH$_2$)$_q$–G–R^6
1816	(CH$_3$)$_2$CH–〈C$_6$H$_4$〉–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO–〈C$_6$H$_4$〉–SCF$_3$
1817	(CH$_3$)$_3$C–〈C$_6$H$_4$〉–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO–〈C$_6$H$_4$〉–SCF$_3$
1818	Br–〈C$_6$H$_4$〉–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO–〈C$_6$H$_4$〉–OCHF$_2$
1819	H$_3$CO–〈C$_6$H$_4$〉–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO–〈C$_6$H$_4$〉–OCHF$_2$
1820	HO–〈C$_6$H$_3$(H$_3$CO)〉–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO–〈C$_6$H$_4$〉–OCHF$_2$
1821	H$_3$CO–〈C$_6$H$_3$(HO)〉–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO–〈C$_6$H$_4$〉–OCHF$_2$
1822	HO–〈C$_6$H$_4$〉–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO–〈C$_6$H$_4$〉–OCHF$_2$
1823	〈methylenedioxyphenyl〉–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO–〈C$_6$H$_4$〉–OCHF$_2$
1824	〈indanyl〉–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO–〈C$_6$H$_4$〉–OCHF$_2$
1825	H$_3$CS–〈C$_6$H$_4$〉–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO–〈C$_6$H$_4$〉–OCHF$_2$
1826	H$_3$CCH$_2$–〈C$_6$H$_4$〉–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO–〈C$_6$H$_4$〉–OCHF$_2$

Table 1.167   1 8 6

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Compd. No.	R^1 R^2 $>$CH$-$(CH$_2$)$_l$$-$	k	m	n	chirality	R^3	$-$(CH$_2$)$_p$$-CR^4$$R^5$$-$(CH$_2$)$_q$$-G-R^6$
1827	2,3-dihydrobenzofuran-5-yl-CH$_2-$	1	2	0	R	H	$-$CH$_2-$NH$-$C(O)$-$C$_6$H$_4-$OCHF$_2$
1828	2,4-dimethylphenyl-CH$_2-$	1	2	0	R	H	$-$CH$_2-$NH$-$C(O)$-$C$_6$H$_4-$OCHF$_2$
1829	2,4,5-trimethylphenyl-CH$_2-$	1	2	0	R	H	$-$CH$_2-$NH$-$C(O)$-$C$_6$H$_4-$OCHF$_2$
1830	4-isopropylphenyl-CH$_2-$	1	2	0	R	H	$-$CH$_2-$NH$-$C(O)$-$C$_6$H$_4-$OCHF$_2$
1831	4-bromophenyl-CH$_2-$	1	2	0	R	H	$-$CH$_2-$NH$-$C(O)$-$furyl$-$C(CH$_3$)$_3$
1832	4-methoxyphenyl-CH$_2-$	1	2	0	R	H	$-$CH$_2-$NH$-$C(O)$-$furyl$-$C(CH$_3$)$_3$
1833	3-methoxy-4-hydroxyphenyl-CH$_2-$	1	2	0	R	H	$-$CH$_2-$NH$-$C(O)$-$furyl$-$C(CH$_3$)$_3$
1834	4-hydroxy-3-methoxyphenyl-CH$_2-$	1	2	0	R	H	$-$CH$_2-$NH$-$C(O)$-$furyl$-$C(CH$_3$)$_3$
1835	4-hydroxyphenyl-CH$_2-$	1	2	0	R	H	$-$CH$_2-$NH$-$C(O)$-$furyl$-$C(CH$_3$)$_3$
1836	benzo[1,3]dioxol-5-yl-CH$_2-$	1	2	0	R	H	$-$CH$_2-$NH$-$C(O)$-$furyl$-$C(CH$_3$)$_3$
1837	indan-5-yl-CH$_2-$	1	2	0	R	H	$-$CH$_2-$NH$-$C(O)$-$furyl$-$C(CH$_3$)$_3$

Table 1.168

Compd. No.	R^1 R^2 $(CH_2)_l-$	k	m	n	chirality	R^3	$-(CH_2)_p-\!\!\begin{smallmatrix}R^4\\\|\\R^5\end{smallmatrix}\!\!-(CH_2)_q-G-R^6$
1838	H_3CS—⬡—CH_2-	1	2	0	R	H	$-CH_2-N\underset{H}{\overset{O}{||}}C$—furan—$C(CH_3)_3$
1839	H_3CCH_2—⬡—CH_2-	1	2	0	R	H	$-CH_2-N\underset{H}{\overset{O}{||}}C$—furan—$C(CH_3)_3$
1840	(dihydrobenzofuran)—CH_2-	1	2	0	R	H	$-CH_2-N\underset{H}{\overset{O}{||}}C$—furan—$C(CH_3)_3$
1841	H_3C—⬡(CH₃)—CH_2-	1	2	0	R	H	$-CH_2-N\underset{H}{\overset{O}{||}}C$—furan—$C(CH_3)_3$
1842	H_3C, H_3C—⬡(CH₃)—CH_2-	1	2	0	R	H	$-CH_2-N\underset{H}{\overset{O}{||}}C$—furan—$C(CH_3)_3$
1843	$(CH_3)_2CH$—⬡—CH_2-	1	2	0	R	H	$-CH_2-N\underset{H}{\overset{O}{||}}C$—furan—$C(CH_3)_3$
1844	$(CH_3)_3C$—⬡—CH_2-	1	2	0	R	H	$-CH_2-N\underset{H}{\overset{O}{||}}C$—furan—$C(CH_3)_3$
1845	H_3CCH_2—⬡—CH_2-	1	2	0	R	H	$-CH_2-N\overset{O}{||}C$—, HN—CH_2—⬡—CH_2CH_3 (Br)
1846	H_3C, H_3C—⬡(CH₃)—CH_2-	1	2	0	R	H	$-CH_2-N\underset{H}{\overset{O}{||}}C$—⬡—$SCF_3$
1847	$(CH_3)_3C$—⬡—CH_2-	1	2	0	R	H	$-CH_2-N\underset{H}{\overset{O}{||}}C$—⬡—$OCHF_2$
1848	H_3CO, HO—⬡—CH_2-	1	2	0	R	H	$-CH_2-N\underset{H}{\overset{O}{||}}C$—⬡—⬡

Table 1.169   1 8 8

Compd. No.	R^1, R^2—(CH$_2$)$_j$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$—R^4, R^5—(CH$_2$)$_q$—G—R^6
1849	indanyl-CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—(biphenyl)
1850	H$_3$CCH$_2$—(phenyl)—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—(biphenyl)
1851	H$_3$C—, CH$_3$-(phenyl)—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—(biphenyl)
1852	(dihydrobenzofuranyl)-CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—(biphenyl)
1853	H$_3$CO—, HO—(phenyl)—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—(phenyl-SO$_2$-phenyl)
1854	indanyl-CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—(phenyl-SO$_2$-phenyl)
1855	H$_3$CCH$_2$—(phenyl)—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—(phenyl-SO$_2$-phenyl)
1856	H$_3$C—, CH$_3$-(phenyl)—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—(phenyl-SO$_2$-phenyl)
1857	(dihydrobenzofuranyl)-CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—(phenyl-SO$_2$-phenyl)
1858	Br—(phenyl)—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—(Br, H$_2$N-phenyl)
1859	H$_3$CO—(phenyl)—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—(Br, H$_2$N-phenyl)

Table 1.170

Compd. No.	R^1 R^2 -(CH$_2$)-	k	m	n	chirality	R^3	-(CH$_2$)$_p$-$\overset{R^4}{\underset{R^5}{C}}$-(CH$_2$)$_q$-G-$R^6$
1860	H$_3$CO / HO— benzene —CH$_2$–	1	2	0	R	H	—CH$_2$-N(H)-C(O)— benzene(Br)(NH$_2$)
1861	HO / H$_3$CO— benzene —CH$_2$–	1	2	0	R	H	—CH$_2$-N(H)-C(O)— benzene(Br)(NH$_2$)
1862	HO— benzene —CH$_2$–	1	2	0	R	H	—CH$_2$-N(H)-C(O)— benzene(Br)(NH$_2$)
1863	methylenedioxy-benzene —CH$_2$–	1	2	0	R	H	—CH$_2$-N(H)-C(O)— benzene(Br)(NH$_2$)
1864	H$_3$CS— benzene —CH$_2$–	1	2	0	R	H	—CH$_2$-N(H)-C(O)— benzene(Br)(NH$_2$)
1865	dihydrobenzofuran —CH$_2$–	1	2	0	R	H	—CH$_2$-N(H)-C(O)— benzene(Br)(NH$_2$)
1866	H$_3$C, CH$_3$, H$_3$C— benzene —CH$_2$–	1	2	0	R	H	—CH$_2$-N(H)-C(O)— benzene(Br)(NH$_2$)
1867	(CH$_3$)$_2$CH— benzene —CH$_2$–	1	2	0	R	H	—CH$_2$-N(H)-C(O)— benzene(Br)(NH$_2$)
1868	(CH$_3$)$_3$C— benzene —CH$_2$–	1	2	0	R	H	—CH$_2$-N(H)-C(O)— benzene(Br)(NH$_2$)
1869	Br— benzene —CH$_2$–	1	2	0	R	H	—CH$_2$-N(H)-C(O)— benzene(I)(NH$_2$)
1870	H$_3$CO— benzene —CH$_2$–	1	2	0	R	H	—CH$_2$-N(H)-C(O)— benzene(I)(NH$_2$)

Table 1.171 190

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Compd. No.	R^1 R^2>—(CH$_2$)$_i$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$—CR^{4}R^5—(CH$_2$)$_q$—G—R^6
1871	H$_3$CO / HO-phenyl-CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(=O)—(I, H$_2$N-phenyl)
1872	HO / H$_3$CO-phenyl-CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(=O)—(I, H$_2$N-phenyl)
1873	HO-phenyl-CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(=O)—(I, H$_2$N-phenyl)
1874	methylenedioxyphenyl-CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(=O)—(I, H$_2$N-phenyl)
1875	indanyl-CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(=O)—(I, H$_2$N-phenyl)
1876	H$_3$CS-phenyl-CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(=O)—(I, H$_2$N-phenyl)
1877	H$_3$CCH$_2$-phenyl-CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(=O)—(I, H$_2$N-phenyl)
1878	dihydrobenzofuranyl-CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(=O)—(I, H$_2$N-phenyl)
1879	H$_3$C,CH$_3$,H$_3$C-phenyl-CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(=O)—(I, H$_2$N-phenyl)
1880	(CH$_3$)$_2$CH-phenyl-CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(=O)—(I, H$_2$N-phenyl)
1881	(CH$_3$)$_3$C-phenyl-CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(=O)—(I, H$_2$N-phenyl)

Table 1.172

Compd. No.	R¹R²=(CH₂)ₗ– group	k	m	n	chirality	R³	$-(CH_2)_p\overset{R^4}{\underset{R^5}{C}}(CH_2)_q-G-R^6$
1882	Br–⟨C₆H₄⟩–CH₂–	1	2	0	R	H	$-CH_2-N\overset{H}{-}\overset{O}{\overset{\|}{C}}-$ (2-NH₂-5-NO₂ phenyl)
1883	H₃CO–⟨C₆H₄⟩–CH₂–	1	2	0	R	H	$-CH_2-N\overset{H}{-}\overset{O}{\overset{\|}{C}}-$ (2-NH₂-5-NO₂ phenyl)
1884	H₃CO, HO–⟨C₆H₃⟩–CH₂–	1	2	0	R	H	$-CH_2-N\overset{H}{-}\overset{O}{\overset{\|}{C}}-$ (2-NH₂-5-NO₂ phenyl)
1885	HO, H₃CO–⟨C₆H₃⟩–CH₂–	1	2	0	R	H	$-CH_2-N\overset{H}{-}\overset{O}{\overset{\|}{C}}-$ (2-NH₂-5-NO₂ phenyl)
1886	HO–⟨C₆H₄⟩–CH₂–	1	2	0	R	H	$-CH_2-N\overset{H}{-}\overset{O}{\overset{\|}{C}}-$ (2-NH₂-5-NO₂ phenyl)
1887	methylenedioxyphenyl–CH₂–	1	2	0	R	H	$-CH_2-N\overset{H}{-}\overset{O}{\overset{\|}{C}}-$ (2-NH₂-5-NO₂ phenyl)
1888	indanyl–CH₂–	1	2	0	R	H	$-CH_2-N\overset{H}{-}\overset{O}{\overset{\|}{C}}-$ (2-NH₂-5-NO₂ phenyl)
1889	H₃CS–⟨C₆H₄⟩–CH₂–	1	2	0	R	H	$-CH_2-N\overset{H}{-}\overset{O}{\overset{\|}{C}}-$ (2-NH₂-5-NO₂ phenyl)
1890	H₃CCH₂–⟨C₆H₄⟩–CH₂–	1	2	0	R	H	$-CH_2-N\overset{H}{-}\overset{O}{\overset{\|}{C}}-$ (2-NH₂-5-NO₂ phenyl)
1891	dihydrobenzofuranyl–CH₂–	1	2	0	R	H	$-CH_2-N\overset{H}{-}\overset{O}{\overset{\|}{C}}-$ (2-NH₂-5-NO₂ phenyl)
1892	H₃C, CH₃, H₃C–⟨C₆H₃⟩–CH₂–	1	2	0	R	H	$-CH_2-N\overset{H}{-}\overset{O}{\overset{\|}{C}}-$ (2-NH₂-5-NO₂ phenyl)

Table 1.173

Compd. No.	R^1 R^2 $>$CH$-$(CH$_2$)$_j-$	k	m	n	chirality	R^3	$-$(CH$_2$)$_p$$\overset{R^4}{\underset{R^5}{C}}$(CH$_2$)$_q$$-G-$R^6
1893	H$_3$C, CH$_3$, H$_3$C (trimethyl phenyl)$-$CH$_2-$	1	2	0	R	H	$-$CH$_2-$N$-$C(=O)$-$ (NO$_2$, H$_2$N phenyl)
1894	(CH$_3$)$_2$CH$-$(phenyl)$-$CH$_2-$	1	2	0	R	H	$-$CH$_2-$N$-$C(=O)$-$ (NO$_2$, H$_2$N phenyl)
1895	(CH$_3$)$_3$C$-$(phenyl)$-$CH$_2-$	1	2	0	R	H	$-$CH$_2-$N$-$C(=O)$-$ (NO$_2$, H$_2$N phenyl)
1896	HO, H$_3$CO (phenyl)$-$CH$_2-$	1	2	0	R	H	$-$CH$_2-$N$-$C(=O)$-$ (OCF$_3$, H$_2$N phenyl)
1897	H$_3$CS$-$(phenyl)$-$CH$_2-$	1	2	0	R	H	$-$CH$_2-$N$-$C(=O)$-$ (OCF$_3$, H$_2$N phenyl)
1898	H$_3$CCH$_2-$(phenyl)$-$CH$_2-$	1	2	0	R	H	$-$CH$_2-$N$-$C(=O)$-$ (OCF$_3$, H$_2$N phenyl)
1899	(CH$_3$)$_2$CH$-$(phenyl)$-$CH$_2-$	1	2	0	R	H	$-$CH$_2-$N$-$C(=O)$-$ (OCF$_3$, H$_2$N phenyl)
1900	H$_3$CO, HO (phenyl)$-$CH$_2-$	1	2	0	R	H	$-$CH$_2-$N$-$C(=O)$-$ (OCF$_3$, H$_2$N phenyl)
1901	H$_3$C(CH$_2$)$_2-$(phenyl)$-$CH$_2-$	1	2	0	R	H	$-$CH$_2-$N$-$C(=O)$-$ (OCF$_3$, H$_2$N phenyl)
1902	(dihydrobenzofuranyl)$-$CH$_2-$	1	2	0	R	H	$-$CH$_2-$N$-$C(=O)$-$ (OCF$_3$, H$_2$N phenyl)
1903	(CH$_3$)$_2$CH$-$(phenyl)$-$CH$_2-$	2	2	1	-	H	$-$CH$_2-$N$-$C(=O)$-$ (OCF$_3$, H$_2$N phenyl)

Table 1.174

Compd. No.	R^1,R^2—(CH$_2$)$_l$—	k	m	n	chirality	R^3	—(CH$_2$)$_o$—C(R^4)(R^5)—(CH$_2$)$_q$—G—R^6
1904	H$_5$C(CH$_3$)$_3$—〈phenyl〉—CH$_2$—	2	2	1	–	H	—CH$_2$—N(H)—C(=O)—〈phenyl, OCF$_3$, H$_2$N〉
1905	Cl,Cl—〈phenyl〉—CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(=O)—〈phenyl, OCF$_3$, H$_2$N〉
1906	〈benzodioxole〉—CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(=O)—〈phenyl, OCF$_3$, H$_2$N〉
1907	HO—〈phenyl〉—CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(=O)—〈phenyl, OCF$_3$, H$_2$N〉
1908	H$_3$CO—〈phenyl〉—CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(=O)—〈phenyl, OCF$_3$, H$_2$N〉
1909	H$_2$C=CH—〈phenyl〉—CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(=O)—〈phenyl, OCF$_3$, H$_2$N〉
1910	Br—〈phenyl〉—CH$_2$—	2	2	1	–	H	—CH$_2$—N(H)—C(=O)—〈phenyl, OCF$_3$, H$_2$N〉
1911	Cl,Cl—〈phenyl〉—CH$_2$—	2	2	1	–	H	—CH$_2$—N(H)—C(=O)—〈phenyl, OCF$_3$, H$_2$N〉
1912	HO—〈phenyl〉—CH$_2$—	2	2	1	–	H	—CH$_2$—N(H)—C(=O)—〈phenyl, OCF$_3$, H$_2$N〉
1913	H$_3$C,CH$_3$—〈phenyl〉—CH$_2$—	2	2	1	–	H	—CH$_2$—N(H)—C(=O)—〈phenyl, OCF$_3$, H$_2$N〉
1914	H$_3$C—〈phenyl〉—CH$_2$—	2	2	1	–	H	—CH$_2$—N(H)—C(=O)—〈phenyl, OCF$_3$, H$_2$N〉

Table 1.175

Compd. No.	R^1,R^2-(CH$_2$)$_l$-	k	m	n	chirality	R^3	-(CH$_2$)$_p$-R^4,R^5-(CH$_2$)$_q$-G-R^6
1915	H$_3$CCH$_2$O-, HO-, C$_6$H$_3$-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-C(O)-C$_6$H$_3$(OCF$_3$)(NH$_2$)
1916	H$_3$C-, HO-, C$_6$H$_3$-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-C(O)-C$_6$H$_3$(OCF$_3$)(NH$_2$)
1917	H$_3$CCH$_2$O-, HO-, C$_6$H$_3$-CH$_2$-	2	2	1	-	H	-CH$_2$-NH-C(O)-C$_6$H$_3$(OCF$_3$)(NH$_2$)
1918	H$_3$C-, HO-, C$_6$H$_3$-CH$_2$-	2	2	1	-	H	-CH$_2$-NH-C(O)-C$_6$H$_3$(OCF$_3$)(NH$_2$)
1919	Cl-, NH$_2$-, C$_6$H$_3$-CH$_2$-	2	2	1	-	H	-CH$_2$-NH-C(O)-C$_6$H$_3$(CF$_3$)(NH$_2$)
1920	Cl-, NH$_2$-, C$_6$H$_3$-CH$_2$-	2	2	1	-	H	-CH$_2$-NH-C(O)-C$_6$H$_2$(F)(F)(NH$_2$)
1921	Cl-, NH$_2$-, C$_6$H$_3$-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-C(O)-C$_6$H$_3$(OCF$_3$)(NH$_2$)
1922	Cl-, NH$_2$-, C$_6$H$_3$-CH$_2$-	2	2	1	-	H	-CH$_2$-NH-C(O)-C$_6$H$_3$(OCF$_3$)(NH$_2$)
1923	Br-C$_6$H$_4$-CH$_2$-	2	2	1	-	H	-CH$_2$-NH-C(O)-C$_6$H$_4$(SCF$_3$)
1924	H$_3$CO-C$_6$H$_4$-CH$_2$-	2	2	1	-	H	-CH$_2$-NH-C(O)-C$_6$H$_4$(SCF$_3$)
1925	F-C$_6$H$_4$-CH$_2$-	2	2	1	-	H	-CH$_2$-NH-C(O)-C$_6$H$_4$(SCF$_3$)

Table 1.176

Compd. No.	R^1 R^2 $\rangle$(CH$_2$)$_l$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$$\stackrel{R^4}{\underset{R^5}{\mid}}$(CH$_2$)$_q$G–R^6
1926	F, F –CH$_2$–	2	2	1	-	H	—CH$_2$–N$\overset{O}{\underset{H}{-}}$C– (SCF$_3$)
1927	HO—⬡—CH$_2$–	2	2	1	-	H	—CH$_2$–N$\overset{O}{\underset{H}{-}}$C– (SCF$_3$)
1928	⬡(O-O)—CH$_2$–	2	2	1	-	H	—CH$_2$–N$\overset{O}{\underset{H}{-}}$C– (SCF$_3$)
1929	⬡—CH$_2$–	2	2	1	-	H	—CH$_2$–N$\overset{O}{\underset{H}{-}}$C– (SCF$_3$)
1930	H$_3$CS—⬡—CH$_2$–	2	2	1	-	H	—CH$_2$–N$\overset{O}{\underset{H}{-}}$C– (SCF$_3$)
1931	H$_3$CCH$_2$—⬡—CH$_2$–	2	2	1	-	H	—CH$_2$–N$\overset{O}{\underset{H}{-}}$C– (SCF$_3$)
1932	⬡(O)—CH$_2$–	2	2	1	-	H	—CH$_2$–N$\overset{O}{\underset{H}{-}}$C– (SCF$_3$)
1933	H$_3$C, CH$_3$ —CH$_2$–	2	2	1	-	H	—CH$_2$–N$\overset{O}{\underset{H}{-}}$C– (SCF$_3$)
1934	H$_3$C, CH$_3$, H$_3$C —CH$_2$–	2	2	1	-	H	—CH$_2$–N$\overset{O}{\underset{H}{-}}$C– (SCF$_3$)
1935	O$_2$N—⬡—CH$_2$–	2	2	1	-	H	—CH$_2$–N$\overset{O}{\underset{H}{-}}$C– (SCF$_3$)
1936	H$_3$C—⬡—CH$_2$–	2	2	1	-	H	—CH$_2$–N$\overset{O}{\underset{H}{-}}$C– (SCF$_3$)

Table 1.177

Compd. No.	R¹R²>(CH₂)ₗ	k	m	n	chirality	R³	$-(CH_2)_p$\<R⁴R⁵>$(CH_2)_q$-G-R⁵
1937	(CH₃)₂CH–⟨C₆H₄⟩–CH₂–	2	2	1	-	H	–CH₂–NH–CO–⟨C₆H₄⟩–SCF₃
1938	Br–⟨C₆H₄⟩–CH₂–	2	2	1	-	H	–CH₂–NH–CO–⟨C₆H₃⟩(Br)(CH₃)
1939	H₃CO–⟨C₆H₄⟩–CH₂–	2	2	1	-	H	–CH₂–NH–CO–⟨C₆H₃⟩(Br)(CH₃)
1940	F–⟨C₆H₄⟩–CH₂–	2	2	1	-	H	–CH₂–NH–CO–⟨C₆H₃⟩(Br)(CH₃)
1941	F,F–⟨C₆H₃⟩–CH₂–	2	2	1	-	H	–CH₂–NH–CO–⟨C₆H₃⟩(Br)(CH₃)
1942	HO–⟨C₆H₄⟩–CH₂–	2	2	1	-	H	–CH₂–NH–CO–⟨C₆H₃⟩(Br)(CH₃)
1943	(methylenedioxyphenyl)–CH₂–	2	2	1	-	H	–CH₂–NH–CO–⟨C₆H₃⟩(Br)(CH₃)
1944	(indanyl)–CH₂–	2	2	1	-	H	–CH₂–NH–CO–⟨C₆H₃⟩(Br)(CH₃)
1945	H₃CS–⟨C₆H₄⟩–CH₂–	2	2	1	-	H	–CH₂–NH–CO–⟨C₆H₃⟩(Br)(CH₃)
1946	H₃CCH₂–⟨C₆H₄⟩–CH₂–	2	2	1	-	H	–CH₂–NH–CO–⟨C₆H₃⟩(Br)(CH₃)
1947	(2,3-dihydrobenzofuranyl)–CH₂–	2	2	1	-	H	–CH₂–NH–CO–⟨C₆H₃⟩(Br)(CH₃)

Table 1.178

Compd. No.	R^1 R^2 $>$ (CH$_2$)—	k	m	n	chirality	R^3	$-(CH_2)_p$ $<$ R^4 R^5 $-(CH_2)_q$ $-G-R^6$
1948	H$_3$C—(2,4-(CH$_3$)$_2$C$_6$H$_3$)—CH$_2$—	2	2	1	-	H	—CH$_2$—N(H)—C(O)—(3-Br-4-CH$_3$-C$_6$H$_3$)
1949	H$_3$C—(2,4,5-(CH$_3$)$_3$C$_6$H$_2$)—CH$_2$—	2	2	1	-	H	—CH$_2$—N(H)—C(O)—(3-Br-4-CH$_3$-C$_6$H$_3$)
1950	O$_2$N—C$_6$H$_4$—CH$_2$—	2	2	1	-	H	—CH$_2$—N(H)—C(O)—(3-Br-4-CH$_3$-C$_6$H$_3$)
1951	H$_3$C—C$_6$H$_4$—CH$_2$—	2	2	1	-	H	—CH$_2$—N(H)—C(O)—(3-Br-4-CH$_3$-C$_6$H$_3$)
1952	Br—C$_6$H$_4$—CH$_2$—	2	2	1	-	H	—CH$_2$—N(H)—C(O)—(3-Br-4-F-C$_6$H$_3$)
1953	H$_3$CO—C$_6$H$_4$—CH$_2$—	2	2	1	-	H	—CH$_2$—N(H)—C(O)—(3-Br-4-F-C$_6$H$_3$)
1954	F—C$_6$H$_4$—CH$_2$—	2	2	1	-	H	—CH$_2$—N(H)—C(O)—(3-Br-4-F-C$_6$H$_3$)
1955	F,F—C$_6$H$_3$—CH$_2$—	2	2	1	-	H	—CH$_2$—N(H)—C(O)—(3-Br-4-F-C$_6$H$_3$)
1956	HO—C$_6$H$_4$—CH$_2$—	2	2	1	-	H	—CH$_2$—N(H)—C(O)—(3-Br-4-F-C$_6$H$_3$)
1957	(methylenedioxyphenyl)—CH$_2$—	2	2	1	-	H	—CH$_2$—N(H)—C(O)—(3-Br-4-F-C$_6$H$_3$)
1958	(indanyl)—CH$_2$—	2	2	1	-	H	—CH$_2$—N(H)—C(O)—(3-Br-4-F-C$_6$H$_3$)

Table 1.179

Compd. No.	$R^1 R^2$>CH—$(CH_2)_l$—	k	m	n	chirality	R^3	—$(CH_2)_p$C$R^4 R^5$$(CH_2)_q$—G—$R^6$
1959	H_3CS—⟨C₆H₄⟩—CH_2—	2	2	1	-	H	—CH_2—N(H)—C(=O)—⟨C₆H₃(Br)(F)⟩
1960	H_3CCH_2—⟨C₆H₄⟩—CH_2—	2	2	1	-	H	—CH_2—N(H)—C(=O)—⟨C₆H₃(Br)(F)⟩
1961	(2,3-dihydrobenzofuran-5-yl)—CH_2—	2	2	1	-	H	—CH_2—N(H)—C(=O)—⟨C₆H₃(Br)(F)⟩
1962	H_3C—⟨C₆H₃(CH_3)⟩—CH_2—	2	2	1	-	H	—CH_2—N(H)—C(=O)—⟨C₆H₃(Br)(F)⟩
1963	H_3C,H_3C—⟨C₆H₂(CH_3)⟩—CH_2—	2	2	1	-	H	—CH_2—N(H)—C(=O)—⟨C₆H₃(Br)(F)⟩
1964	O_2N—⟨C₆H₄⟩—CH_2—	2	2	1	-	H	—CH_2—N(H)—C(=O)—⟨C₆H₃(Br)(F)⟩
1965	H_3C—⟨C₆H₄⟩—CH_2—	2	2	1	-	H	—CH_2—N(H)—C(=O)—⟨C₆H₃(Br)(F)⟩
1966	$(CH_3)_2CH$—⟨C₆H₄⟩—CH_2—	2	2	1	-	H	—CH_2—N(H)—C(=O)—⟨C₆H₃(Br)(F)⟩
1967	Br—⟨C₆H₄⟩—CH_2—	2	2	1	-	H	—CH_2—N(H)—C(=O)—⟨C₆H₃(F)(H_2N)⟩
1968	H_3CO—⟨C₆H₄⟩—CH_2—	2	2	1	-	H	—CH_2—N(H)—C(=O)—⟨C₆H₃(F)(H_2N)⟩
1969	HO—⟨C₆H₄⟩—CH_2—	2	2	1	-	H	—CH_2—N(H)—C(=O)—⟨C₆H₃(F)(H_2N)⟩

Table 1.180

Compd. No.	R^1 R^2>(CH$_2$)$_l$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$CR^{4}R^5(CH$_2$)$_q$-G-R^6
1970	(benzodioxole)—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(O)—(2-amino-5-fluorophenyl)
1971	(indanyl)—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(O)—(2-amino-5-fluorophenyl)
1972	H$_3$CS—(C$_6$H$_4$)—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(O)—(2-amino-5-fluorophenyl)
1973	H$_3$CCH$_2$—(C$_6$H$_4$)—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(O)—(2-amino-5-fluorophenyl)
1974	H$_3$C,CH$_3$-(C$_6$H$_3$)—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(O)—(2-amino-5-fluorophenyl)
1975	O$_2$N—(C$_6$H$_4$)—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(O)—(2-amino-5-fluorophenyl)
1976	H$_3$C—(C$_6$H$_4$)—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(O)—(2-amino-5-fluorophenyl)
1977	NC—(C$_6$H$_4$)—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(O)—(2-amino-5-fluorophenyl)
1978	(CH$_3$)$_2$CH—(C$_6$H$_4$)—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(O)—(2-amino-5-fluorophenyl)
1979	(indanyl)—CH$_2$—	2	2	1	-	H	—CH$_2$—CH$_2$—NH—C(O)—(2-amino-4,5-difluorophenyl)
1980	(benzofuranyl)—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(O)—(2-amino-4,5-difluorophenyl)

Table 1.181

Compd. No.	R^1R^2>C<(CH$_2$)$_l$–	k	m	n	chirality	R^3	–(CH$_2$)$_p$–CR^{4}R^5–(CH$_2$)$_q$–G–R^6
1981	O$_2$N–⟨C$_6$H$_4$⟩–CH$_2$–	2	2	1	–	H	–CH$_2$–N(H)–C(=O)–(2-NH$_2$, 4,5-F$_2$-C$_6$H$_2$)
1982	NC–⟨C$_6$H$_4$⟩–CH$_2$–	2	2	1	–	H	–CH$_2$–N(H)–C(=O)–(2-NH$_2$, 4,5-F$_2$-C$_6$H$_2$)
1983	(CH$_3$)$_2$CH–⟨C$_6$H$_4$⟩–CH$_2$–	2	2	1	–	H	–CH$_2$–N(H)–C(=O)–(2-NH$_2$, 4,5-F$_2$-C$_6$H$_2$)
1984	Br–⟨C$_6$H$_4$⟩–CH$_2$–	2	2	1	–	H	–CH$_2$–N(H)–C(=O)–(2-NH$_2$, 5-I-C$_6$H$_3$)
1985	H$_3$CO–⟨C$_6$H$_4$⟩–CH$_2$–	2	2	1	–	H	–CH$_2$–N(H)–C(=O)–(2-NH$_2$, 5-I-C$_6$H$_3$)
1986	HO–⟨C$_6$H$_4$⟩–CH$_2$–	2	2	1	–	H	–CH$_2$–N(H)–C(=O)–(2-NH$_2$, 5-I-C$_6$H$_3$)
1987	(3,4-methylenedioxyphenyl)–CH$_2$–	2	2	1	–	H	–CH$_2$–N(H)–C(=O)–(2-NH$_2$, 5-I-C$_6$H$_3$)
1988	(indanyl)–CH$_2$–	2	2	1	–	H	–CH$_2$–N(H)–C(=O)–(2-NH$_2$, 5-I-C$_6$H$_3$)
1989	H$_3$CS–⟨C$_6$H$_4$⟩–CH$_2$–	2	2	1	–	H	–CH$_2$–N(H)–C(=O)–(2-NH$_2$, 5-I-C$_6$H$_3$)
1990	H$_3$CCH$_2$–⟨C$_6$H$_4$⟩–CH$_2$–	2	2	1	–	H	–CH$_2$–N(H)–C(=O)–(2-NH$_2$, 5-I-C$_6$H$_3$)
1991	(2,3-dihydrobenzofuranyl)–CH$_2$–	2	2	1	–	H	–CH$_2$–N(H)–C(=O)–(2-NH$_2$, 5-I-C$_6$H$_3$)

Table 1.182

Compd. No.	R^1/$R^2$$-$(CH$_2$)$_l$$-$	k	m	n	chirality	R^3	$-$(CH$_2$)$_p$$-$CR^{4}R^5$-$(CH$_2$)$_q$$-G-$R^6
1992	2,4-dimethylbenzyl (CH$_3$, H$_3$C, CH$_2$$-$)	2	2	1	-	H	$-$CH$_2$$-$N(H)$-$C(=O)$-$phenyl(I)(NH$_2$)
1993	O$_2$N$-$C$_6$H$_4$$-CH_2$$-$	2	2	1	-	H	$-$CH$_2$$-$N(H)$-$C(=O)$-$phenyl(I)(NH$_2$)
1994	H$_3$C$-$C$_6$H$_4$$-CH_2$$-$	2	2	1	-	H	$-$CH$_2$$-$N(H)$-$C(=O)$-$phenyl(I)(NH$_2$)
1995	NC$-$C$_6$H$_4$$-CH_2$$-$	2	2	1	-	H	$-$CH$_2$$-$N(H)$-$C(=O)$-$phenyl(I)(NH$_2$)
1996	(CH$_3$)$_2$CH$-$C$_6$H$_4$$-CH_2$$-$	2	2	1	-	H	$-$CH$_2$$-$N(H)$-$C(=O)$-$phenyl(I)(NH$_2$)
1997	2,4,5-trimethylbenzyl (CH$_3$, H$_3$C, CH$_2$$-$, H$_3$C)	2	2	1	-	H	$-$CH$_2$$-$N(H)$-$C(=O)$-$phenyl(I)(NH$_2$)
1998	Br$-$C$_6$H$_4$$-CH_2$$-$	2	2	1	-	H	$-$CH$_2$$-$N(H)$-$C(=O)$-$phenyl(Cl)
1999	H$_3$CO$-$C$_6$H$_4$$-CH_2$$-$	2	2	1	-	H	$-$CH$_2$$-$N(H)$-$C(=O)$-$phenyl(Cl)
2000	F$-$C$_6$H$_4$$-CH_2$$-$	2	2	1	-	H	$-$CH$_2$$-$N(H)$-$C(=O)$-$phenyl(Cl)
2001	HO$-$C$_6$H$_4$$-CH_2$$-$	2	2	1	-	H	$-$CH$_2$$-$N(H)$-$C(=O)$-$phenyl(Cl)
2002	3,4-methylenedioxybenzyl (O-CH$_2$-O ring, CH$_2$$-$)	2	2	1	-	H	$-$CH$_2$$-$N(H)$-$C(=O)$-$phenyl(Cl)

Table 1.183

Compd. No.	R¹ R² —(CH₂)ₗ—	k	m	n	chirality	R³	—(CH₂)ₚ— R⁴ R⁵ —(CH₂)q— G-R⁶
2003	(indane)—CH₂—	2	2	1	-	H	—CH₂—N(H)—C(O)—(3-Cl-phenyl)
2004	H₃CS—⟨⟩—CH₂—	2	2	1	-	H	—CH₂—N(H)—C(O)—(3-Cl-phenyl)
2005	H₃CCH₂—⟨⟩—CH₂—	2	2	1	-	H	—CH₂—N(H)—C(O)—(3-Cl-phenyl)
2006	H₃C, CH₃ —⟨⟩—CH₂—	2	2	1	-	H	—CH₂—N(H)—C(O)—(3-Cl-phenyl)
2007	O₂N—⟨⟩—CH₂—	2	2	1	-	H	—CH₂—N(H)—C(O)—(3-Cl-phenyl)
2008	H₃C—⟨⟩—CH₂—	2	2	1	-	H	—CH₂—N(H)—C(O)—(3-Cl-phenyl)
2009	NC—⟨⟩—CH₂—	2	2	1	-	H	—CH₂—N(H)—C(O)—(3-Cl-phenyl)
2010	(CH₃)₂CH—⟨⟩—CH₂—	2	2	1	-	H	—CH₂—N(H)—C(O)—(3-Cl-phenyl)
2011	H₃C, CH₃, H₃C —⟨⟩—CH₂—	2	2	1	-	H	—CH₂—N(H)—C(O)—(3-Cl-phenyl)
2012	Br—⟨⟩—CH₂—	2	2	1	-	H	—CH₂—N(H)—C(O)—(3-Br-4-Cl-phenyl)
2013	H₃CO—⟨⟩—CH₂—	2	2	1	-	H	—CH₂—N(H)—C(O)—(3-Br-4-Cl-phenyl)

Table 1.184

Compd No.	R^1, R^2 >(CH_2)_l-	k	m	n	chirality	R^a	$-(CH_2)_p C(R^4)(R^5)(CH_2)_q-G-R^6$
2014	HO-〈C6H4〉-CH_2-	2	2	1	-	H	-CH_2-NH-C(O)-〈C6H3(Br)(Cl)〉
2015	〈benzodioxole〉-CH_2-	2	2	1	-	H	-CH_2-NH-C(O)-〈C6H3(Br)(Cl)〉
2016	〈indane〉-CH_2-	2	2	1	-	H	-CH_2-NH-C(O)-〈C6H3(Br)(Cl)〉
2017	H_3CS-〈C6H4〉-CH_2-	2	2	1	-	H	-CH_2-NH-C(O)-〈C6H3(Br)(Cl)〉
2018	H_3CCH_2-〈C6H4〉-CH_2-	2	2	1	-	H	-CH_2-NH-C(O)-〈C6H3(Br)(Cl)〉
2019	〈dihydrobenzofuran〉-CH_2-	2	2	1	-	H	-CH_2-NH-C(O)-〈C6H3(Br)(Cl)〉
2020	H_3C,CH_3-〈C6H3〉-CH_2-	2	2	1	-	H	-CH_2-NH-C(O)-〈C6H3(Br)(Cl)〉
2021	O_2N-〈C6H4〉-CH_2-	2	2	1	-	H	-CH_2-NH-C(O)-〈C6H3(Br)(Cl)〉
2022	H_3C-〈C6H4〉-CH_2-	2	2	1	-	H	-CH_2-NH-C(O)-〈C6H3(Br)(Cl)〉
2023	NC-〈C6H4〉-CH_2-	2	2	1	-	H	-CH_2-NH-C(O)-〈C6H3(Br)(Cl)〉
2024	(CH_3)_2CH-〈C6H4〉-CH_2-	2	2	1	-	H	-CH_2-NH-C(O)-〈C6H3(Br)(Cl)〉

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Table 1.185

Compd. No.	R^1 R^2—(CH$_2$)$_l$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$ R^4/R^5 (CH$_2$)$_q$—G—R^6
2025	2,4,6-trimethylbenzyl	2	2	1	-	H	—CH$_2$-NH-CO- (3-Br-4-Cl-phenyl)
2026	2,4-difluorobenzyl	2	2	1	-	H	—CH$_2$-NH-CO- (3-Br-4-Cl-phenyl)
2027	4-bromobenzyl	2	2	1	-	H	—CH$_2$-NH-CO- (5-Br-2-NH$_2$-phenyl)
2028	4-methoxybenzyl	2	2	1	-	H	—CH$_2$-NH-CO- (5-Br-2-NH$_2$-phenyl)
2029	4-hydroxybenzyl	2	2	1	-	H	—CH$_2$-NH-CO- (5-Br-2-NH$_2$-phenyl)
2030	(1,3-benzodioxol-5-yl)methyl	2	2	1	-	H	—CH$_2$-NH-CO- (5-Br-2-NH$_2$-phenyl)
2031	(indan-5-yl)methyl	2	2	1	-	H	—CH$_2$-NH-CO- (5-Br-2-NH$_2$-phenyl)
2032	(2,3-dihydrobenzofuran-5-yl)methyl	2	2	1	-	H	—CH$_2$-NH-CO- (5-Br-2-NH$_2$-phenyl)
2033	2,4-dimethylbenzyl	2	2	1	-	H	—CH$_2$-NH-CO- (5-Br-2-NH$_2$-phenyl)
2034	4-nitrobenzyl	2	2	1	-	H	—CH$_2$-NH-CO- (5-Br-2-NH$_2$-phenyl)
2035	4-methylbenzyl	2	2	1	-	H	—CH$_2$-NH-CO- (5-Br-2-NH$_2$-phenyl)

Table 1.186

Compd. No.	$R^1\!\!\diagdown\!\!C\!\!<\!\!R^2$—(CH$_2$)$_l$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$—$C(R^4)(R^5)$—(CH$_2$)$_q$—G—R^6
2036	NC—⬡—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(=O)—(aryl, Br, H$_2$N)
2037	(CH$_3$, H$_3$C, H$_3$C)—⬡—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(=O)—(aryl, Br, H$_2$N)
2038	(F, F)—⬡—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(=O)—(aryl, Br, H$_2$N)
2039	H$_3$C—⬡—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(=O)—C(cyclopropyl)(CN)
2040	H$_3$C—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(=O)—CH(OH)—(aryl, OH)
2041	H$_3$C—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(=O)—CH(OCH$_3$)—CH$_2$—⬡
2042	H$_3$C—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(=O)—C(bornyl, H$_3$C,CH$_3$,CH$_3$)
2043	H$_3$C—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(=O)—CH$_2$—(aryl, CH$_3$, CH$_3$)
2044	(CH$_3$, CH$_3$)isoxazole—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(=O)—⬡—O—⬡
2045	(CH$_3$, CH$_3$)isoxazole—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(=O)—⬡—NH—C(=O)—NH—⬡(Cl)
2046	(CH$_3$, CH$_3$)isoxazole—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(=O)—⬡—NH—C(=O)—NH—⬡(CH$_3$)

Table 1.187

Compd. No.	$\begin{smallmatrix}R^1\\R^2\end{smallmatrix}$(CH$_2$)–	k	m	n	chirality	R^3	$-(CH_2)_p\begin{smallmatrix}R^4\\|\\R^5\end{smallmatrix}(CH_2)_q-G-R^6$
2047	3,4,5-trimethylisoxazolyl-CH$_2$–	1	2	0	R	H	(structure)
2048	3,4,5-trimethylisoxazolyl-CH$_2$–	1	2	0	R	H	(structure)
2049	3,4,5-trimethylisoxazolyl-CH$_2$–	1	2	0	R	H	(structure)
2050	5-methylthienyl-CH$_2$–	1	2	0	R	H	(structure)
2051	6-methylpyridyl-CH$_2$–	1	2	0	R	H	(structure)
2052	(Br, OCH$_2$CH$_3$)phenyl-CH$_2$–	2	2	1	-	H	(structure)
2053	(H$_3$CO, CH$_2$O-benzyl)phenyl-CH$_2$–	2	2	1	-	H	(structure)
2054	(H$_3$CO)naphthyl-CH$_2$–	2	2	1	-	H	(structure)
2055	(H$_3$CO, OH)phenyl-CH$_2$–	2	2	1	-	H	(structure)
2056	(Br, F)phenyl-CH$_2$–	2	2	1	-	H	(structure)
2057	(H$_3$CO, Br)phenyl-CH$_2$–	2	2	1	-	H	(structure)

Table 1.188

Compd. No.	R^1 R^2 $>$$CH$—$(CH_2)_i$—	k	m	n	chirality	R^3	—$(CH_2)_p$$\overset{R^4}{\underset{R^5}{C}}$$(CH_2)_q$—G—$R^6$
2058	H₃CO OCH₃ —CH₂—	2	2	1	-	H	—CH₂—NH—C(O)— benzene F, F, H₂N
2059	phenyl—O—phenyl—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)— benzene F, F, H₂N
2060	H₃CO, H₃CO —CH₂—, OCH₃	2	2	1	-	H	—CH₂—NH—C(O)— benzene F, F, H₂N
2061	F CH₃ —CH₂—	2	2	1	-	H	—CH₂—NH—C(O)— benzene F, F, H₂N
2062	H₃CO, F —CH₂—	2	2	1	-	H	—CH₂—NH—C(O)— benzene F, F, H₂N
2063	H₃CO, H₃C, H₃CO —CH₂—	2	2	1	-	H	—CH₂—NH—C(O)— benzene F, F, H₂N
2064	Br F —CH₂—	2	2	1	-	H	—CH₂—NH—C(O)— benzene F, F, H₂N
2065	H₃CCH₂O, H₃CCH₂O —CH₂—	2	2	1	-	H	—CH₂—NH—C(O)— benzene F, F, H₂N
2066	OCH₂phenyl —CH₂—	2	2	1	-	H	—CH₂—NH—C(O)— benzene F, F, H₂N
2067	(H₃C)₂CHCH₂—phenyl—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)— benzene F, F, H₂N
2068	Cl F —CH₂—	2	2	1	-	H	—CH₂—NH—C(O)— benzene F, F, H₂N

Table 1.189

Compd. No.	R^1 / R^2 $-(CH_2)_l-$	k	m	n	chirality	R^3	$-(CH_2)_p-\!\!\begin{smallmatrix}R^4\\R^5\end{smallmatrix}\!\!-(CH_2)_q-G-R^6$
2069	H_3C, H_3CO-benzene-CH_2-	2	2	1	-	H	$-CH_2-N(H)-C(O)-$ benzene (NH_2, F, F)
2070	Br, OCH_3-benzene-CH_2-	2	2	1	-	H	$-CH_2-N(H)-C(O)-$ benzene (NH_2, F, F)
2071	H_3CO, OCH_3-benzene-CH_2-	2	2	1	-	H	$-CH_2-N(H)-C(O)-$ benzene (NH_2, F, F)
2072	$(H_3C)_2CHO-$benzene$-CH_2-$	2	2	1	-	H	$-CH_2-N(H)-C(O)-$ benzene (NH_2, F, F)
2073	benzene$-CH_2O-$benzene$-CH_2-$	2	2	1	-	H	$-CH_2-N(H)-C(O)-$ benzene (NH_2, F, F)
2074	H_3CO-benzene$-O-$benzene$-CH_2-$	2	2	1	-	H	$-CH_2-N(H)-C(O)-$ benzene (NH_2, F, F)
2075	H_3CO, F-benzene-CH_2-	2	2	1	-	H	$-CH_2-N(H)-C(O)-$ benzene (NH_2, F, F)
2076	F, F-benzene-CH_2-	2	2	1	-	H	$-CH_2-N(H)-C(O)-$ benzene (NH_2, F, F)
2077	Cl, OH-benzene-CH_2-	2	2	1	-	H	$-CH_2-N(H)-C(O)-$ benzene (NH_2, F, F)
2078	H_3CCH_2O, OH-benzene-CH_2-	2	2	1	-	H	$-CH_2-N(H)-C(O)-$ benzene (NH_2, F, F)
2079	benzene$-CH_2O$, H_3CO-benzene-CH_2-	2	2	1	-	H	$-CH_2-N(H)-C(O)-$ benzene (NH_2, F, F)

Table 1.190

Compd. No.	R^1 $R^2$$-(CH_2)_l-$	k	m	n	chirality	R^3	$-(CH_2)_p-\overset{R^4}{\underset{R^5}{|}}-(CH_2)_q-G-R^6$
2080	(3,4-dimethoxy-substituted benzyl, H_3CO, CH_2O-) $-CH_2-$	2	2	1	-	H	$-CH_2-\overset{O}{\overset{\|}{N}}\overset{}{H}-C-$ (3,4-difluoro, H_2N)
2081	(2-Cl, 4-HO benzyl) $-CH_2-$	2	2	1	-	H	$-CH_2-N\overset{O}{\overset{\|}{-}}C-$ (3,4-difluoro, H_2N)
2082	(H_3CO, OH benzyl) $-CH_2-$	2	2	1	-	H	$-CH_2-\overset{O}{\overset{\|}{N}}H-C-$ (3,4-difluoro, H_2N)
2083	(H_3CO, HO, Br benzyl) $-CH_2-$	1	2	0	R	H	$-CH_2-N\overset{O}{\overset{\|}{H}}-C-$ (CF_3, H_2N)
2084	(H_3CO, HO, H_3CO benzyl) $-CH_2-$	1	2	0	R	H	$-CH_2-N\overset{O}{\overset{\|}{H}}-C-$ (CF_3, H_2N)
2085	(OH, H_3CO benzyl) $-CH_2-$	1	2	0	R	H	$-CH_2-N\overset{O}{\overset{\|}{H}}-C-$ (CF_3, H_2N)
2086	(2-Cl, HO benzyl) $-CH_2-$	1	2	0	R	H	$-CH_2-N\overset{O}{\overset{\|}{H}}-C-$ (CF_3, H_2N)
2087	$(H_3C)_2N-$⬡$-CH_2-$	1	2	0	R	H	$-CH_2-N\overset{O}{\overset{\|}{H}}-C-$ (CF_3, H_2N)
2088	$(H_3CCH_2)_2N-$⬡$-CH_2-$	1	2	0	R	H	$-CH_2-N\overset{O}{\overset{\|}{H}}-C-$ (CF_3, H_2N)
2089	(2,4-difluoro benzyl, F, F) $-CH_2-$	1	2	0	R	H	$-CH_2-N\overset{O}{\overset{\|}{H}}-C-$ (CF_3, H_2N)
2090	⬡$-O-$⬡$-CH_2-$	1	2	0	R	H	$-CH_2-N\overset{O}{\overset{\|}{H}}-C-$ (CF_3, H_2N)

Table 1.191

Compd. No.	R¹/R²–(CH₂)ⱼ–	k	m	n	chirality	R³	–(CH₂)ₚ–R⁴/R⁵(CH₂)q–G–R⁶
2091	Cl–⟨⟩–CH₂–	2	2	1	–	H	(R) –CH–N–C—⟨⟩–OCH₂CH₃ ; CH₂–
2092	Cl–⟨⟩–CH₂–	2	2	1	–	H	(R) –CH–N–C—⟨⟩–OCH₂CH₃ ; CH₂– (indole)
2093	Cl–⟨⟩–CH₂–	2	2	1	–	H	(R) –CH–N–C—⟨⟩–OCH₂CH₃ ; CH₂CH₂SCH₃
2094	Cl–⟨⟩–CH₂–	2	2	1	–	H	(R) –CH–N–C—⟨⟩–OCH₂CH₃ ; CH₂ (thiophene)
2095	Cl–⟨⟩–CH₂–	2	2	1	–	H	(R) –CH–N–C—⟨⟩–OCH₂CH₃ ; C(CH₃)₃
2096	Cl–⟨⟩–CH₂–	2	2	1	–	H	(R) –CH–N–C—⟨⟩–OCH₂CH₃ ; CH₂ (cyclohexyl)
2097	Cl–⟨⟩–CH₂–	2	2	1	–	H	(R) –CH–N–C—⟨⟩–OCH₂CH₃ ; CH₂CH₂CH₃
2098	Cl–⟨⟩–CH₂–	2	2	1	–	H	(R) –CH–N–C—⟨⟩–OCH₂CH₃ ; CH₂–⟨⟩–Cl
2099	Cl–⟨⟩–CH₂–	2	2	1	–	H	( ) –CH–N–C—⟨⟩–OCH₂CH₃ ; (phenyl)
2100	Cl–⟨⟩–CH₂–	2	2	1	–	H	(R) –CH–N–C—⟨⟩–OCH₂CH₃ ; CH₂–⟨⟩–OCH₃
2101	Cl–⟨⟩–CH₂–	2	2	1	–	H	(R) –CH–N–C—⟨⟩–OCH₂CH₃ ; CH₂–⟨⟩–OCH₂–⟨⟩

Table 1.192

Compd. No.	R^1 / R^2 —(CH$_2$)$_l$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$—$\overset{R^4}{\underset{R^5}{C}}$—(CH$_2$)$_q$—G—$R^6$
2102	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	(CH$_2$)—N—C—⟨⟩—OCH$_2$CH$_3$; CH$_2$CH$_2$—C—O—CH$_2$—⟨⟩
2103	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	—(CH)—NH—C—⟨⟩—OCH$_2$CH$_3$; H$_3$C—CHOCH$_2$—⟨⟩ R
2104	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	—CH—N—C—⟨⟩—OCH$_2$CH$_3$; CH$_2$CH$_2$—C—O—CH$_3$ R
2105	H$_3$CO / OH —⟨⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—N—C—⟨⟩(F,F); H$_2$N
2106	H$_3$C / OH —⟨⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—N—C—⟨⟩(F,F); H$_2$N
2107	Br—⟨S⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—N—C—⟨⟩(F,F); H$_2$N
2108	⟨S⟩CH$_3$—CH$_2$—	2	2	1	-	H	—CH$_2$—N—C—⟨⟩(F,F); H$_2$N
2109	Br—⟨O⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—N—C—⟨⟩(F,F); H$_2$N
2110	H$_3$CCH$_2$—⟨O⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—N—C—⟨⟩(F,F); H$_2$N
2111	F / Cl—⟨⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—N—C—⟨⟩(F,F); H$_2$N
2112	Br / H$_3$CO—⟨⟩—CH$_2$—; H$_3$CO	2	2	1	-	H	—CH$_2$—N—C—⟨⟩(F,F); H$_2$N

Table 1.193

Compd. No.	$\begin{matrix} R^1 \\ \quad \diagdown \\ \quad CH-(CH_2)_l- \\ \quad \diagup \\ R^2 \end{matrix}$	k	m	n	chirality	R^3	$-(CH_2)_p \overset{R^4}{\underset{R^5}{C}} (CH_2)_q G-R^6$
2113	H_3CO / H_2N phenyl $-CH_2-$	2	2	1	-	H	$-CH_2-N\overset{H}{\underset{}{}}-\overset{O}{\underset{}{C}}-$ benzamide, F, F, H_2N
2114	H_3C / H_2N phenyl $-CH_2-$	2	2	1	-	H	$-CH_2-N\overset{H}{\underset{}{}}-\overset{O}{\underset{}{C}}-$ benzamide, F, F, H_2N
2115	Cl—phenyl—CH_2-	2	2	1	-	H	$\overset{(R)}{-CH-N\overset{H}{}-\overset{O}{C}-}$ / $CH(CH_3)_2$, OCH_2CH_3
2116	Cl—phenyl—CH_2-	2	2	1	-	H	$\overset{(R)}{-CH-N\overset{H}{}-\overset{O}{C}-}$ / $CH(CH_3)CH_2CH_3$, OCH_2CH_3
2117	Cl—phenyl—CH_2-	2	2	1	-	H	$\overset{(}{-CH-N\overset{H}{}-\overset{O}{C}-}$ / CH_2, NH, OCH_2CH_3
2118	HO / HO phenyl $-CH_2-$	1	2	0	R	H	$-CH_2-N\overset{H}{}-\overset{O}{C}-$ benzamide, CF_3, H_2N
2119	HO / OH phenyl $-CH_2-$	1	2	0	R	H	$-CH_2-N\overset{H}{}-\overset{O}{C}-$ benzamide, CF_3, H_2N
2120	Br / F phenyl $-CH_2-$	1	2	0	R	H	$-CH_2-N\overset{H}{}-\overset{O}{C}-$ benzamide, CF_3, H_2N
2121	HO / OCH_3 phenyl $-CH_2-$	1	2	0	R	H	$-CH_2-N\overset{H}{}-\overset{O}{C}-$ benzamide, CF_3, H_2N
2122	Cl / F phenyl $-CH_2-$	1	2	0	R	H	$-CH_2-N\overset{H}{}-\overset{O}{C}-$ benzamide, CF_3, H_2N
2123	benzodioxole / NO_2 $-CH_2-$	1	2	0	R	H	$-CH_2-N\overset{H}{}-\overset{O}{C}-$ benzamide, CF_3, H_2N

Table 1.194

Compd. No.	R¹ R² (CH₂)ₗ—	k	m	n	chirality	R³	—(CH₂)ₚ R⁴ R⁵ (CH₂)q—G—R⁶
2124	O₂N, Cl — benzene —CH₂—	1	2	0	R	H	—CH₂—NH—C(O)— benzene(CF₃)(NH₂)
2125	O₂N, H₃CO — benzene —CH₂—	1	2	0	R	H	—CH₂—NH—C(O)— benzene(CF₃)(NH₂)
2126	O₂N, H₃C — benzene —CH₂—	1	2	0	R	H	—CH₂—NH—C(O)— benzene(CF₃)(NH₂)
2127	O—O(methylenedioxy), NH₂ — benzene —CH₂—	1	2	0	R	H	—CH₂—NH—C(O)— benzene(CF₃)(NH₂)
2128	H₂N, H₃CO — benzene —CH₂—	1	2	0	R	H	—CH₂—NH—C(O)— benzene(CF₃)(NH₂)
2129	H₂N, H₃C — benzene —CH₂—	1	2	0	R	H	—CH₂—NH—C(O)— benzene(CF₃)(NH₂)
2130	benzoxazole —CH₂—	2	2	1	-	H	—CH₂—NH—C(O)— benzene(F)(F)(NH₂)
2131	isoxazole(CH₃)(CH₃) —CH₂—	2	2	1	-	H	—CH₂—NH—C(O)— benzene(F)(F)(NH₂)
2132	H₂N, Cl — benzene —CH₂—	1	2	0	R	H	—CH₂—NH—C(O)— benzene(CF₃)(NH₂)
2133	(H₃C)₂N, Cl — benzene —CH₂—	1	2	0	R	H	—CH₂—NH—C(O)— benzene(CF₃)(NH₂)
2134	O—O(methylenedioxy), N(CH₃)₂ — benzene —CH₂—	1	2	0	R	H	—CH₂—NH—C(O)— benzene(CF₃)(NH₂)

Table 1.195

Compd. No.	$\begin{array}{c}R^1\\R^2\end{array}$ CH$-$(CH$_2$)$-$	k	m	n	chirality	R^3	$-$(CH$_2$)$\frac{R^4}{p}$$\frac{}{R^5}$(CH$_2$)$_qG-$R^6
2135	(H$_3$C)$_2$N, H$_3$CO benzyl $-$CH$_2-$	1	2	0	R	H	$-$CH$_2-$N$\overset{O}{-}$C benzamide CF$_3$, H$_2$N
2136	(H$_3$C)$_2$N, H$_3$C benzyl $-$CH$_2-$	1	2	0	R	H	$-$CH$_2-$N$\overset{O}{-}$C benzamide CF$_3$, H$_2$N
2137	Cl, CH$_3$ benzyl $-$CH$_2-$	1	2	0	R	H	$-$CH$_2-$N$\overset{O}{-}$C benzamide CF$_3$, H$_2$N
2138	phenyl pyrazole CH$_3$ $-$CH$_2-$	1	2	0	R	H	$-$CH$_2-$N$\overset{O}{-}$C benzamide CF$_3$, H$_2$N
2139	H$_3$C, Cl pyrazole CH$_3$ $-$CH$_2-$	1	2	0	R	H	$-$CH$_2-$N$\overset{O}{-}$C benzamide CF$_3$, H$_2$N
2140	benzodioxole NH$_2$ $-$CH$_2-$	2	2	1	-	H	$-$CH$_2-$N$\overset{O}{-}$C benzamide F, F, H$_2$N
2141	H$_2$N, HO benzyl $-$CH$_2-$	2	2	1	-	H	$-$CH$_2-$N$\overset{O}{-}$C benzamide F, F, H$_2$N
2142	H$_2$N, Cl benzyl $-$CH$_2-$	2	2	1	-	H	$-$CH$_2-$N$\overset{O}{-}$C benzamide F, F, H$_2$N
2143	benzodioxole HN$-$C(O)$-$CH$_3$ $-$CH$_2-$	2	2	1	-	H	$-$CH$_2-$N$\overset{O}{-}$C benzamide F, F, H$_2$N
2144	H$_2$N, H$_3$CO benzyl $-$CH$_2-$	2	2	1	-	H	$-$CH$_2-$N$\overset{O}{-}$C benzamide CF$_3$, H$_2$N
2145	H$_2$N, HO benzyl $-$CH$_2-$	2	2	1	-	H	$-$CH$_2-$N$\overset{O}{-}$C benzamide CF$_3$, H$_2$N

Table 1.196

Compd. No	$R^1 R^2$ CH—$(CH_2)_j$—	k	m	n	chirality	R^3	—$(CH_2)_p$—C(R^4)(R^5)—$(CH_2)_q$—G—R^6
2146	methylenedioxyphenyl–CH$_2$–, NH$_2$	2	2	1	-	H	–CH$_2$–NH–C(O)– benzene–CF$_3$, NH$_2$
2147	H$_3$C–C(O)–NH, H$_3$CO–, –CH$_2$–	2	2	1	-	H	–CH$_2$–NH–C(O)– benzene–F, F, NH$_2$
2148	H$_3$C–C(O)–NH, HO–, –CH$_2$–	2	2	1	-	H	–CH$_2$–NH–C(O)– benzene–F, F, NH$_2$
2149	O$_2$N, HO–, –CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(O)– benzene–CF$_3$, NH$_2$
2150	H$_3$C–C(O)–NH, Cl–, –CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(O)– benzene–CF$_3$, NH$_2$
2151	methylenedioxyphenyl–CH$_2$–, HN–C(O)–CH$_3$	1	2	0	R	H	–CH$_2$–NH–C(O)– benzene–CF$_3$, NH$_2$
2152	H$_3$C–C(O)–NH, H$_3$CO–, –CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(O)– benzene–CF$_3$, NH$_2$
2153	H$_3$C–C(O)–NH, H$_3$C–, –CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(O)– benzene–CF$_3$, NH$_2$
2154	H$_3$C–C(O)–NH, H$_3$CO–, –CH$_2$–	2	2	1	-	H	–CH$_2$–NH–C(O)– benzene–CF$_3$, NH$_2$
2155	H$_3$C–C(O)–NH, HO–, –CH$_2$–	2	2	1	-	H	–CH$_2$–NH–C(O)– benzene–CF$_3$, NH$_2$
2156	methylenedioxyphenyl–CH$_2$–, HN–C(O)–CH$_3$	2	2	1	-	H	–CH$_2$–NH–C(O)– benzene–CF$_3$, NH$_2$

Table 1.197

Compd. No.	$\begin{array}{c}R^1\\R^2\end{array}$–(CH$_2$)–	k	m	n	chirality	R^3	–(CH$_2)_p$$\overset{R^4}{\underset{R^5}{\mid}}$(CH$_2)_q$–G–R^6
2157	HO—⟨CH$_3$⟩—CH$_2$–	1	2	0	R	H	–CH$_2$–N(H)–C(O)—⟨CF$_3$, NH$_2$⟩
2158	H$_3$C–NH, HO—⟨⟩—CH$_2$–	1	2	0	R	H	–CH$_2$–N(H)–C(O)—⟨CF$_3$, H$_2$N⟩
2159	H$_3$C–NH, H$_3$CO—⟨⟩—CH$_2$–	2	2	1	-	H	–CH$_2$–N(H)–C(O)—⟨F, F, H$_2$N⟩
2160	H$_3$C–NH, HO—⟨⟩—CH$_2$–	2	2	1	-	H	–CH$_2$–N(H)–C(O)—⟨F, F, H$_2$N⟩
2161	H$_3$C–NH, Cl—⟨⟩—CH$_2$–	2	2	1	-	H	–CH$_2$–N(H)–C(O)—⟨F, F, H$_2$N⟩
2162	H$_3$C–NH, H$_3$CO—⟨⟩—CH$_2$–	2	2	1	-	H	–CH$_2$–N(H)–C(O)—⟨CF$_3$, H$_2$N⟩
2163	H$_3$C–NH, HO—⟨⟩—CH$_2$–	2	2	1	-	H	–CH$_2$–N(H)–C(O)—⟨CF$_3$, H$_2$N⟩
2164	⟨N–CH$_3$ pyrrole⟩–CH$_2$–	1	2	0	R	H	–CH$_2$–N(H)–C(O)—⟨CF$_3$, H$_2$N⟩
2165	⟨imidazole⟩–CH$_2$–	1	2	0	R	H	–CH$_2$–N(H)–C(O)—⟨CF$_3$, H$_2$N⟩
2166	⟨thiazole⟩–CH$_2$–	1	2	0	R	H	–CH$_2$–N(H)–C(O)—⟨CF$_3$, H$_2$N⟩
2167	⟨2-phenyl-imidazole⟩–CH$_2$–	1	2	0	R	H	–CH$_2$–N(H)–C(O)—⟨CF$_3$, H$_2$N⟩

217

Table 1.198

Compd. No	R¹ R² CH (CH₂)ₖ–	k	m	n	chirality	R³	–(CH₂)ₚ C R⁴ R⁵ (CH₂)q–G–R⁶
2168	H₃C–C(=O)–OCH₃ ... N–CH₃ pyrrole, CH₂–	1	2	0	R	H	–CH₂–NH–C(=O)– (benzene, CF₃, NH₂)
2169	H₃C, CH₃ (xylyl) CH–CH(CH₃)₂	1	2	0	R	H	–CH₂–NH–C(=O)– (benzene, CF₃, NH₂)
2170	Cl–phenyl, N, pyrrole CH₂–	1	2	0	R	H	–CH₂–NH–C(=O)– (benzene, CF₃, NH₂)
2171	H₃C imidazole HN CH₂–	1	2	0	R	H	–CH₂–NH–C(=O)– (benzene, CF₃, NH₂)
2172	H₃C, F₃C–phenyl, N–CH₃ pyrrole CH₂–	1	2	0	R	H	–CH₂–NH–C(=O)– (benzene, CF₃, NH₂)
2173	S, N thiazole–S–phenyl–CH₃, CH₂–	1	2	0	R	H	–CH₂–NH–C(=O)– (benzene, CF₃, NH₂)
2174	H₃C, CH₃, Br thienothiophene CH₂–	1	2	0	R	H	–CH₂–NH–C(=O)– (benzene, CF₃, NH₂)
2175	OCH₃, H₃C–C pyrimidine, CH₂–	1	2	0	R	H	–CH₂–NH–C(=O)– (benzene, CF₃, NH₂)
2176	indole, H₃C–N CH₂–	1	2	0	R	H	–CH₂–NH–C(=O)– (benzene, CF₃, NH₂)
2177	H₃C, OH, pyridine CH₂–, CH₂OH	1	2	0	R	H	–CH₂–NH–C(=O)– (benzene, CF₃, NH₂)
2178	H₃CO–C(=O)– indole HN CH₂–	1	2	0	R	H	–CH₂–NH–C(=O)– (benzene, CF₃, NH₂)

Table 1.199

Compd. No.	R^1R^2C$<$(CH$_2$)$_l$–	k	m	n	chirality	R^3	–(CH$_2$)$_p$–CR^{4}R^5–(CH$_2$)$_q$–G–R^6
2179	1-acetylindol-3-yl–CH$_2$– (H$_3$C-C(=O)-N)	1	2	0	R	H	–CH$_2$–NH–C(=O)–[2-NH$_2$, 5-CF$_3$-phenyl]
2180	Cl–C$_6$H$_4$–(CH$_2$)$_2$–	1	2	0	R	H	–CH$_2$–NH–C(=O)–[2-NH$_2$, 5-CF$_3$-phenyl]
2181	5-H$_3$CO-benzimidazol-2-yl–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(=O)–[2-NH$_2$, 5-CF$_3$-phenyl]
2182	2-H$_3$C-thiazol-4-yl–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(=O)–[2-NH$_2$, 5-CF$_3$-phenyl]
2183	benzothiadiazol-5-yl–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(=O)–[2-NH$_2$, 5-CF$_3$-phenyl]
2184	benzothiadiazol-5-yl–CH$_2$–	2	2	1	–	H	–CH$_2$–NH–C(=O)–[2-NH$_2$, 4,5-F$_2$-phenyl]
2185	benzothiadiazol-5-yl–CH$_2$–	2	2	1	–	H	–CH$_2$–NH–C(=O)–[2-NH$_2$, 5-CF$_3$-phenyl]
2186	benzimidazol-5-yl–CH$_2$–	2	2	1	–	H	–CH$_2$–NH–C(=O)–[2-NH$_2$, 5-CF$_3$-phenyl]
2187	2-NH$_2$, 1-HO-phenyl-4-yl–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(=O)–[2-NH$_2$, 5-CF$_3$-phenyl]
2188	benzoxazol-5-yl–CH$_2$–	2	2	1	–	H	–CH$_2$–NH–C(=O)–[2-NH$_2$, 4-CF$_3$-phenyl]
2189	benzoxazol-5-yl–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(=O)–[2-NH$_2$, 5-CF$_3$-phenyl]

Table 1.200

Compd. No.	R¹R²>C(CH₂)ₗ–	k	m	n	chirality	R³	–(CH₂)ₚC(R⁴)(R⁵)(CH₂)_q–G–R⁶
2190	benzoxazol-2(3H)-one-CH₂–	2	2	1	-	H	–CH₂–NH–CO–C₆H₂(NH₂)(F)(F)
2191	benzoxazol-2(3H)-one-CH₂–	2	2	1	-	H	–CH₂–NH–CO–C₆H₃(NH₂)(CF₃)
2192	benzoxazole-2(3H)-thione-CH₂–	2	2	1	-	H	–CH₂–NH–CO–C₆H₃(NH₂)(CF₃)
2193	benzoxazole-2(3H)-thione-CH₂–	2	2	1	-	H	–CH₂–NH–CO–C₆H₂(NH₂)(F)(F)
2194	H₃C, H₂N–C₆H₃–CH₂–	2	2	1	-	H	–CH₂–NH–CO–C₆H₃(NH₂)(CF₃)
2195	Cl, H₂N–C₆H₃–CH₂–	2	2	1	-	H	–CH₂–NH–CO–C₆H₃(NH₂)(CF₃)
2196	H₃C, H₃C–NH–C₆H₃–CH₂–	1	2	0	R	H	–CH₂–NH–CO–C₆H₃(NH₂)(CF₃)
2197	H₃CO, H₃C–NH–C₆H₃–CH₂–	1	2	0	R	H	–CH₂–NH–CO–C₆H₃(NH₂)(CF₃)
2198	Cl, H₃C–NH–C₆H₃–CH₂–	1	2	0	R	H	–CH₂–NH–CO–C₆H₃(NH₂)(CF₃)
2199	H₃C, H₃C–NH–C₆H₃–CH₂–	2	2	1	-	H	–CH₂–NH–CO–C₆H₃(NH₂)(CF₃)
2200	Cl, H₃C–NH–C₆H₃–CH₂–	2	2	1	-	H	–CH₂–NH–CO–C₆H₃(NH₂)(CF₃)

Table 1.201

Compd. No.	R^1, R^2—(CH₂)ₗ—	k	m	n	chirality	R^3	—(CH₂)ₚ—C(R⁴)(R⁵)—(CH₂)q—G—R⁶
2201	H₃C-NH / H₃C—(benzene)—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)—(benzene with F, F, H₂N)
2202	(benzoxazole-2-thione)—CH₂—	1	2	0	R	H	—CH₂—NH—C(O)—(benzene with CF₃, H₂N)
2203	(benzoxazole)—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)—(benzene with F, F, H₂N)
2204	Cl—(benzene with CH₃)—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)—(benzene with CF₃, H₂N)
2205	Cl—(benzene with CH₃)—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)—(benzene with F, F, H₂N)
2206	HO—(benzene with CH₃)—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)—(benzene with CF₃, H₂N)
2207	HO—(benzene with CH₃)—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)—(benzene with F, F, H₂N)
2208	HN-CH₃ / Cl—(benzene)—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)—(benzene with CF₃, H₂N)
2209	HN-CH₃ / Cl—(benzene)—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)—(benzene with F, F, H₂N)
2210	(indole)—CH₂—	1	2	0	R	H	—CH₂—NH—C(O)—(benzene with CF₃, H₂N)
2211	(indole)—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)—(benzene with CF₃, H₂N)

Table 1.202

Compd. No.	R^1 R^2>-(CH$_2$)$_j$-	k	m	n	chirality	R^3	-(CH$_2$)$_p$- R^4/R^5 -(CH$_2$)$_q$-G-R^6
2212	indol-5-yl-CH$_2$- (NH)	2	2	1	-	H	-CH$_2$-N(H)-C(O)-phenyl(F, F)(NH$_2$)
2213	(H$_2$N)(Cl)phenyl-CH$_2$-	2	2	1	-	H	-CH$_2$-N(H)-C(O)-phenyl(CF$_3$)(NH$_2$)
2214	(H$_2$N)(H$_3$C)phenyl-CH$_2$-	2	2	1	-	H	-CH$_2$-N(H)-C(O)-phenyl(CF$_3$)(NH$_2$)
2215	(H$_3$C-HN)(Cl)phenyl-CH$_2$-	1	2	0	R	H	-CH$_2$-N(H)-C(O)-phenyl(CF$_3$)(NH$_2$)
2216	(CH$_3$)(H$_3$CCH$_2$)imidazol-CH$_2$-	1	2	0	R	H	-CH$_2$-N(H)-C(O)-phenyl(CF$_3$)(NH$_2$)
2217	(H$_3$CO$_2$C)(H$_3$C)(CH$_3$)pyridin-CH$_2$-	1	2	0	R	H	-CH$_2$-N(H)-C(O)-phenyl(CF$_3$)(NH$_2$)
2218	Cl-phenyl-CH$_2$-	1	2	0	R	H	-CH$_2$-N(H)-C(O)-phenyl(CF$_3$)(HN-C(O)-NH-phenyl)
2219	Cl-phenyl-CH$_2$-	1	2	0	R	H	-CH$_2$-N(H)-C(O)-phenyl(CF$_3$)(H N-C(O)-NH-phenyl(CF$_3$))
2220	Cl-phenyl-CH$_2$-	1	2	0	R	H	-CH$_2$-N(H)-C(O)-phenyl(CF$_3$)(N-C(O)-NH-phenyl-CH(CH$_3$)$_2$)
2221	Cl-phenyl-CH$_2$-	1	2	0	R	H	-CH$_2$-N(H)-C(O)-phenyl(CF$_3$)(N-C(O)-NH-phenyl-CH$_3$)
2222	(H$_3$C)(CO$_2$CH$_3$)(H$_3$C-N)(CH$_3$)pyrrol-CH$_2$-	1	2	0	R	H	-CH$_2$-N(H)-C(O)-phenyl(CF$_3$)(NH$_2$)

Table 1.203

Compd. No.	R^1 R^2—(CH$_2$)$_k$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$—$\overset{R^4}{\underset{R^5}{C}}$—(CH$_2$)$_q$—G—$R^6$
2223	Cl—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)— pyrazole (CH$_3$), N-phenyl-CF$_3$
2224	Cl—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)— pyrimidine O-phenyl-CF$_3$
2225	Cl—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)— pyrazole (CH$_3$), N-phenyl(CF$_3$)$_2$
2226	pyrazole (H$_3$C–N, Cl, CH$_3$)—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)— phenyl-CF$_3$, H$_2$N
2227	Cl—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)— phenyl-CF$_3$, HN–C(O)–NH–⬡–N(CH$_3$)$_2$
2228	Cl—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)— phenyl-CF$_3$, HN–C(O)–NH–⬡–CF$_3$
2229	methylenedioxyphenyl (CH$_3$)—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)— phenyl-OCF$_3$, H$_2$N
2230	H$_3$CCH$_2$—⬡(CH$_3$)—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)— phenyl-OCF$_3$, H$_2$N
2231	H$_3$CO—⬡(CH$_3$)—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)— phenyl-OCF$_3$, H$_2$N
2232	H$_3$CO—⬡(H$_3$C)—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)— phenyl-OCF$_3$, H$_2$N
2233	indolyl-CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)— phenyl-CF$_3$, H$_2$N

Table 1.204

Compd. No.	R¹,R²>(CH₂)ₖ–	k	m	n	chirality	R³	–(CH₂)ₚ–[R⁴/R⁵]–(CH₂)q–G–R⁶
2234	2-methyl-indol-3-yl-CH₂– (CH₂–, 2-CH₃, N-H)	1	2	0	R	H	–CH₂–NH–C(=O)–(benzene, 5-CF₃, 2-NH₂)
2235	indol-3-yl-CH₂– (N-H)	1	2	0	R	H	–CH₂–NH–C(=O)–(benzene, 4-OCF₃, 2-NH₂)
2236	5-F-indol-3-yl-CH₂– (N-H)	1	2	0	R	H	–CH₂–NH–C(=O)–(benzene, 4-OCF₃, 2-NH₂)
2237	5-Cl-indol-3-yl-CH₂– (N-H)	1	2	0	R	H	–CH₂–NH–C(=O)–(benzene, 4-OCF₃, 2-NH₂)
2238	5-CH₃O-indol-3-yl-CH₂– (N-H)	1	2	0	R	H	–CH₂–NH–C(=O)–(benzene, 5-CF₃, 2-NH₂)
2239	1-CH₃-indol-3-yl-CH₂– (N-CH₃)	1	2	0	R	H	–CH₂–NH–C(=O)–(benzene, 5-CF₃, 2-NH₂)
2240	2-CH₃-indol-3-yl-CH₂– (N-H)	1	2	0	R	H	–CH₂–NH–C(=O)–(benzene, 4-OCF₃, 2-NH₂)
2241	6-CH₃-indol-3-yl-CH₂– (N-H)	1	2	0	R	H	–CH₂–NH–C(=O)–(benzene, 5-CF₃, 2-NH₂)
2242	7-CH₃-indol-3-yl-CH₂– (N-H)	1	2	0	R	H	–CH₂–NH–C(=O)–(benzene, 4-OCF₃, 2-NH₂)
2243	(H₃C)₂N–⟨C₆H₄⟩–CH₂–	1	2	0	R	H	–CH₂–NH–C(=O)–(benzene, 4-OCF₃, 2-NH₂)
2244	6-F-indol-3-yl-CH₂– (N-H)	1	2	0	R	H	–CH₂–NH–C(=O)–(benzene, 4-OCF₃, 2-NH₂)

Table 1.205

Compd. No.	R^1, R^2 $-(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p-C(R^4)(R^5)-(CH_2)_q-G-R^6$
2245	H_3C-N=C(NH)-oxazole-CH_2-	1	2	0	R	H	$-CH_2-NH-CO-$ phenyl(CF_3)(NH_2)
2246	H_3C_2-N=C(NH)-oxazole-CH_2-	1	2	0	H	H	$-CH_2-NH-CO-$ phenyl(CF_3)(NH_2)
2247	$(H_3C)_2CH$-N=C(NH)-oxazole-CH_2-	1	2'	0	R	H	$-CH_2-NH-CO-$ phenyl(CF_3)(NH_2)
2248	H_2N,Cl-phenyl-CH_2-	1	2	0	R	H	$-CH_2-NH-CO-$ phenyl(OCF_3)(NH_2)
2249	H_2N,H_3CO-phenyl-CH_2-	1	2	0	R	H	$-CH_2-NH-CO-$ phenyl(OCF_3)(NH_2)
2250	H_2N,HO-phenyl-CH_2-	1	2	0	R	H	$-CH_2-NH-CO-$ phenyl(OCF_3)(NH_2)
2251	H_2N,H_3C-phenyl-CH_2-	1	2	0	R	H	$-CH_2-NH-CO-$ phenyl(OCF_3)(NH_2)
2252	indol-3-yl-CH_2-	2	2	1	-	H	$-CH_2-NH-CO-$ phenyl(CF_3)(NH_2)
2253	F-indol-3-yl-CH_2-	2	2	1	-	H	$-CH_2-NH-CO-$ phenyl(CF_3)(NH_2)
2254	H_3CO-indol-3-yl-CH_2-	2	2	1	-	H	$-CH_2-NH-CO-$ phenyl(CF_3)(NH_2)
2255	H_3C-indol-3-yl-CH_2-	2	2	1	-	H	$-CH_2-NH-CO-$ phenyl(CF_3)(NH_2)

Table 1.206

Compd. No.	$\begin{matrix}R^1\\R^2\end{matrix}$$-(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p\begin{matrix}R^4\\ \\R^5\end{matrix}(CH_2)_q-G-R^6$
2256	7-methyl-indol-3-yl-CH₂–	2	2	1	–	H	–CH₂–NH–CO–(2-NH₂-5-CF₃-phenyl)
2257	6-(H₃CO-CO)-indol-3-yl-CH₂–	2	2	1	–	H	–CH₂–NH–CO–(2-NH₂-5-CF₃-phenyl)
2258	Cl–C₆H₄–CH₂–	1	2	0	R	H	(S) –CH(CH₃)–NH–CO–(3,4-Cl₂-phenyl)
2259	H₃CS–C₆H₄–CH₂–	1	2	0	R	H	(S) –CH(CH₃)–NH–CO–(3,4-Cl₂-phenyl)
2260	3,4-Cl₂–C₆H₃–CH₂–	1	2	0	R	H	(S) –CH(CH₃)–NH–CO–NH–phenyl
2261	Cl–C₆H₄–CH₂–	1	2	0	R	H	(S) –CH(CH₃)–NH–CO–NH–phenyl
2262	H₃CS–C₆H₄–CH₂–	1	2	0	R	H	(S) –CH(CH₃)–NH–CO–NH–phenyl
2263	3,4-Cl₂–C₆H₃–CH₂–	1	2	0	S	H	(S) –CH(CH₃)–NH–CO–(3,4-Cl₂-phenyl)
2264	Cl–C₆H₄–CH₂–	1	2	0	S	H	(S) –CH(CH₃)–NH–CO–(3,4-Cl₂-phenyl)
2265	H₃CS–C₆H₄–CH₂–	1	2	0	S	H	(S) –CH(CH₃)–NH–CO–(3,4-Cl₂-phenyl)
2266	Cl–C₆H₄–CH₂–	1	2	0	S	H	(S) –CH(CH₃)–NH–CO–NH–phenyl

Table 1.207

Compd. No.	$\begin{matrix}R^1\\R^2\end{matrix}$＞(CH$_2$)$_l$–	k	m	n	chirality	R^3	$-(CH_2)_p\!\!\begin{matrix}R^4\\R^5\end{matrix}\!\!(CH_2)_q$–G–R^6
2267	(2,3-diCl-C$_6$H$_3$)CH$_2$–	2	2	1	–	H	(S) –CH(CH$_3$)–NH–C(O)–(3,4-diCl-C$_6$H$_3$)
2268	(4-Cl-C$_6$H$_4$)CH$_2$–	2	2	1	–	H	(S) –CH(CH$_3$)–NH–C(O)–(3,4-diCl-C$_6$H$_3$)
2269	(4-CH$_3$S-C$_6$H$_4$)CH$_2$–	2	2	1	–	H	(S) –CH(CH$_3$)–NH–C(O)–(3,4-diCl-C$_6$H$_3$)
2270	(2,3-diCl-C$_6$H$_3$)CH$_2$–	2	2	1	–	H	(S) –CH(CH$_3$)–NH–C(O)–NH–C$_6$H$_5$
2271	(4-Cl-C$_6$H$_4$)CH$_2$–	2	2	1	–	H	(S) –CH(CH$_3$)–NH–C(O)–NH–C$_6$H$_5$
2272	(4-CH$_3$S-C$_6$H$_4$)CH$_2$–	2	2	1	–	H	(S) –CH(CH$_3$)–NH–C(O)–NH–C$_6$H$_5$
2273	(2,3-diCl-C$_6$H$_3$)CH$_2$–	2	2	1	–	H	(S) –CH(CH(CH$_3$)$_2$)–NH–C(O)–(3,4-diCl-C$_6$H$_3$)
2274	(4-CH$_3$S-C$_6$H$_4$)CH$_2$–	2	2	1	–	H	(S) –CH(CH(CH$_3$)$_2$)–NH–C(O)–(3,4-diCl-C$_6$H$_3$)
2275	(2,3-diCl-C$_6$H$_3$)CH$_2$–	2	2	1	–	H	(S) –CH(CH(CH$_3$)$_2$)–NH–C(O)–NH–C$_6$H$_5$
2276	(4-Cl-C$_6$H$_4$)CH$_2$–	2	2	1	–	H	(S) –CH(CH(CH$_3$)$_2$)–NH–C(O)–NH–C$_6$H$_5$
2277	(4-CH$_3$S-C$_6$H$_4$)CH$_2$–	2	2	1	–	H	(S) –CH(CH(CH$_3$)$_2$)–NH–C(O)–NH–C$_6$H$_5$

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Table 1.208

Compd. No.	R^1 / R^2-(CH$_2$)-	k	m	n	chirality	R^3	-(CH$_2$)$_p$- R^4/R^5 -(CH$_2$)$_q$-G-R^6
2278	2,3-diCl-C$_6$H$_3$-CH$_2$-	1	2	0	R	H	(S) -CH(CH$_3$)-NH-C(O)-(2-NH$_2$-5-CF$_3$-C$_6$H$_3$)
2279	4-Cl-C$_6$H$_4$-CH$_2$-	1	2	0	R	H	(S) -CH(CH$_3$)-NH-C(O)-(2-NH$_2$-5-CF$_3$-C$_6$H$_3$)
2280	2,3-diCl-C$_6$H$_3$-CH$_2$-	1	2	0	S	H	(S) -CH(CH$_3$)-NH-C(O)-(2-NH$_2$-5-CF$_3$-C$_6$H$_3$)
2281	4-H$_3$CS-C$_6$H$_4$-CH$_2$-	1	2	0	S	H	(S) -CH(CH$_3$)-NH-C(O)-(2-NH$_2$-5-CF$_3$-C$_6$H$_3$)
2282	4-Cl-C$_6$H$_4$-CH$_2$-	2	2	1	-	H	(S) -CH(CH$_3$)-NH-C(O)-(2-NH$_2$-5-CF$_3$-C$_6$H$_3$)
2283	4-H$_3$CS-C$_6$H$_4$-CH$_2$-	2	2	1	-	H	(S) -CH(CH$_3$)-NH-C(O)-(2-NH$_2$-5-CF$_3$-C$_6$H$_3$)
2284	2,3-diCl-C$_6$H$_3$-CH$_2$-	2	2	1	-	H	(S) -CH(CH(CH$_3$)$_2$)-NH-C(O)-(2-NH$_2$-5-CF$_3$-C$_6$H$_3$)
2285	4-Cl-C$_6$H$_4$-CH$_2$-	2	2	1	-	H	(S) -CH(CH(CH$_3$)$_2$)-NH-C(O)-(2-NH$_2$-5-CF$_3$-C$_6$H$_3$)
2286	4-H$_3$CS-C$_6$H$_4$-CH$_2$-	2	2	1	-	H	(S) -CH(CH(CH$_3$)$_2$)-NH-C(O)-(2-NH$_2$-5-CF$_3$-C$_6$H$_3$)
2287	4-Cl-C$_6$H$_4$-CH$_2$-	2	2	1	-	H	(S) -CH(CH(CH$_3$)$_2$)-NH-C(S)-NH-C$_6$H$_5$
2288	4-H$_3$CS-C$_6$H$_4$-CH$_2$-	2	2	1	-	H	(S) -CH((CH$_2$)$_2$CONH$_2$)-NH-C(O)-(3,4-diCl-C$_6$H$_3$)

Table 1.209

Compd. No.	R^1 R^2 >CH–$(CH_2)_l$–	k	m	n	chirality	R^3	$-(CH_2)_p$ R^4 R^5 $-(CH_2)_q$$G$–$R^6$
2289	2,3-Cl$_2$-C$_6$H$_3$-CH$_2$–	2	2	1	-	H	(S) $-CH$-N-C-N-C$_6$H$_5$; H; H; O; $(CH_2)_2CONH_2$
2290	2,3-Cl$_2$-C$_6$H$_3$-CH$_2$–	2	2	1	-	H	(S) $-CH$-N-C-(3,4-Cl$_2$-C$_6$H$_3$); H; O; CH_2OH
2291	4-Cl-C$_6$H$_4$-CH$_2$–	2	2	1	-	H	(S) $-CH$-N-C-(3,4-Cl$_2$-C$_6$H$_3$); H; O; CH_2OH
2292	4-CH$_3$S-C$_6$H$_4$-CH$_2$–	2	2	1	-	H	(S) $-CH$-N-C-(3,4-Cl$_2$-C$_6$H$_3$); H; O; CH_2OH
2293	2,3-Cl$_2$-C$_6$H$_3$-CH$_2$–	2	2	1	-	H	(S) $-CH$-N-C-N-C$_6$H$_5$; H; H; O; CH_2OH
2294	4-Cl-C$_6$H$_4$-CH$_2$–	2	2	1	-	H	(S) $-CH$-N-C-N-C$_6$H$_5$; H; H; O; CH_2OH
2295	4-CH$_3$S-C$_6$H$_4$-CH$_2$–	2	2	1	-	H	(S) $-CH$-N-C-N-C$_6$H$_5$; H; H; O; CH_2OH
2296	2,3-Cl$_2$-C$_6$H$_3$-CH$_2$–	1	2	0	R	H	(S) $-CH$-N-C-(3,4-Cl$_2$-C$_6$H$_3$); H; O; $(CH_2)_2SO_2CH_3$
2297	4-CH$_3$S-C$_6$H$_4$-CH$_2$–	1	2	0	R	H	(S) $-CH$-N-C-(3,4-Cl$_2$-C$_6$H$_3$); H; O; $(CH_2)_2SO_2CH_3$
2298	2,3-Cl$_2$-C$_6$H$_3$-CH$_2$–	1	2	0	R	H	(S) $-CH$-N-C-N-C$_6$H$_5$; H; H; O; $(CH_2)_2SO_2CH_3$
2299	4-CH$_3$S-C$_6$H$_4$-CH$_2$–	1	2	0	R	H	(S) $-CH$-N-C-N-C$_6$H$_5$; H; H; O; $(CH_2)_2SO_2CH_3$

Table 1.210

Compd. No.	R^1 R^2 $>$CH$-$(CH$_2$)$_l-$	k	m	n	chirality	R^3	$-$(CH$_2$)$_p$ $>$C$<$ R^4 R^5 $-$(CH$_2$)$_q-$G$-$R^6
2300	Cl—⟨ ⟩—CH$_2$-	1	2	0	S	H	(S) $-$CH-N-C-⟨ ⟩-Cl / Cl ; (CH$_2$)$_2$SO$_2$CH$_3$
2301	Cl / Cl —⟨ ⟩—CH$_2$-	1	2	0	S	H	(S) $-$CH-N-C-⟨ ⟩-Cl / Cl ; (CH$_2$)$_2$SO$_2$CH$_3$
2302	Cl / Cl —⟨ ⟩—CH$_2$-	1	2	0	R	H	(S) $-$CH-NH-C-⟨ ⟩-NH$_2$ / CF$_3$; (CH$_2$)$_2$SO$_2$CH$_3$
2303	Cl—⟨ ⟩—CH$_2$-	1	2	0	R	H	(S) $-$CH-N-C-⟨ ⟩-NH$_2$ / CF$_3$; (CH$_2$)$_2$SO$_2$CH$_3$
2304	H$_3$CS—⟨ ⟩—CH$_2$-	1	2	0	R	H	(S) $-$CH-N-C-⟨ ⟩-NH$_2$ / CF$_3$; (CH$_2$)$_2$SO$_2$CH$_3$
2305	Cl / Cl —⟨ ⟩—CH$_2$-	1	2	0	S	H	(S) $-$CH-N-C-⟨ ⟩-NH$_2$ / CF$_3$; (CH$_2$)$_2$SO$_2$CH$_3$
2306	H$_3$CS—⟨ ⟩—CH$_2$-	1	2	0	S	H	(S) $-$CH-N-C-⟨ ⟩-NH$_2$ / CF$_3$; (CH$_2$)$_2$SO$_2$CH$_3$
2307	Cl—⟨ ⟩—CH$_2$-	1	2	0	R	H	(S) $-$CH-N-C-N-⟨ ⟩ (S) / H H ; (CH$_2$)$_2$SO$_2$CH$_3$
2308	H$_3$CS—⟨ ⟩—CH$_2$-	1	2	0	R	H	(S) $-$CH-N-C-N-⟨ ⟩ (S) / H H ; (CH$_2$)$_2$SO$_2$CH$_3$
2309	Cl / Cl —⟨ ⟩—CH$_2$-	1	2	0	S	H	(S) $-$CH-N-C-N-⟨ ⟩ (S) / H H ; (CH$_2$)$_2$SO$_2$CH$_3$
2310	Cl—⟨ ⟩—CH$_2$-	1	2	0	S	H	(S) $-$CH-N-C-N-⟨ ⟩ (S) / H H ; (CH$_2$)$_2$SO$_2$CH$_3$

Table 1.211

Compd. No.	R^1 R^2—(CH$_2$)$_i$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$—$\overset{R^4}{\underset{R^5}{C}}$—(CH$_2$)$_q$—G—$R^6$
2311	H$_3$CS—〈 〉—CH$_2$—	1	2	0	S	H	(S) —CH–N–C–N–〈 〉 | || | (CH$_2$)$_2$SO$_2$CH$_3$ H S H
2312	H$_3$CS—〈 〉—CH$_2$—	1	2	0	R	H	(S) CF$_3$ —CH–C–〈 〉 | || CH$_3$ H$_2$N
2313	Cl〈 〉—CH$_2$— Cl	1	2	0	R	H	(S) Cl —CH–N–C–〈 〉–Cl | H || CH$_3$ O
2314	H$_3$CS—〈 〉—CH$_2$—	1	2	0	S	H	(S) O —CH–N–C–N–〈 〉 | H || H CH$_3$
2315	Cl—〈 〉—CH$_2$—	2	2	1	-	H	(S) Cl —CH–N–C–〈 〉–Cl | H || CH(CH$_3$)$_2$ O
2316	Cl—〈 〉—CH$_2$—	1	2	0	S	H	(S) NH$_2$ —CH–C–〈 〉 | H || CF$_3$ (CH$_2$)$_2$SO$_2$CH$_3$ O
2317	Cl〈 〉—CH$_2$— Cl	2	2	1	-	H	(S) NH$_2$ —CH–C–〈 〉 | H || CF$_3$ CH$_2$OH O
2318	Cl〈 〉—CH$_2$— Cl	1	2	0	R	H	(S) —CH–N–C–N–〈 〉 | || | (CH$_2$)$_2$SO$_2$CH$_3$ H S H
2319	Cl〈 〉—CH$_2$— Cl	2	2	1	-	H	(S) —CH–N–C–N–〈 〉 | || | CH(CH$_3$)$_2$ H S H
2320	Cl—〈 〉—CH$_2$—	2	2	1	-	H	(S) —CH–N–C–N–〈 〉 | || | CH(CH$_3$)$_2$ H S H
2321	H$_3$CS—〈 〉—CH$_2$—	2	2	1	-	H	(S) —CH–N–C–N–〈 〉 | || | CH(CH$_3$)$_2$ H S H

Table 1.212

Compd. No.	$\begin{matrix}R^1\\R^2\end{matrix}$ (CH$_2$)$_l$—	k	m	n	chirality	R^3	$-(CH_2)_p-\overset{R^4}{\underset{R^5}{C}}-(CH_2)_q-G-R^6$
2322	3,4-Cl$_2$-C$_6$H$_3$-CH$_2$-	2	2	1	-	H	(S) —CH-N-C-N-phenyl, CH(CH$_3$)$_2$, thiourea (C=S)
2323	H$_3$CS-C$_6$H$_4$-CH$_2$-	2	2	1	-	H	(S) —CH-N-C-N-phenyl, CH(CH$_3$)$_2$, thiourea (C=S)
2324	3,4-Cl$_2$-C$_6$H$_3$-CH$_2$-	2	2	1	-	H	(S) —CH-N-C(=O)-[2-NH$_2$-5-CF$_3$-phenyl], CH$_3$
2325	3,4-Cl$_2$-C$_6$H$_3$-CH$_2$-	1	2	0	R	H	(S) —CH-N-C-N-phenyl, CH$_3$, thiourea (C=S)
2326	4-Cl-C$_6$H$_4$-CH$_2$-	1	2	0	R	H	(S) —CH-N-C-N-phenyl, CH$_3$, thiourea (C=S)
2327	H$_3$CS-C$_6$H$_4$-CH$_2$-	1	2	0	R	H	(S) —CH-N-C-N-phenyl, CH$_3$, thiourea (C=S)
2328	3,4-Cl$_2$-C$_6$H$_3$-CH$_2$-	1	2	0	S	H	(S) —CH-N-C-N-phenyl, CH$_3$, thiourea (C=S)
2329	4-Cl-C$_6$H$_4$-CH$_2$-	1	2	0	S	H	(S) —CH-N-C-N-phenyl, CH$_3$, thiourea (C=S)
2330	H$_3$CS-C$_6$H$_4$-CH$_2$-	1	2	0	S	H	(S) —CH-N-C-N-phenyl, CH$_3$, thiourea (C=S)
2331	4-Cl-C$_6$H$_4$-CH$_2$-	1	2	0	S	H	(S) —CH-N-C(=O)-[2-NH$_2$-5-CF$_3$-phenyl], CH$_3$
2332	4-Cl-C$_6$H$_4$-CH$_2$-	1	2	0	R	H	(S) —CH-N-C(=O)-[3,4-Cl$_2$-phenyl], (CH$_2$)$_2$SO$_2$CH$_3$

Table 1.213

Compd. No.	R^1 R^2 >CH–(CH₂)–	k	m	n	chirality	R^3	$-(CH_2)_p \overset{R^4}{\underset{R^5}{C}} (CH_2)_q-G-R^6$
2333	Cl–⟨⟩–CH₂–	1	2	0	R	H	(S) –CH–N–C–N–⟨⟩ ; (CH₂)₂SO₂CH₃
2334	H₃CS–⟨⟩–CH₂–	1	2	0	S	H	(S) –CH–N–C–⟨⟩(Cl)(Cl) ; (CH₂)₂SO₂CH₃
2335	Cl,Cl–⟨⟩–CH₂–	1	2	0	S	H	(S) –CH–N–C–N–⟨⟩ ; (CH₂)₂SO₂CH₃
2336	Cl–⟨⟩–CH₂–	1	2	0	S	H	(S) –CH–N–C–N–⟨⟩ ; (CH₂)₂SO₂CH₃
2337	H₃CS–⟨⟩–CH₂–	1	2	0	S	H	(S) –CH–N–C–N–⟨⟩ ; (CH₂)₂SO₂CH₃
2338	H₃CS–⟨⟩–CH₂–	2	2	1	–	H	(S) –CH–N–C–N–⟨⟩ ; (CH₂)₂CONH₂
2339	Cl–⟨⟩–CH₂–	2	2	1	–	H	(S) –CH–N–C–⟨⟩(NH₂)(CF₃) ; (CH₂)₂CONH₂
2340	H₃CS–⟨⟩–CH₂–	2	2	1	–	H	(S) –CH–N–C–⟨⟩(NH₂)(CF₃) ; (CH₂)₂CONH₂
2341	Cl–⟨⟩–CH₂–	2	2	1	–	H	(S) –CH–N–C–⟨⟩(NH₂)(CF₃) ; CH₂OH
2342	H₃CS–⟨⟩–CH₂–	2	2	1	–	H	(S) –CH–N–C–⟨⟩(NH₂)(CF₃) ; CH₂OH
2343	Cl,Cl–⟨⟩–CH₂–	2	2	1	–	H	(S) –CH–N–C–⟨⟩(Cl)(Cl) ; (CH₂)₂CONH₂

Table 1.214

Compd. No.	R^1 R^2—(CH$_2$)$_l$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$—$\overset{R^4}{\underset{R^5}{C}}$—(CH$_2$)$_q$—G—$R^6$
2344	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	(S) —CH-N-C—⟨⟩-Cl,Cl ; (CH$_2$)$_2$CONH$_2$
2345	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	(S) —CH-C-N—⟨⟩ ; (CH$_2$)$_2$CONH$_2$
2346	Cl,Cl—⟨⟩—CH$_2$—	2	2	1	-	H	(S) —CH-C—⟨⟩-NH$_2$,CF$_3$; (CH$_2$)$_2$CONH$_2$
2347	Cl,Cl—⟨⟩—CH$_2$—	1	2	0	S	H	(S) —CH-N-C-N—⟨⟩ ; CH$_3$
2348	Cl—⟨⟩—CH$_2$—	1	2	0	R	H	(S) —CH-N-C—⟨⟩-Cl,Cl ; (CH$_2$)$_2$SO$_2$CH$_3$
2349	F—⟨⟩—CH$_2$—	1	2	0	R	H	(S) —CH-N-C—⟨⟩-Cl,Cl ; (CH$_2$)$_2$SO$_2$CH$_3$
2350	F,F—⟨⟩—CH$_2$—	1	2	0	R	H	(S) —CH-N-C—⟨⟩-Cl,Cl ; (CH$_2$)$_2$SO$_2$CH$_3$
2351	⟨⟨⟩⟩—CH$_2$—	1	2	0	R	H	(S) —CH-N-C—⟨⟩-Cl,Cl ; (CH$_2$)$_2$SO$_2$CH$_3$
2352	Cl,Cl—⟨⟩—CH$_2$—	2	2	1	-	H	(S) —CH-N-C-N—⟨⟩-Cl ; CH$_3$
2353	Cl,Cl—⟨⟩—CH$_2$—	2	2	1	-	H	(S) —CH-C-N—⟨⟨⟩⟩ ; CH$_3$
2354	Cl,Cl—⟨⟩—CH$_2$—	1	2	0	R	H	(S) —CH-N-C—⟨⟩-Cl,Cl ; (CH$_2$)$_2$SO$_2$CH$_3$

Table 1.215

Compd. No.	R^1R^2CH(CH$_2$)$_j$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$CR^{4}R^5(CH$_2$)$_q$—G—R^6
2355	3,4-diCl-benzyl	1	2	0	R	H	(S) —CH(NHCO-2,3-diCl-phenyl)(CH$_2$)$_2$SO$_2$CH$_3$
2356	3,4-diCl-benzyl	1	2	0	R	H	(S) —CH(NHCO-3,5-diCl-phenyl)(CH$_2$)$_2$SO$_2$CH$_3$
2357	3,4-diCl-benzyl	1	2	0	R	H	(S) —CH(NHCO-5-Cl-thienyl)(CH$_2$)$_2$SO$_2$CH$_3$
2358	3,4-diCl-benzyl	1	2	0	R	H	(S) —CH(NHCO-4-CH$_3$-phenyl)(CH$_2$)$_2$SO$_2$CH$_3$
2359	3,4-diCl-benzyl	1	2	0	R	H	(S) —CH(NHCO-thienyl)(CH$_2$)$_2$SO$_2$CH$_3$
2360	3,4-diCl-benzyl	1	2	0	R	H	(S) —CH(NHCONH-naphthyl)(CH$_2$)$_2$SO$_2$CH$_3$
2361	3,4-diCl-benzyl	1	2	0	R	H	(S) —CH(NHCONH-4-Cl-phenyl)(CH$_2$)$_2$SO$_2$CH$_3$
2362	3,4-diCl-benzyl	1	2	0	R	H	(S) —CH(NHCONH-4-OCH$_3$-phenyl)(CH$_2$)$_2$SO$_2$CH$_3$
2363	3,4-diCl-benzyl	2	2	1	-	H	(S) —CH(NHCO-2,4-diCl-phenyl)CH$_3$
2364	3,4-diCl-benzyl	2	2	1	-	H	(S) —CH(NHCO-2,3-diCl-phenyl)CH$_3$
2365	3,4-diCl-benzyl	2	2	1	-	H	(S) —CH(NHCO-3,5-diCl-phenyl)CH$_3$

Table 1.216

Compd. No.	R^1R^2CH—(CH$_2$)$_j$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$C(R^{4}R^5)(CH$_2$)$_q$—G—R^6
2366		2	2	1	-	H	
2367		2	2	1	-	H	
2368		2	2	1	-	H	
2369		2	2	1	-	H	
2370		2	2	1	-	H	
2371		2	2	1	-	H	
2372		2	2	1	-	H	
2373		2	2	1	-	H	
2374		2	2	1	-	H	
2375		2	2	1	-	H	
2376		2	2	1	-	H	

Table 1.217

Compd. No.	R^1 R^2 $\rangle$(CH$_2$)$_j$–	k	m	n	chirality	R^3	–(CH$_2$)$_p$ $\begin{smallmatrix}R^4\\R^5\end{smallmatrix}$(CH$_2$)$_q$–G–$R^6$
2377	F–⟨⟩–CH$_2$–	2	2	1	–	H	(S) –CH–N–C(=O)–⟨⟩(Cl)(Cl) ; CH$_3$
2378	naphthyl–CH$_2$–	2	2	1	–	H	(S) –CH–N–C(=O)–⟨⟩(Cl)(Cl) ; CH$_3$
2379	Cl,Cl–⟨⟩–CH$_2$–	2	2	1	–	H	(S) –CH–N–C(=O)–⟨⟩(Br)(H$_2$N) ; CH$_3$
2380	Cl,Cl–⟨⟩–CH$_2$–	2	2	1	–	H	(S) –CH–N–C(=O)–⟨⟩(H$_2$N) ; CH$_3$
2381	Cl,Cl–⟨⟩–CH$_2$–	2	2	1	–	H	(S) –CH–N–C(=O)–⟨⟩(HO) ; CH$_3$
2382	Cl,Cl–⟨⟩–CH$_2$–	2	2	1	–	H	(S) –CH–N–C(=O)–⟨⟩–OH ; CH$_3$
2383	Cl,Cl–⟨⟩–CH$_2$–	2	2	1	–	H	(S) –CH–N–C(=S)–N–CH$_2$–⟨⟩ ; CH$_3$
2384	Cl,Cl–⟨⟩–CH$_2$–	1	2	0	R	H	(S) –CH–N–C(=O)–⟨⟩(Cl)(Cl) ; (CH$_2$)$_2$SO$_2$CH$_3$
2385	Cl,Cl–⟨⟩–CH$_2$–	1	2	0	R	H	(S) –CH–N–C(=O)–⟨⟩(Cl)(Cl) ; (CH$_2$)$_2$SO$_2$CH$_3$
2386	Cl–⟨⟩–CH$_2$–	1	2	0	R	H	(S) –CH–N–C(=O)–⟨⟩(Cl)(Cl) ; (CH$_2$)$_2$SO$_2$CH$_3$
2387	F,F–⟨⟩–CH$_2$–	1	2	0	R	H	(S) –CH–N–C(=O)–⟨⟩(Cl)(Cl) ; (CH$_2$)$_2$SO$_2$CH$_3$

Table 1.218

Compd. No.	R^1 R^2 $-(CH_2)_i-$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{smallmatrix} R^4 \\ R^5 \end{smallmatrix} (CH_2)_q - G - R^6$
2388	2,4-difluoro-benzyl (F, F, CH_2-)	1	2	0	R	H	(S) $-CH-NH-C(O)-$ 3,4-dichlorophenyl; $(CH_2)_2SO_2CH_3$
2389	2-fluoro-benzyl (F, CH_2-)	1	2	0	R	H	(S) $-CH-NH-C(O)-$ 3,4-dichlorophenyl; $(CH_2)_2SO_2CH_3$
2390	3,4-dichloro-benzyl (Cl, Cl, CH_2-)	1	2	0	R	H	(S) $-CH-NH-C(O)-$ 2-NH$_2$-4-Br-phenyl; $(CH_2)_2SO_2CH_3$
2391	3,4-dichloro-benzyl (Cl, Cl, CH_2-)	1	2	0	R	H	(S) $-CH-NH-C(O)-$ 2-NH$_2$-4-Cl-phenyl; $(CH_2)_2SO_2CH_3$
2392	3,4-dichloro-benzyl (Cl, Cl, CH_2-)	1	2	0	R	H	(S) $-CH-NH-C(O)-$ 2-NH$_2$-phenyl; $(CH_2)_2SO_2CH_3$
2393	3,4-dichloro-benzyl (Cl, Cl, CH_2-)	1	2	0	R	H	(S) $-CH-NH-C(S)-N-CH_2-$phenyl; $(CH_2)_2SO_2CH_3$
2394	3,4-dichloro-benzyl (Cl, Cl, CH_2-)	2	2	1	-	H	(S) $-CH-NH-C(O)-$ 3,4-dichlorophenyl; $(CH_2)_2SCH_3$
2395	3,4-dichloro-benzyl (Cl, Cl, CH_2-)	2	2	1	-	H	(S) $-CH-NH-C(O)-$ 3,4-dichlorophenyl; CH_2OCH_2Ph
2396	3,4-dichloro-benzyl (Cl, Cl, CH_2-)	2	2	1	-	H	(S) $-CH-NH-C(O)-$ 3,4-dichlorophenyl; $(CH_2)_4NH_2$
2397	3,4-dichloro-benzyl (Cl, Cl, CH_2-)	2	2	1	-	H	(S) $-CH-N-C(O)-$ 3,4-dichlorophenyl; H_2C-indol-3-yl
2398	3,4-dichloro-benzyl (Cl, Cl, CH_2-)	2	2	1	-	H	(S) $-CH-N-C(O)-$ 3,4-dichlorophenyl; H_2C-(4-OC(CH$_3$)$_3$-phenyl)

Table 1.219

Compd. No.	R^1R^2CH–$(CH_2)_j$–	k	m	n	chirality	R^3	–$(CH_2)_p$–CR^4R^5–$(CH_2)_q$–G–R^6
2399	3,4-diCl-benzyl (Cl, Cl-phenyl-CH₂–)	2	2	1	–	H	(S) –CH–N–CO– 3,4-diCl-phenyl; H₂C–(4-OCH₂Ph-phenyl)
2400	3,4-diCl-benzyl	2	2	1	–	H	(S) –CH–N–CO– 3,4-diCl-phenyl; H₂C–(4-OH-phenyl)
2401	3,4-diCl-benzyl	2	2	1	–	H	(S) –CH–N–CO– 3,4-diCl-phenyl; H₂C–phenyl
2402	2,4-diCl-benzyl	2	2	1	–	H	(S) –CH–N(H)–CO– 3,4-diCl-phenyl; CH_2OH
2403	3,4-diF-benzyl	2	2	1	–	H	(S) –CH–N(H)–CO– 3,4-diCl-phenyl; CH_2OH
2404	2,3-diF-benzyl	2	2	1	–	H	(S) –CH–N(H)–CO– 3,4-diCl-phenyl; CH_2OH
2405	2,4-diF-benzyl	2	2	1	–	H	(S) –CH–N(H)–CO– 3,4-diCl-phenyl; CH_2OH
2406	3-F-benzyl	2	2	1	–	H	(S) –CH–N(H)–CO– 3,4-diCl-phenyl; CH_2OH
2407	naphthalen-1-yl-CH₂–	2	2	1	–	H	(S) –CH–N(H)–CO– 3,4-diCl-phenyl; CH_2OH
2408	H_3CSO_2–phenyl–CH₂–	2	2	1	–	H	(S) –CH–N(H)–CO– 3,4-diCl-phenyl; CH_2OH
2409	H_3CO_2C–phenyl–CH₂–	2	2	1	–	H	(S) –CH–N(H)–CO– 3,4-diCl-phenyl; CH_2OH

Table 1.220

Compd. No.	R^1 R^2 >CH-(CH$_2$)-	k	m	n	chirality	R^3	$-(CH_2)_p-\overset{R^4}{\underset{R^5}{C}}-(CH_2)_q-G-R^6$
2410	3,4-diCl-benzyl	2	2	1	-	H	(S) -CH-N-C(=O)-(2,6-diCl-phenyl), side chain CH$_2$OH
2411	3,4-diCl-benzyl	2	2	1	-	H	(S) -CH-N-C(=O)-(2,3-diCl-phenyl), side chain CH$_2$OH
2412	3,4-diCl-benzyl	2	2	1	-	H	(S) -CH-N-C(=O)-(2-thienyl), side chain CH$_2$OH
2413	3,4-diCl-benzyl	2	2	1	-	H	(S) -CH-N-C(=O)-NH-(4-OCH$_3$-phenyl), side chain CH$_2$OH
2414	3,4-diCl-benzyl	2	2	1	-	H	(S) -CH-N-C(=O)-(3-thienyl), side chain CH$_2$OH
2415	3,4-diCl-benzyl	2	2	1	-	H	(S) -CH-N-C(=S)-NH-(3-OCH$_3$-phenyl), side chain CH$_3$
2416	3,4-diCl-benzyl	2	2	1	-	H	(S) -CH-N-C(=S)-NH-(4-OCH$_3$-phenyl), side chain CH$_3$
2417	3,4-diCl-benzyl	2	2	1	-	H	(S) -CH-N-C(=S)-NH-(3-CH$_3$-phenyl), side chain CH$_3$
2418	3,4-diCl-benzyl	2	2	1	-	H	(S) -CH-N-C(=S)-NH-(4-CH$_3$-phenyl), side chain CH$_3$
2419	3,4-diCl-benzyl	2	2	1	-	H	(S) -CH-N-C(=S)-NH-(3-Cl-phenyl), side chain CH$_3$
2420	3,4-diCl-benzyl	2	2	1	-	H	(S) -CH-N-C(=S)-NH-(4-Cl-phenyl), side chain CH$_3$

Table 1.221

Compd. No.	R^1 R^2 $>(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p\overset{R^4}{\underset{R^5}{\mid}}(CH_2)_q-G-R^6$
2421	Cl,Cl-phenyl-CH$_2$-	2	2	1	-	H	(S) $-\overset{}{\underset{CH_3}{C}}H-NH-\overset{S}{\overset{\parallel}{C}}-NH-$phenyl-F
2422	Cl,Cl-phenyl-CH$_2$-	1	2	0	R	H	(S) $-CH-NH-\overset{S}{\overset{\parallel}{C}}-N-$phenyl-OCH$_3$; (CH$_2$)$_2SO_2CH_3$
2423	Cl,Cl-phenyl-CH$_2$-	1	2	0	R	H	(S) $-CH-NH-\overset{S}{\overset{\parallel}{C}}-N-$phenyl-OCH$_3$; (CH$_2$)$_2SO_2CH_3$
2424	Cl,Cl-phenyl-CH$_2$-	1	2	0	R	H	(S) $-CH-NH-\overset{S}{\overset{\parallel}{C}}-N-$phenyl-CH$_3$; (CH$_2$)$_2SO_2CH_3$
2425	Cl,Cl-phenyl-CH$_2$-	1	2	0	R	H	(S) $-CH-NH-\overset{S}{\overset{\parallel}{C}}-N-$phenyl-CH$_3$; (CH$_2$)$_2SO_2CH_3$
2426	Cl,Cl-phenyl-CH$_2$-	1	2	0	R	H	(S) $-CH-NH-\overset{S}{\overset{\parallel}{C}}-N-$phenyl-Cl; (CH$_2$)$_2SO_2CH_3$
2427	Cl,Cl-phenyl-CH$_2$-	1	2	0	R	H	(S) $-CH-N-\overset{S}{\overset{\parallel}{C}}-N-$phenyl-Cl; (CH$_2$)$_2SO_2CH_3$
2428	Cl,Cl-phenyl-CH$_2$-	1	2	0	R	H	(S) $-CH-N-\overset{S}{\overset{\parallel}{C}}-N-$phenyl-F; (CH$_2$)$_2SO_2CH_3$

In the present invention, the acid addition salt of the cyclic amine compound is also used. The acid includes mineral acids such as hydrochloric acid, hydrobromic acid, sulfuric acid, phosphoric acid, and carbonic acid and organic acids such as maleic acid, citric acid, malic acid, tartaric acid, fumaric acid, methanesulfonic acid, trifluoroacetic acid and formic acid.

Further, the C_1 to C_6 alkyl addition salt of the cyclic amine compound such as 1-(4-chlorobenzyl)-1-methyl-4-[{N-(3-trifluoromethylbenzoyl)glycyl} aminomethyl]piperidinium iodide is also used in the present invention. The alkyl group includes a methyl group, an ethyl group, an n-propyl group, an n-butyl group, an n-pentyl group, an n-hexyl group, an n-heptyl group, an n-octyl group, an isopropyl group, an isobutyl group, a sec-butyl group, a tert-butyl group, an isopentyl group, a neopentyl group, a tert-pentyl group, a 2-methylpentyl group and a 1-ethylbutyl group as suitable examples, but includes the methyl group and the ethyl group as especially preferable concrete examples. The counter anion of the ammonium cation includes halide anions such as a fluoride ion, a chloride ion, a bromide ion and an iodide ion as suitable concrete examples.

In the present invention, the racemate and all the possible optical isomers of the compound represented by the formula (I) can be used.

The compound represented by the formula (I) can be synthesized by either of the following general preparation methods, as mentioned in WO 99/25686.

(Preparation method 1)

A preparation method by reacting 1 equivalent of a compound represented by the following formula (II)

$$\begin{array}{c} R^1 \\ \diagdown \\ R^2 \end{array} (CH_2)_j - N \begin{array}{c} (CH_2)_k \\ \diagup \qquad \diagdown \\ \diagdown \qquad \diagup \\ (CH_2)_m \end{array} (CH_2)_n - NH \\ \qquad\qquad\qquad\qquad\qquad\qquad\qquad\quad | \\ \qquad\qquad\qquad\qquad\qquad\qquad\qquad R^3 \end{array} \qquad (II)$$

[wherein, R^1, R^2, R^3, j, k, m, and n are the same as the definitions, respectively,

in the above-described formula (I),
with 0.1 to 10 equivalents of a carboxylic acid represented by the following
formula (III) or a reactive derivative thereof

$$HO-\overset{\overset{\textstyle O}{\|}}{C}-(CH_2)_p-\overset{\overset{\textstyle R^4}{|}}{\underset{\underset{\textstyle R^5}{|}}{}}-(CH_2)_q-G-R^6 \qquad (\text{III})$$

5

[wherein, R^4, R^5, R^6, G, p, and q are the same as the definitions, respectively,
in the above-mentioned formula (I), in the absence or presence of a solvent.

10   "The reactive derivative" of the carboxylic acid represented by the
above-mentioned formula (III) means a highly reactive carboxylic acid
derivative usually used in the field of synthetic organic chemistry, such as an
acid halide, an acid anhydride, a mixed acid anhydride or the like.

    The reaction can be allowed to smoothly proceed by the suitable use of
15 proper amounts of a dehydrating agent, such as molecular sieve; a coupling
reagent such as dicyclohexylcarbodiimide (DCC),
N-ethyl-N'-(3-dimethylaminopropyl)carbodiimide (EDCI or WSC),
carbonyldiimidazole (CDI), N-hydroxysuccinimide (HOSu),
N-hydroxybenzotriazole (HOBt),
20 benzotriazol-1-yloxytris(pyrrolidino)phosphonium hexafluorophosphate
(PyBOP), 2-(1H-benzotriazol-1-yl)-1,1,3,3-tetramthyluronium
hexafluorophosphate (HBTU),
2-(1H-benzotriazol-1-yl)-1,1,3,3-tetramethyluronium tetrafluoroborate (TBTU),
2-(5-norbornene-2,3-dicarboxyimido)-1,1,3,3-tetramethyluronium
25 tetrafluoroborate (TNTU), O-(N-succinimidyl)-1,1,3,3-tetramethyluronium
tetrafluoroborate (TSTU) or bromotris(pyrrolidino)phosphonium
hexafluorophosphate (PyBroP); and a base, for example, an inorganic base
such as potassium carbonate, calcium carbonate or sodium bicarbonate, an
amine such as triethylamine, diisopropylethylamine or pyridine, or a polymer
30 supported base such as (piperidinomethyl)polystyrene,

(morpholinomethyl)polystyrene, (dimethylaminomethyl)polystyrene, poly(4-vinylpyridine) or the like.

(Preparation method 2)

A preparation method by reacting 1 equivalent of an alkylating reagent represented by the following formula (IV)

$$R^1 \underset{R^2}{\rangle} - (CH_2)_j - X \qquad\qquad (IV)$$

[wherein, R^1, R^2, and j are the same as the definitions, respectively, in the above-described formula (I); X represents a halogen atom, an alkylsulfonyloxy group, or an arylsulfonyloxy group],
with 0.1 to 10 equivalents of a compound represented by the following formula (V)

$$HN\underset{(CH_2)_m}{\overset{(CH_2)_k}{\langle}} - (CH_2)_n - \underset{R^3}{N} - \overset{O}{\overset{\|}{C}} - (CH_2)_p - \underset{R^5}{\overset{R^4}{|}} - (CH_2)_q - G - R^6 \qquad (V)$$

[wherein, R^3, R^4, R^5, R^6, G, k, m, n, p, and q are the same as the definitions, respectively, in the above-mentioned formula (I)], in the absence or presence of a solvent.

The reaction can be allowed to smoothly proceed by the suitable use of the same base as that in the above-mentioned preparation method 1. Further, in the present preparation method, the reaction can be accelerated by the coexistence of an iodide compound such as potassium iodide, sodium iodide or the like in some cases.

In the above-mentioned formula (IV), X represents a halogen atom, an alkylsulfonyloxy group or an arylsulfonyloxy group. The suitable examples of the halogen atoms include a chlorine atom, a bromine atom, and an iodine atom. The suitable concrete example of the alkylsulfonyloxy group includes a methylsulfonyloxy group, a trifluoromethylsulfonyloxy group and the like. The suitable concrete example of arylsulfonyloxy group includes a tosyloxy group.

(Preparation method 3)

A preparation method by reacting 1 equivalent of an aldehyde represented by the following formula (VI)

$$\begin{array}{c} R^1 \\ > \\ R^2 \end{array} \!\!-(CH_2)_{j-1}-CHO \qquad (VI)$$

[wherein, R^1, and R^2 are the same as the definitions, respectively, in the above-mentioned formula (I); j represents 1 or 2],
or the following formula (VII)

$$R^1\text{-CHO} \qquad \qquad (VII)$$

[wherein, R^1 is the same as the definition in the above-mentioned formula (I); this compound corresponds to a case that j expresses 0 in the formula (I)] with 0.1 to 10 equivalents of a compound represented by the above-mentioned formula (V), in the absence or presence of a solvent.

The reaction is generally called a reductive amination reaction, and includes, as a reducing condition, a catalytic hydrogenation reaction using a catalyst containing a metal such as palladium, platinum, nickel or rhodium, a hydrogenation reaction using a borane or a complex hydride such as lithium aluminum hydride, sodium borohydride, sodium cyanoborohydride, or sodium triacetoxyborohydride and an electrolytic reduction reaction.

(Preparation method 4)

A preparation method by reacting 1 equivalent of a compound represented by the following formula (VIII)

$$R^1_{R^2}\text{-(CH}_2)_j\text{-N}\underset{(CH_2)_m}{\overset{(CH_2)_k}{\diagdown}}\text{(CH}_2)_n\text{-}\underset{R^3}{N}\text{-}\overset{O}{\underset{\parallel}{C}}\text{-(CH}_2)_p\text{-}\underset{R^5}{\overset{R^4}{|}}\text{-(CH}_2)_q\text{-}\underset{R^7}{NH} \qquad (\text{VIII})$$

[wherein, R^1, R^2, R^3, R^4, R^5, R^7, j, k, m, n, p, and q are the same as the definitions, respectively, in the above-mentioned formula (I)], with 0.1 to 10 equivalents of a carboxylic acid or sulfonic acid represented by the following formula (IX) or a reactive derivative thereof

$$\text{HO-A-}R^6 \qquad (\text{IX})$$

[wherein, R^6 is the same as the definition of R^6 in the above-mentioned formula (I); "A" represents a carbonyl group or a sulfonyl group], in the absence or presence of a solvent.

The reactive derivative of the carboxylic acid or sulfonic acid represented by the formula (IX) means a highly reactive carboxylic acid or sulfonic acid derivative generally used in the field of synthetic organic chemistry, such as an acid halide, an acid anhydride or a mixed acid anhydride.

The reaction can be allowed to smoothly proceed by the suitable use of the same dehydrating agent, conpling reagent or base as those in the above-mentioned preparation method 1.

(Preparation method 5)

A preparation method by reacting 1 equivalent of a compound represented by the above-mentioned formula (VIII) with 0.1 to 10 equivalents

of an isocyanate or isothiocyanate represented by the following formula (X)

$$Z=C=N-R^6 \qquad\qquad (X)$$

[wherein, R^6 is the same as the definition of R^6 in the above-mentioned formula (I); Z represents an oxygen atom or a sulfur atom], in the absence or presence of a solvent.

(Preparation method 6)

A preparation method by reacting 1 equivalent of a compound represented by the following formula (XI)

$$R^1 R^2 \backslash \text{(CH}_2)_j-N \begin{array}{c}(CH_2)_k \\ (CH_2)_m\end{array} -(CH_2)_n-N-\overset{\overset{O}{\parallel}}{C}-(CH_2)_p-\overset{R^4}{\underset{R^5}{|}}-(CH_2)_q-A-OH \quad (XI)$$

[wherein, R^1, R^2, R^3, R^4, R^5, j, k, m, n, p, and q are the same as the definitions, respectively, in the above-mentioned formula (I); "A" represents a carbonyl group or a sulfonyl group],
with 0.1 to 10 equivalents of an amine represented by the following formula (XII)

$$R^6-NH_2 \qquad\qquad (XII)$$

[wherein, R^6 is the same as the definition of R^6 in the above-mentioned formula (I)], in the absence or presence of a solvent.

The reaction can be allowed to smoothly proceed by the suitable use of the same dehydrating agent, conpling reagent or base as those in the above-mentioned preparation method 1.

When the substrate supplied for the reaction in each of the above-mentioned preparation methods 1 to 6 has substituents which can be thought to generally react under the reaction conditions of each preparation method in organic synthetic chemistry or affect the reaction, the objective compound can be obtained by protecting the functional groups of the substrate with known proper protecting groups, supplying the protected substrate for the reaction and then removing the protecting groups by a known method.

In addition, the compound used in the present invention can also be obtained by further converting the (single or plural) substituent(s) of the compound prepared by the above-mentioned preparation method 1 to 6 by a known reaction generally used in organic synthetic chemistry, such as an alkylation reaction, an acylation reaction or a reduction reaction.

In each of the above-mentioned preparation methods, a halogenated hydrocarbon such as dichloromethane or chloroform, an aromatic hydrocarbon such as benzene or toluene, an ether such as diethyl ether or tetrahydrofuran, an ester such as ethyl acetate, an aprotic polar solvent such as dimethyl formamide, dimethyl sulfoxide or acetonitrile, or an alcohol such as methanol, ethanol or isopropyl alcohol, is suitably used as a reaction solvent in response to the reaction.

In any preparation method, the reaction temperature is in the range of -78°C to +150°C, preferably 0°C to 100°C. After the reaction is completed, the objective cyclic amine compound represented by the above-mentioned formula (I) can be isolated in usual isolating and purifying operations, namely the operations of concentration, filtration, extraction, solid-phase extraction, recrystallization, chromatography, and so on. Further, the isolated compound can be converted into a pharmaceutically acceptable acid addition salt or C_1 to C_6 alkyl addition salt by usual methods.

Examples

The present invention will be explained specifically hereafter on the basis of examples. However, the present invention is not limited to the examples. Compound numbers assigned to compounds in the following examples correspond to compound numbers (Compd. No.), respectively, assigned to compounds shown as suitable concrete examples in Tables 1.1 to 1.221.

[Reference Example 1]

Synthesis of (R)-1-(4-chlorobenzyl)-3-[{N-(3,4-difluorobenzoyl) glycyl}amino]pyrrolidine (Compd. No. 69)

The compounds of the present invention were synthesized by the preparation method mentioned in WO 99/25686, and, for example, (R)-1-(4-chlorobenzyl)-3-[{N-(3,4-difluorobenzoyl)glycyl}amino] pyrrolidine of Compd. No. 69 was synthesized as follows.

1) 3-Amino-1-(4-chlorobenzyl)pyrrolidine-dihydrochloride

4-Chlorobenzyl chloride (4.15g, 25.8 mmol) and i-Pr$_2$NEt (6.67g, 51.6 mmol) were added to the DMF solution (50 mL of 3-{(tert-butoxycarbonyl)amino}pyrrolidine (4.81g, 25.8 mmol) in DMF(50ml). The reaction mixture was stirred at 70℃ for 15 hours, and the solvent was then removed under reduced pressure.   The residue was recrystallized (CH$_3$CN, 50 mL) to obtain the objective 3-{(tert-butoxycarbonyl)amino}-1-(4-chlorobenzyl) pyrrolidine (6.43g, 80%) as the yellowish white solid.

^{1}H-NMR(CDCl$_3$, 300 MHz) δ 1.37 (s, 9H), 1.5-1.7 (br, 1H),   2.1-2.4 (m, 2H),   2.5-2.7 (m, 2H),   2.83 (br, 1H), 3.57 (s, 2H),   4.1-4.3 (br, 1H),   4.9-5.1 (br, 1H),   7.15-7.35 (br, 4H);   the purity was determined with RPLC/MS (98%); ESI/MS m/e 311.0 (M$^+$+H, C$_{16}$H$_{24}$ClN$_2$O$_2$).

1M HCl·Et$_2$O (100 mL) was added to the CH3OH (80 mL) solution of the 3-{(tert-butoxycarbonyl)amino}-1-(4-chlorobenzyl)pyrrolidine (6.38g, 20.5 mmol) and then stirred at 25℃ for 15 hours.   The solvent was removed under reduced pressure to obtain the solid.   The solid was recrystallized (CH$_3$OH/CH$_3$CN=1:2, 130 mL) to obtain the purified 3-amino-1-(4-chlorobenzyl)pyrrolidine·dihydrochloride (4.939g, 85%) as white powder.

^{1}H-NMR(d$_6$-DMSO,   300 MHz) δ 3.15 (br, 1H),   3.3-3.75 (br-m, 4H), 3.9 (br, 1H),   4.05 (br, 1H),   4.44 (br, 1H),   4.54 (br, 1H),   7.5-7.7 (m, 4H), 8.45 (br, 1H),   8.60 (br, 1H);   the purity was determined with RPLC/MS (>99%); ESI/MS m/e 211.0 (M$^+$+H, C$_{11}$H$_{16}$ClN$_2$).

Optically active (R)-3-amino-1-(4-chlorobenzyl)pyrrolidine -dihydrochloride and (S)-3-amino-1-(4-chlorobenzyl)pyrrolidine-dihydrochloride were synthesized from the corresponding starting materials, respectively, by

the above-mentioned method. The products showed the same ¹H-NMR as that of the above-mentioned racemate.

2) (R)-3-{(N-tert-β utoxycarbonyl)glycyl}amino-1-(4-chlorobenzyl) pyrrolidine

A mixture of (R)-3-amino-1-(4-chlorobenzyl)pyrrolidine-dihydrochloride (4.54g, 16.0 mmol), a 2M NaOH solution (80 mL), and ethyl acetate (80 mL) was stirred, and the organic layer was then separated. The aqueous layer was extracted with ethyl acetate (80 mL × 2). The obtained organic layers were combined, dried over anhydrous sodium sulfate, filtered, and then concentrated to obtain the free (R)-3-amino-1-(4-chlorobenzyl) pyrrolidine (3.35g, 99%).

Et₃N (2.5 mL, 17.6 mmol), N-tert-butoxycarbonylglycine (2.79g, 16.0 mmol), EDCI (3.07g, 16.0 mmol) and HOBt (12.16g, 16 mmol) were added to the CH₂Cl₂ (80 mL) solution of the (R)-3-amino-1-(4-chlorobenzyl)pyrrolidine (3.35g, 16 mmol). The reaction mixture was stirred at 25℃ for 16 hours, and then mixed with a 2M NaOH solution (80 mL). The organic layer was separated, and the aqueous layer was extracted with dichloromethane (100 mL × 3). The obtained organic layers were combined, washed with water (100 mL × 2) and aqueous sodium chloride solution (100 mL), dried over anhydrous sodium sulfate, filtered and then concentrated. The objective (R)-3-{N-(tert-butoxycarbonyl)glycyl}amino-1-(4-chlorobenzyl) pyrrolidine (5.40g, 92%) was obtained by column chromatography (SiO₂, ethyl acetate).

3) Synthesis of (R)-1-(4-chlorobenzyl)-3-(glycylamino)pyrrolidine

A 4M HCl dioxane (38 mL) solution was added to the methanol (60 mL) solution of the (R)-3-{N-(tert-butoxycarbonyl)glycyl}amino-1-(4-chlorobenzyl) pyrrolidine (5.39g, 14.7 mmol). The solution was stirred at room temperature for 2 hours. The reaction mixture was concentrated and then mixed with a 2M NaOH solution (80 mL). The mixture was extracted with dichloromethane (80 mL × 3), and the extracts were combined, dried over anhydrous sodium sulfate, and then concentrated. The (R)-3-(glycylamino)-1-(4-chlorobenzyl)pyrrolidine (3.374g, 86%) was obtained by column chromatography (SiO₂, AcOEt/EtOH/Et₃N=90/5/5).

¹H-NMR(CDCl₃, 270 MHz) δ 1.77 (dd, J = 1.3 and 6.9 Hz, 1H), 2.20-3.39 (m, 2H), 2.53 (dd, J = 3.3 and 9.6 Hz, 1H), 2.62 (dd, J = 6.6 and 9.6

Hz, 1H), 2.78-2.87 (m, 1H), 3.31 (s, 2H), 3.57(s, 2H), 4.38-4.53 (br, 1H), 7.18-7.32 (m, 4H), 7.39(br, s, 1H).

4) (R)-1-(4-Chlorobenzyl)-3-[{N-(3,4-difluorobenzoyl)glycyl}amino]pyrrolidine (Compd. No. 69)

The chloroform (0.4 mL) solution of 3,4-difluorobenzoyl chloride (0.060 mmol) was added to the chloroform (1.0 mL) solution of the (R)-1-(4-chlorobenzyl)-3-(glycylamino)pyrrolidine (0.050 mmol) and triethylamine (0.070 mmol). The reaction mixture was stirred at room temperature for 2.5 hours, and then mixed with a (aminomethyl)polystyrene resin (1.04 mmol/g, 50 mg, 50 mmol). The mixture was stirred at room temperature for 12 hours, and filtered. The resin was washed with dichloromethane (0.5 mL). The filtrate and the washings were combined and mixed with dichloromethane (4 mL). The solution was washed with a 2M NaOH aqueous solution (0.5 mL), and then concentrated to obtain the (R)-1-(4-chlorobenzyl)-3-[{N-(3,4-difluorobenzoyl)glycyl}amino]pyrrolidine (Compd. No. 69) (7.8 mg, 38%): the purity was determined with RPLC/MS (>99%); ESI/MS m/e 408.0 (M$^+$+H, $C_{20}H_{20}ClF_2N_3O_2$).

[Example 1] Assay of the inhibitory potency of a compound against the rise in the intracellular calcium concentration of CCR3 expressing cells by eotaxin

The inhibitory potency of the compound of the present invention against the rise in the intracellular calcium concentration was assayed using K562 cells stably expressing a CCR3 receptor by the following method.

A 1 mM Fura 2 acetoxymethyl ester (Dojin Kagaku Co.) was added to a suspension obtained by suspending the CCR3 expressing K562 cells in a 10 mM HEPES-containing HBSS solution, and then incubated at 37℃ for 30 minutes. The suspension was excited with 340 nm and 380 nm light, and the 340/380 ratio was monitored to measure the intracellular calcium concentration. Human eotaxin (0.5 μg/ml) was used as an agonist, and the inhibitory potency of the compound was assayed by treating the CCR3 expressing K562 cells with the compound at five minutes before the stimulation using the eotaxin, assaying the intracellular calcium concentration of the treated CCR3 expressing K562 cells, and then calculating the inhibition potency (%) by the use of the following expression.

Inhibition rate (%) = {1·(A·B) / (C·B)} × 100

(A: an intracellular calcium concentration, when the cells were treated with the compound and then stimulated with the eotaxin; B: an intracellular calcium concentration, when the cells were not stimulated with the eotaxin; C: an intracellular calcium concentration, when the cells were not treated with the compound but stimulated with the eotaxin).

When the inhibitory activities of the cyclic amine derivatives used in the present invention were assayed, for example, the following compounds showed inhibitory activities of 20% to 50%, 50% to 80%, and >80%, respectively, at a concentration of 10 μM.

The compounds which showed the inhibitory activities of 20% to 50% at the concentration of 10 μM:

Compd. Nos. 11, 156, 234, 330, 392, 424, 481, 523, 525, 533, 558, 567, 582, 602, 613, 630, 646, 649, 701, 738, 741, 754, 767, 814, 816, 833, 839, 873, 902, 909, 945, 1002, 1159, 1170, 1258, 1315, 1352, 1357, 1407, 1417, 1448, 1472, 1504, 1508, 1531, 1558, 1562, 1569, 1661, 1670, 1686, 1719, 1751, 1756, 1769, 1775, 1783, 1797, 1802, 1803, 1815, 1834, 1841, 1846, 1883, 1887, 1889, 1892, 1913, 1924, 1928, 1960, 2006, 2013, 2035, 2052, 2083, 2113, 2127, 2136, 2189, 2320, 2321, 2323, 2327, 2330, 2334, 2336, 2338, 2345, 2394, 2394, 2398, 2398, 2400, 2400, 2406, 2406, 2407, 2407, 2409, 2409, 2420, 2420, 2421, 2421

The compounds which showed the inhibitory activities of 50% to 80% at the concentration of 10 μM:

Compd. Nos. 83, 115, 146, 150, 216, 294, 297, 322, 405, 440, 459, 461, 466, 482, 484, 487, 490, 492, 503, 526, 528, 550, 562, 570, 578, 620, 623, 659, 685, 687, 703, 716, 730, 733, 755, 770, 850, 856, 867, 876, 998, 1015, 1024, 1223, 1259, 1267, 1295, 1377, 1402, 1412, 1420, 1485, 1519, 1550, 1560, 1595, 1601, 1650, 1701, 1725, 1754, 1836, 1856, 1870, 1912, 1923, 1929, 2095, 2120, 2138, 2179, 2258, 2260, 2261, 2267, 2268, 2270, 2275, 2276, 2278, 2287, 2290, 2291, 2294, 2297, 2300, 2301, 2302, 2307, 2309, 2313, 2317, 2322, 2324, 2326, 2328, 2329, 2333, 2335, 2343, 2344, 2346, 2347, 2348, 2350, 2351, 2353, 2358, 2360, 2361, 2364, 2365, 2368, 2369, 2377, 2379, 2381, 2402, 2403, 2404, 2405, 2408, 2410, 2411, 2416, 2417, 2418

The compounds which showed the inhibitory activities of >80% at the concentration of 10 μM:

Compd. Nos. 7, 32, 68, 169, 173, 203, 209, 215, 520, 544, 547, 851, 852, 855,

874, 910, 1003, 1012, 1032, 1038, 1042, 1043, 1046, 1114, 1190, 1244, 1247, 1384, 1441, 1513, 1527, 1545, 1582, 1673, 1687, 1689, 1705, 1850, 1869, 1871, 1876, 1877, 1899, 2027, 2289, 2293, 2296, 2298, 2315, 2318, 2319, 2325, 2332, 2349, 2352, 2354, 2355, 2356, 2357, 2359, 2362, 2363, 2366, 2367, 2370, 2371, 2372, 2373, 2374, 2375, 2376, 2378, 2382, 2383, 2390, 2393, 2396, 2412, 2413, 2414, 2415, 2422, 2423, 2424, 2425, 2426, 2427, 2428

[Example 2] <u>Assay of inhibitory potency against the binding of eotaxin to a CCR3 expressicg cells membrane fraction</u>

A cell membrane fraction prepared from human CCR3 expressing K562 cells was suspended in an assay buffer solution (25 mM HEPES, pH 7.6, 1 mM $CaCl_2$, 5 mM $MgCl_2$, 0.5% BSA) at a concentration of 0.5 mg/mL to prepare the cell membrane fraction suspension. A test compound was diluted with the assay buffer solution to prepare the test compound solution. [125I]-labeled human eotaxin (Amasham Co.) was diluted with the assay buffer solution at a concentration of $1\mu Ci／mL$ to prepare the labeled ligand solution. $25\mu L$ of the test compound solution, $25\mu L$ of the labeled ligand solution and $50\mu L$ of the cell membrane fraction suspension were sequentially injected into each well of a 96 well microplate coated with 0.5% BSA, stirred ($100\mu L$ of the reaction solution), and then incubated at 25℃ for 90 minutes.

After the reaction was finished, the reaction solution was filtered with the 96 well filter plate (Millipore Inc.) in which the filter was previously immersed in a 0.5% polyethylenimine solution, and the filter was washed with $150\mu L$ of a cold washing buffer solution (assay buffer +0.5M NaCl) four times ($150\mu L$ of the cold washing buffer solution was added and then filtered). After the filter was dried with air, $25\mu L$ of a liquid scintillator was added to each well, and the radioactivity retained in the membrane fraction on the filter was measured with a TopCounter (Packard Co.).

The inhibitory potency of the test compound against the binding of the human eotaxin to the CCR3 membrane fraction was calculated, wherein a count on the addition of 100 ng of non-labeled human eotaxin in stead of the test compound was subtracted, and a count on the non-addition of the test compound was 100%.

Inhibition (%) = {1-(A-B) / (C-B)} × 100

(A: a count, when the test compound was added; B: a count, when 100 ng of the non-labeled human eotaxin was added; C: a count, when only [^{125}I]-labeled human eotaxin was added).

When the inhibitory activities of the cyclic amine derivatives used in the present invention were assayed, the inhibitory activities of typical compounds in the present example were approximately equivalent to the inhibitory activities measured in Example 1.

Utilizability in industry

The medicine containing as an active ingredient the cyclic amine compound, the pharmaceutically acceptable acid addition salt thereof or the pharmaceutically acceptable C_1 to C_6 alkyl addition salt thereof, of the present invention, or the medicine for treating or preventing diseases in which CCR3 participates, has an activity for inhibiting the action of the ligand of the CCR3, such as eotaxin, to a target cell as the CCR3 antagonist. Thereby, the medicine is useful as a medicine for treating and/or preventing diseases for whose progress and maintenance the tissue infiltration of eosinophils, basophils, activated T-cells and so on play main rolls, for example, allergic diseases such as bronchial asthma, allergic rhinitis, atopic dermatitis, urticaria, contact dermatitis and allergic conjunctivitis, inflammatory bowel diseases such as ulcerative colitis, Crohn disease and so on. Further, the medicine is useful as a medicine for treating and/or preventing AIDS by the HIV-1 infection-inhibiting activity based on the CCR3 antagonism.

CLAIMS

1. A pharmaceutical composition which contains, as an active ingredient, a compound represented by the following formula (I), a pharmaceutically acceptable acid addition salt thereof or a pharmaceutically acceptable C_1 to C_6 alkyl addition salt thereof, and which has a CCR3-antagonistic activity,

$$R^1 \diagdown C(CH_2)_j - N \diagup (CH_2)_k \diagdown \diagup (CH_2)_m - (CH_2)_n - N - \overset{O}{\underset{R^3}{C}} - (CH_2)_p - \overset{R^4}{\underset{R^5}{C}} - (CH_2)_q - G - R^6 \quad (I)$$

[wherein, R^1 represents a phenyl group, a C_3 to C_8 cycloalkyl group, or an aromatic heterocyclic group having one to three atoms of oxygen, sulfur and/or nitrogen as heteroatoms, provided that the phenyl group or the aromatic heterocyclic group in the above-mentioned R^1 may be condensed with a benzene ring, or an aromatic heterocyclic group having one to three atoms of oxygen, sulfur and/or nitrogen as heteroatoms to form a condensed ring, further provided that the phenyl group, the C_3 to C_8 cycloalkyl group, the aromatic heterocyclic group or the condensed ring may be substituted by the arbitrary number of halogen atoms, hydroxy groups, cyano groups, nitro groups, carboxyl groups, carbamoyl groups, C_1 to C_6 alkyl groups, C_3 to C_8 cycloalkyl groups, C_2 to C_6 alkenyl groups, C_1 to C_6 alkoxy groups, C_1 to C_6 alkylthio groups, C_3 to C_5 alkylene groups, C_2 to C_4 alkylenoxy groups, C_1 to C_3 alkylenedioxy groups, phenyl groups, phenoxy groups, phenylthio groups, benzyl groups, benzyloxy groups, benzoylamino groups, C_2 to C_7 alkanoyl groups, C_2 to C_7 alkoxycarbonyl groups, C_2 to C_7 alkanoyloxy groups, C_2 to C_7 alkanoylamino groups, C_2 to C_7 N-alkylcarbamoyl groups, C_4 to C_9 N-cycloalkylcarbamoyl groups, C_1 to C_6 alkylsulfonyl groups, C_3 to C_8 (alkoxycarbonyl)methyl groups, N-phenylcarbamoyl groups, piperidinocarbonyl groups, morpholinocarbonyl groups, 1-pyrrolidinylcarbonyl groups, divalent groups represented by the formula: -NH(C=O)O-, divalent groups represented by the formula: –NH(C=S)O-, amino groups, mono(C_1 to C_6 alkyl)amino groups

or di(C_1 to C_6 alkyl)amino groups, and further provided that the substituents of the phenyl group, the C_3 to C_8 cycloalkyl group, the aromatic heterocyclic group or the condensed ring may further be substituted by the arbitrary number of halogen atoms, hydroxy groups, amino groups, trifluoromethyl groups, C_1 to C_6 alkyl groups or C_1 to C_6 alkoxy groups.

R^2 represents a hydrogen atom, a C_1 to C_6 alkyl group, a C_2 to C_7 alkoxycarbonyl group, a hydroxy group or a phenyl group, provided that the C_1 to C_6 alkyl group or the phenyl group in R^2 may be substituted by the arbitrary number of halogen atoms, hydroxy groups, C_1 to C_6 alkyl groups or C_1 to C_6 alkoxy groups, and provided that when j is 0, R^2 is not a hydroxy group.

j represents an integer of 0 to 2.

k represents an integer of 0 to 2.

m represents an integer of 2 to 4.

n represents 0 or 1.

R^3 represents a hydrogen atom or a C_1 to C_6 alkyl group which may be substituted (by one or two phenyl groups which may be substituted by the same or different arbitrary numbers of halogen atoms, hydroxy groups, C_1 to C_6 alkyl groups or C_1 to C_6 alkoxy groups, respectively).

R^4 and R^5, same or differently, represent a hydrogen atom, a hydroxy group, a phenyl group or a C_1 to C_6 alkyl group, respectively, and the C_1 to C_6 alkyl group in R^4 and R^5 may be substituted by the arbitrary number of halogen atoms, hydroxy groups, cyano groups, nitro groups, carboxyl groups, carbamoyl groups, mercapto groups, guanidino groups, C_3 to C_8 cycloalkyl groups, C_1 to C_6 alkoxy groups, C_1 to C_6 alkylthio groups, phenyl groups (which may be substituted by the arbitrary number of halogen atoms, hydroxy groups, C_1 to C_6 alkyl groups, C_1 to C_6 alkoxy groups or benzyloxy groups), phenoxy groups, benzyloxy groups, benzyloxycarbonyl groups, C_2 to C_7 alkanoyl groups, C_2 to C_7 alkoxycarbonyl groups, C_2 to C_7 alkanoyloxy groups, C_2 to C_7 alkanoylamino groups, C_2 to C_7 N-alkylcarbamoyl groups, C_1 to C_6 alkylsulfonyl groups, amino groups, mono(C_1 to C_6 alkyl)amino groups, di(C_1 to C_6 alkyl)amino groups or aromatic heterocyclic groups (having one to three atoms of oxygen, sulfur and/or nitrogen as heteroatoms) or condensed rings formed by the condensation of the aromatic heterocyclic group with a benzene ring, or R^4 and R^5 may together form a three to six-membered cyclic hydrocarbon.

p represents 0 or 1.

q represents 0 or 1.

G represents a group represented by $\cdot CO\cdot$, $\cdot SO_2\cdot$, $\cdot CO\cdot O\cdot$, $\cdot NR^7\cdot CO\cdot$, $\cdot CO\cdot NR^7\cdot$, $\cdot NH\cdot CO\cdot NH\cdot$, $\cdot NH\cdot CS\cdot NH\cdot$, $\cdot NR^7\cdot SO_2\cdot$, $\cdot SO_2\cdot NR^7\cdot$, $\cdot NH\cdot CO\cdot O\cdot$, or $\cdot O\cdot CO\cdot NH\cdot$, provided that R^7 is a hydrogen atom or a C_1 to C_6 alkyl group, or R^7 may form a C_2 to C_5 alkylene group together with R^5.

R^6 represents a phenyl group, a C_3 to C_8 cycloalkyl group, a C_3 to C_6 cycloalkenyl group, a benzyl group or an aromatic heterocyclic group having one to three atoms of oxygen, sulfur and/or nitrogen as heteroatoms, provided that the phenyl group, the benzyl group or the aromatic heterocyclic group in the above-mentioned R^6 may be condensed, to make a condensed ring, with a benzene ring or an aromatic heterocyclic group having one or three atoms of oxygen, sulfur and/or nitrogen as heteroatoms, further provided that the phenyl group, the C_3 to C_8 cycloalkyl group, the C_3 to C_6 cycloalkenyl group, the benzyl group, the aromatic heterocyclic group or the condensed ring in the above-mentioned R^6 may be substituted by the arbitrary number of halogen atoms, hydroxy groups, mercapto groups, cyano groups, nitro groups, thiocyanato groups, carboxyl groups, carbamoyl groups, trifluoromethyl groups, C_1 to C_6 alkyl groups, C_3 to C_8 cycloalkyl groups, C_2 to C_6 alkenyl groups, C_1 to C_6 alkoxy groups, C_3 to C_8 cycloalkyloxy groups, C_1 to C_6 alkylthio groups, C_1 to C_3 alkylenedioxy groups, phenyl groups, phenoxy groups, phenylamino groups, benzyl groups, benzoyl groups, phenylsulfinyl groups, phenylsulfonyl groups, 3-phenylureido groups, C_2 to C_7 alkanoyl groups, C_2 to C_7 alkoxycarbonyl groups, C_2 to C_7 alkanoyloxy groups, C_2 to C_7 alkanoylamino group, C_2 to C_7 N-alkylcarbamoyl groups, C_1 to C_6 alkylsulfonyl groups, phenylcarbamoyl groups, N,N-di(C_1 to C_6 alkyl)sulfamoyl groups, amino groups, mono(C_1 to C_6 alkyl)amino groups, di(C_1 to C_6 alkyl)amino groups, benzylamino groups, C_2 to C_7 (alkoxycarbonyl)amino groups, C_1 to C_6 (alkylsulfonyl)amino groups or bis(C_1 to C_6 alkylsulfonyl)amino groups, and further provided that the substituents of the phenyl group, the C_3 to C_8 cycloalkyl group, the C_3 to C_8 cycloalkenyl group, the benzyl group, the aromatic heterocyclic group, or the condensed ring may further be substituted by the arbitrary number of halogen atoms, cyano groups, hydroxy groups, amino groups, trifluoromethyl groups, C_1 to C_6 alkyl groups, C_1 to C_6 alkoxy groups, C_1 to C_6 alkylthio groups, mono(C_1 to C_6 alkyl)amino groups, or di(C_1 to C_6 alkyl)amino groups.].

2. The pharmaceutical composition having the CCR3-antogonistic

action according to Claim 1, wherein k is 1 and m is 2 in the above-mentioned formula (I).

    3.   The pharmaceutical composition having the CCR3-antogonistic action according to Claim 1, wherein k is 0 and m is 3 in the above-mentioned formula (I).

    4.   The pharmaceutical composition having the CCR3-antogonistic action according to Claim 1, wherein k is 1 and m is 3 in the above-mentioned formula (I).

    5.   The pharmaceutical composition having the CCR3-antogonistic action according to Claim 1, wherein k is 2 and m is 2 in the above-mentioned formula (I).

    6.   The pharmaceutical composition having the CCR3-antogonistic action according to Claim 1, wherein k is 1 and m is 4 in the above-mentioned formula (I).

    7.   A pharmaceutical composition which contains, as an active ingredient, the compound represented by the above-mentioned formula (I), the pharmaceutically acceptable acid addition salt thereof or the pharmaceutically acceptable C_1 to C_6 alkyl addition salt thereof, and which is used for treating or preventing a disease concerned with CCR3.

    8.   The pharmaceutical composition for treating or preventing the disease according to Claim 7, wherein the disease is an allergic disease.

    9.   The pharmaceutical composition for treating or preventing the disease according to Claim 8, wherein the disease is asthma, allergic rhinitis, atopic dermatitis, urticaria, contact dermatitis, or allergic conjunctivitis.

    10.   The pharmaceutical composition for treating or preventing the disease according to Claim 7, wherein the disease is an inflammatory bowel disease.

    11.   The pharmaceutical composition for treating or preventing the disease according to Claim 7, wherein the disease is AIDS.

ABSTRACT

A medicine containing, as an active ingredient, a cyclic amine derivative represented by the following formula (I),

$$R^1_{R^2}\!\!>\!\!(CH_2)_j\!-\!N\!\!<\!\!\genfrac{}{}{0pt}{}{(CH_2)_k}{(CH_2)_m}\!\!>\!\!(CH_2)_n\!-\!\underset{R^3}{N}\!-\!\overset{O}{\underset{}{C}}\!-\!(CH_2)_p\!-\!\underset{R^5}{\overset{R^4}{\rule{0pt}{1em}}}\!-\!(CH_2)_q\!-\!G\!-\!R^6 \qquad (I)$$
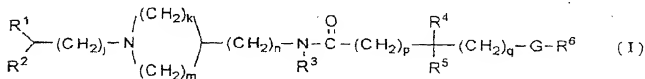
a pharmaceutically acceptable acid addition salt thereof or a pharmaceutically acceptable C_1 to C_6 alkyl addition salt thereof.   The medicine has an action for treating or preventing diseases in which CCR3 participates, such as asthma and allergic rhinitis.

Declaration and Power of Attorney for Patent Application

特許出願宣言書および委任状

Japanese Language Declaration

私は下記発明者として以下の通り宣言します：

As a below named inventor, I hereby declare that:

私の住所、郵送先、および国籍は私の氏名の後に記載された通りです。

My residence, mailing address and citizenship are as stated next to my name.

下記名称の発明に関し請求範囲に記載され特許出願がされている発明内容につき、私が最初、最先かつ唯一の発明者（下記氏名が一つのみの場合）であるか、あるいは最初、最先かつ共同発明者（下記氏名が複数の場合）であると信じます。

I believe I am the original, first and sole inventor (if only one name is listed below) or an original, first and joint inventor (if plural names are listed below) of the subject matter which is claimed and for which a patent is sought on the invention entitled

"CYCLIC AMINE CCR3 ANTAGONIST"

_____

_____

_____

_____

下記項目に x 印が付いている場合を除き、上記発明の明細書は本書に添付されます。

the specification of which is attached hereto unless the following box is checked:

☐ 上記発明は米国出願番号あるいは PCT 国際出願番号_____（確認番号_____）として_____年_月_日に出願され、_____年_月_日に補正されました（該当する場合）。

☒ was filed on August 4, 2000
as United States Application Number or PCT International Application Number
PCT/JP00/05260 (Conf. No. _____)
and was amended on
_____ (if applicable).

私は特許請求範囲を含み上述の補正で補正された前記明細書の内容を検討し、理解していることをここに表明します。

I hereby state that I have reviewed and understand the contents of the above identified specification, including the claims, as amended by any amendment referred to above.

私は連邦規則法典第 37 編 I 条 56 項に定義される特許性に肝要な情報について開示義務があることを認めます。

I acknowledge the duty to disclose information which is material to patentability as defined in Title 37, Code of Federal Regulations, § 1.56.

Japanese Language Declaration

私は米国法典第 35 編 119 条(a)-(d)あるいは 365 条(b)に基づき特許あるいは発明者証書の下記外国出願、または 365 条(a)に基づき米国以外の少なくとも 1 ヶ国を指定した下記 PCT 外国出願についての外国優先権をここに主張するとともに、下記項目に x 印を付けることにより優先権を主張する出願以前の出願日を有する特許あるいは発明者証書の外国出願あるいは PCT 外国出願を示します。

I hereby claim foreign priority under Title 35, United States Code, § 119(a)-(d) or § 365(b) for patent or inventor's certificate, or § 365(a) of any PCT International application which designated at least one country other than the United States, listed below, and have also identified below, by checking the box, any foreign application for patent or inventor's certificate, or PCT International application having a filing date before that of the application on which priority is claimed.

Prior foreign application(s)
外国での先行出願

Priority Claimed
優先権の主張

		Yes 有り	No 無し
11-220864 (Number) (番号)	Japan (Country) (国名)	04/August/1999 (Day/Month/Year Filed) (出願年月日) ⊠	□
(Number) (番号)	(Country) (国名)	(Day/Month/Year Filed) (出願年月日) □	□

私は米国法典第 35 編 119 条(e)に基づき下記の米国仮特許の利益をここに主張します。

I hereby claim the benefit under Title 35, United States Code, § 119(e) of any United States provisional application(s) listed below.

(Application No.) (出願番号)	(Filing Date) (出願日)
(Application No.) (出願番号)	(Filing Date) (出願日)

私は米国法典第 35 編 120 条に基づき下記米国特許出願、あるいは 365 条(c)に基づき米国を指定する下記 PCT 国際特許出願の利益をここに主張し、本特許出願内特許請求範囲の各項目の内容が米国法典第 35 編 112 条の最初の項に規定される方法により先行米国あるいは PCT 国際特許出願で開示されていない限りにおいて連邦規則法典第 37 編 1 条 56 項に定義される特許性に肝要で、先行特許出願の出願日から本特許出願の国内あるいは PCT の出願日までの間に入手された情報について開示義務があることを認めます。

I hereby claim the benefit under Title 35, United States Code, § 120 of any United States application(s), or § 365(c) of any PCT International application designating the United States, listed below and, insofar as the subject matter of each of the claims of this application is not disclosed in the prior United States or PCT International application in the manner provided by the first paragraph of Title 35, United States Code, § 112, I acknowledge the duty to disclose information which is material to patentability as defined in Title 37, Code of Federal Regulations, § 1 56 which became available between the filing date of the prior application and the national or PCT International filing date of this application.

(Application No.) (出願番号)	(Filing Date) (出願日)	(Status: patented, pending, abandoned) (状態: 特許成立済、係属中、放棄済)
(Application No.) (出願番号)	(Filing Date) (出願日)	(Status. patented, pending, abandoned) (状態: 特許成立済、係属中、放棄済)

私は本宣言書内で私自身の知識に基づいてなされたすべての陳述が真実であり、情報および信ずるところに基づいてなされたすべての陳述が真実であると信じるものであることをここに宣言し、さらに故意になされた虚偽の陳述等々は米国法典第 18 編 1001 条に基づき罰金あるいは拘禁または両方による処罰にあたり、またかような故意による虚偽の陳述はそれに基づく特許出願あるいは成立特許の有効性を危うくする可能性があることを認識した上でこれらの陳述をなしたことをここに宣言します。

I hereby declare that all statements made herein of my own knowledge are true and that all statements made on information and belief are believed to be true; and further that these statements were made with the knowledge that willful false statements and the like so made are punishable by fine or imprisonment, or both, under Section 1001 of Title 18 of the United States Code and that such willful false statements may jeopardize the validity of the application or any patent issued thereon.

10031698.012302

Japanese Language Declaration

委任状：私は下記の米国特許商標局（USPTO）顧客番号のもとに記載される SUGHRUE MION 法律事務所のすべての弁護士を、同顧客番号のもとに記載される個々の弁護士は Sughrue Mion 法律事務所のみの自由裁量に基づき変更され得ることを認識した上で、本特許出願の手続きおよびそれに関わる特許商標局との業務を遂行する弁護士として指名し、本特許出願に関するすべての通信が同 USPTO 顧客番号のもとに提出された住所宛に送付されることを要請します。

POWER OF ATTORNEY: I hereby appoint all attorneys of SUGHRUE MION, PLLC who are listed under the USPTO Customer Number shown below as my attorneys to prosecute this application and to transact all business in the Patent and Trademark Office connected therewith, recognizing that the specific attorneys listed under that Customer Number may be changed from time to time at the sole discretion of Sughrue Mion, PLLC, and request that all correspondence about the application be addressed to the address filed under the same USPTO Customer Number.

23373

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